

# EAST

Ref #	Hits	Search Query	DBs	Default Operator	Plurals	Time Stamp
L1	12355	((514/217.09,227.8,236.5,254.05,256,326,402,406) or (540/596) or (544/60,140,333,371) or (546/208) or (548/318.5,364.1,374.1)).CCLS.	US-PGPUB; USPAT; USOCR; EPO; JPO; DERWENT	OR	OFF	2006/01/23 10:45
L2	98135	thromb\$	US-PGPUB; USPAT; USOCR; EPO; JPO; DERWENT	OR	ON	2006/01/23 10:45
L3	1922	1 and 2	US-PGPUB; USPAT; USOCR; EPO; JPO; DERWENT	OR	ON	2006/01/23 10:45



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Volume 3, Issue 11, November 2005, Pages 2479-2486

**ISSN:** 1538-7933

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## BAY 59-7939: an oral, direct factor Xa inhibitor for the prevention of venous thromboembolism in patients after total knee replacement. A phase II dose-ranging study

Turpie, A G G; Fisher, W D; Bauer, K A; Kwong, L M; Irwin, M W; Kälebo, P; Misselwitz, F; Gent, M; OdiXa-Knee Study Group

HHS-General Hospital, Hamilton, Canada; e-mail [turpiea@mcmaster.ca](mailto:turpiea@mcmaster.ca)

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## Abstract

**BACKGROUND:** BAY 59-7939, a novel, oral, direct factor Xa inhibitor, is in clinical development for the prevention of venous thromboembolism (VTE), a frequent complication following orthopaedic surgery. **METHODS:** In a multicenter, parallel-group, double-blind, double-dummy study, 621 patients undergoing elective total knee replacement were randomly assigned to oral BAY 59-7939 (2.5, 5, 10, 20, and 30 mg b.i.d., initiated 6-8 h postsurgery), or subcutaneous enoxaparin (30 mg b.i.d., initiated 12-24 h postsurgery). Treatment was continued until mandatory bilateral venography 5-9 days after surgery. The primary efficacy endpoint was a composite of any deep vein thrombosis (proximal and/or distal), confirmed non-fatal pulmonary embolism and all-cause mortality during treatment. The primary safety endpoint was major, postoperative bleeding during treatment. **RESULTS:** Of the 613 patients treated, 366 (59.7%) were evaluable for the primary efficacy analysis. The primary efficacy endpoint occurred in 31.7%, 40.4%, 23.3%, 35.1%, and 25.4% of patients receiving 2.5, 5, 10, 20 and 30 mg b.i.d. doses of BAY 59-7939, respectively (test for trend,  $P = 0.29$ ), compared with 44.3% in the enoxaparin group. The frequency of major, postoperative bleeding increased with increasing doses of BAY 59-7939 (test for trend,  $P = 0.0007$ ), with no significant difference between any dose group compared with enoxaparin. Bleeding endpoints were lower for the 2.5-10 mg b.i.d. doses compared with higher doses of BAY 59-7939. **CONCLUSIONS:** Oral administration of 2.5-10 mg b.i.d. of BAY 59-7939, early in the postoperative period, showed potential efficacy and an acceptable safety profile,

similar to enoxaparin, for the **prevention** of VTE in patients undergoing elective total knee replacement. [Journal Article; In English; England]

**Citation Subset Indicators:** Index Medicus

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**Journal Of Thrombosis And Haemostasis: JTH**

Volume 3, Issue 11 , November 2005, Pages 2479-2486

**ISSN:** 1538-7933

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**Acta Chirurgica Hungarica**

Volume 36, Issue 1-4, 1997, Pages 356-358

ISSN: 0231-4614

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## Efficacy of prevention of thromboembolic complications with LMW-heparin in experiment

Szücs, G; Mikó, I; Ajzner, E; Póti, L; Szepesi, K; Furka, I

Department of Orthopaedic Surgery, University Medical School of Debrecen, Hungary

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### Abstract

The authors used an antithrombotic agent (Nadroparin Calcium) with anti-Xa effect in their experiments to prevent thromboembolic complications in the model of endoprosthetic replacement of the hip joint in mongrel dogs. 10 experimental animals (Group I.) were given doses of 100 A Xa ICU/kg/bwt of Nadroparin Calcium subcutaneously 4 hours prior to the operation and also once a day until the 3rd postoperative day; between the 4th and 10th postoperative days doses of 150 A Xa ICU/kg/bwt Nadroparin Calcium were given. The 10 control animals (Group II.) did not receive anticoagulant treatment. In both groups platelet count, activated partial thromboplastin times (APTT), prothrombin and fibrinogen levels as well as activated factor X inhibition (F Xa) were measured prior to surgery and also until the 14th postoperative day. No changes in APTT and prothrombin levels were detected during the experiment, however platelet count and fibrinogen levels as well as the extent of F Xa inhibition showed significant and different changes in groups I. and II. The Group I. which had received thromboembolic prophylaxis did not develop deep venous thrombosis or pulmonary embolism, but the control group did. Based on their investigations, the authors concluded that they had been able to achieve F Xa inhibition by giving the above mentioned doses of Nadroparin Calcium which was enough to prevent thromboembolic complications in their model experiment of implanting hip endoprosthesis. [Journal Article; In English; Hungary]

**CAS Registry Numbers:** Anticoagulants; Fibrinolytic Agents; Nadroparin; 9001-26-7, Prothrombin; 9001-32-5, Fibrinogen; EC 3.4.21.6, **Factor Xa**

## Citation Subset Indicators: Index Medicus

**MeSH Terms:** Animals; Anticoagulants, administration & dosage (AD), \* therapeutic use (TU); Arthroplasty, Replacement, Hip; Disease Models, Animal; Dogs; ◀Factor Xa▶, antagonists & inhibitors (AI); Fibrinogen, analysis (AN); Fibrinolytic Agents, administration & dosage (AD), therapeutic use (TU); Follow-Up Studies; Injections, Subcutaneous; Nadroparin, administration & dosage (AD), \* therapeutic use (TU); Partial Thromboplastin Time; Platelet Count, drug effects (DE); Premedication; Prothrombin, analysis (AN); Pulmonary Embolism, \* ◀prevention▶ & control (PC); Thrombocytopenia, etiology (ET); Thrombophlebitis, \* ◀prevention▶ & control (PC)

### Acta Chirurgica Hungarica

Volume 36, Issue 1-4 , 1997, Pages 356-358

ISSN: 0231-4614

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**American Journal Of Cardiovascular Drugs: Drugs, Devices, And Other Interventions**

Volume 4, Issue 6, 2004, Pages 379-384

ISSN: 1175-3277

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## Clinical and experimental experience with factor Xa ▶ inhibitors

**Viles-Gonzalez, Juan F; Gaztanaga, Juan; Zafar, Urooj M; Fuster, Valentin;  
Badimon, Juan J**Cardiovascular Biology Research Laboratory, Mount Sinai School of Medicine,  
Zena and Michael A. Wiener Cardiovascular Institute, New York, New York  
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## Abstract

Cardiovascular disease is the major cause of mortality in the industrial world today. We are constantly moving towards new and better ways of fighting this epidemic. Advances have been made in various fields such as patient education, imaging techniques, interventional cardiology, and novel therapeutic agents. In particular, antithrombotics are being studied with great interest and hope. Amid this class of agents, **▶factor Xa▶** inhibitors have already begun to show promising results in trials involving patients with acute coronary syndromes. Whereas DX-9065a is in late stage clinical trials, fondaparinux sodium is available for clinical use. Promising results have been obtained with fondaparinux sodium in patients with coronary artery disease in the PENTUA (Pentasaccharide in Unstable Angina) and PENTALYSE (Pentasaccharide as an Adjunct to Fibrinolysis in ST-Elevation Acute **▶Myocardial Infarction▶**) trials. Besides having a direct effect on the coagulation cascade, they have shown properties that indirectly influence the remodeling of plaques in the coronary circulation. Available evidence on **▶factor Xa▶** inhibitors does not ensure a remedy to acute coronary syndromes but it gives hope of improving current treatments and reducing the morbidity and mortality of cardiovascular disease. The efficacy and tolerability of fondaparinux sodium in the prevention and treatment of deep vein thrombosis (with or without pulmonary embolism) has been established in several large trials such as PENTATHLON (Pentasaccharide in Total Hip Replacement Surgery), PENTAMAKS (Pentasaccharide in Major Knee Surgery), EPHEUS (European Pentasaccharide Hip

Elective Surgery), PENTHIFRA (Pentasaccharide in Hip-Fracture Surgery), and PENTHIFRA-Plus. Whereas fondaparinux sodium offers benefits over low molecular weight heparins and unfractionated heparin, the incidence of bleeding complications was greater with fondaparinux sodium than with unfractionated heparin. Treatment with factor VIIa can reverse the anticoagulant effect of fondaparinux sodium and this may be particularly important in patients who need to undergo emergency surgical procedures. Fondaparinux sodium has been recently approved for use, in conjunction with warfarin, in patients with symptomatic deep vein thrombosis or acute pulmonary embolism based on the results of two large trials conducted by the Matisse investigators. In conclusion, these observations strongly suggest the clinical potential of this class of agents in preventing arterial and venous thrombosis. [Journal Article, Review, Review, Tutorial; 37 Refs; In English; New Zealand]

**CAS Registry Numbers:** Anticoagulants; EC 3.4.21.6, ◀Factor Xa▶

**Citation Subset Indicators:** Index Medicus

**MeSH Terms:** Anticoagulants, pharmacology (PD), \* therapeutic use (TU); Coronary Arteriosclerosis, \* drug therapy (DT); Dose-Response Relationship, Drug; ◀Factor Xa▶, \* antagonists & inhibitors (AI); Humans; Randomized Controlled Trials; Venous Thrombosis, \* prevention & control (PC)

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**American Journal Of Cardiovascular Drugs: Drugs, Devices, And Other Interventions**

Volume 4, Issue 6 , 2004, Pages 379-384  
**ISSN:** 1175-3277

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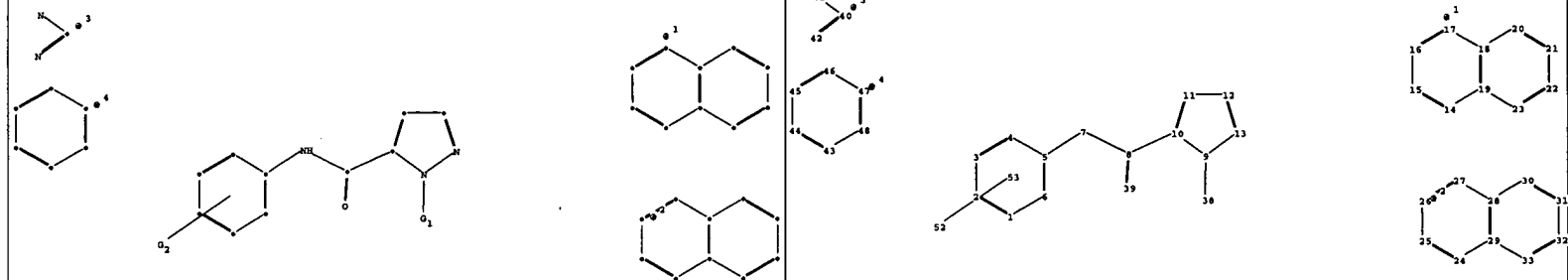
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NPL

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chain nodes :

7 8 38 39 40 41 42 52

ring nodes :

1 2 3 4 5 6 9 10 11 12 13 14 15 16 17 18 19 20 21 22 23 24 25 26 27  
28 29 30 31 32 33 43 44 45 46 47 48

chain bonds :

5-7 7-8 8-10 8-39 9-38 40-41 40-42

ring bonds :

1-2 1-6 2-3 3-4 4-5 5-6 9-10 9-13 10-11 11-12 12-13 14-15 14-19 15-16 16-17  
17-18 18-19 18-20 19-23 20-21 21-22 22-23 24-25 24-29 25-26 26-27 27-28 28-29  
28-30 29-33 30-31 31-32 32-33 43-44 43-48 44-45 45-46 46-47 47-48

exact/norm bonds :

5-7 7-8 8-39 9-10 9-13 9-38 12-13 40-41 40-42

exact bonds :

8-10 10-11 11-12

normalized bonds :

1-2 1-6 2-3 3-4 4-5 5-6 14-15 14-19 15-16 16-17 17-18 18-19 18-20 19-23 20-21  
21-22 22-23 24-25 24-29 25-26 26-27 27-28 28-29 28-30 29-33 30-31 31-32 32-33  
43-44 43-48 44-45 45-46 46-47 47-48

isolated ring systems :

containing 1 : 9 : 14 : 24 : 43 :

G1:[\*1],[\*2]

G2:[\*3],[\*4]

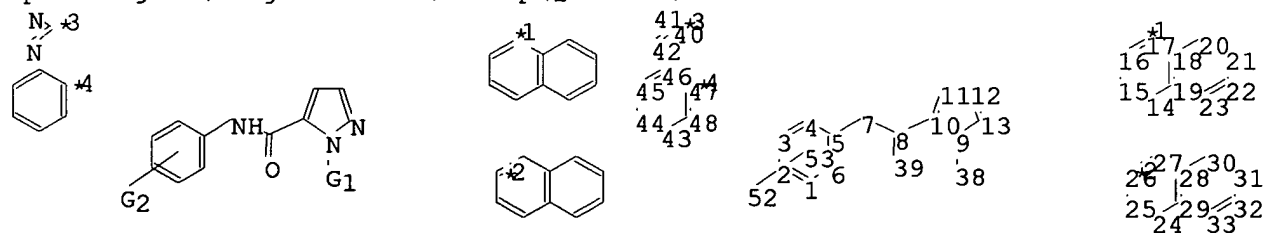
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46:Atom 47:Atom 48:Atom 52:CLASS 53:CLASS

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chain nodes :

7 8 38 39 40 41 42 52

ring nodes :

1 2 3 4 5 6 9 10 11 12 13 14 15 16 17 18 19 20 21 22 23 24 25  
26 27 28 29 30 31 32 33 43 44 45 46 47 48

chain bonds :

5-7 7-8 8-10 8-39 9-38 40-41 40-42

ring bonds :

1-2 1-6 2-3 3-4 4-5 5-6 9-10 9-13 10-11 11-12 12-13 14-15 14-19 15-16  
16-17 17-18 18-19 18-20 19-23 20-21 21-22 22-23 24-25 24-29 25-26 26-27  
27-28 28-29 28-30 29-33 30-31 31-32 32-33 43-44 43-48 44-45 45-46 46-47  
47-48

exact/norm bonds :

5-7 7-8 8-39 9-10 9-13 9-38 12-13 40-41 40-42

exact bonds :

8-10 10-11 11-12

normalized bonds :

1-2 1-6 2-3 3-4 4-5 5-6 14-15 14-19 15-16 16-17 17-18 18-19 18-20  
19-23 20-21 21-22 22-23 24-25 24-29 25-26 26-27 27-28 28-29 28-30 29-33  
30-31 31-32 32-33 43-44 43-48 44-45 45-46 46-47 47-48

isolated ring systems :

containing 1 : 9 : 14 : 24 : 43 :

G1: [\*1], [\*2]

G2: [\*3], [\*4]

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:CLASS 8:CLASS 9:Atom 10:Atom  
11:Atom 12:Atom 13:Atom 14:Atom 15:Atom 16:Atom 17:Atom 18:Atom 19:Atom  
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29:Atom 30:Atom 31:Atom 32:Atom 33:Atom 38:CLASS 39:CLASS 40:CLASS 41:CLASS  
42:CLASS 43:Atom 44:Atom 45:Atom 46:Atom 47:Atom 48:Atom 52:CLASS 53:CLASS

L1        STRUCTURE UPLOADED

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L1 HAS NO ANSWERS

L1        STR

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

Structure attributes must be viewed using STN Express query preparation.

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100.0% PROCESSED        11 ITERATIONS

5 ANSWERS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS:    ONLINE    \*\*COMPLETE\*\*

BATCH    \*\*COMPLETE\*\*

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PROJECTED ANSWERS:        5 TO        234

L2        5 SEA SSS SAM L1

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100.0% PROCESSED        310 ITERATIONS

129 ANSWERS

SEARCH TIME: 00.00.01

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L4        8 L3

=> d l4 1-8 bib,ab,hitstr

L4 ANSWER 1 OF 8 CAPLUS COPYRIGHT 2006 ACS on STN

AN 2004:153587 CAPLUS

DN 140:368085

TI 1-(2-Naphthyl)-1H-pyrazole-5-carboxylamides as potent factor Xa inhibitors. Part 3: Design, synthesis and SAR of orally bioavailable benzamidine-P4 inhibitors

AU Jia, Zhaozhong J.; Wu, Yanhong; Huang, Wenrong; Zhang, Penglie; Song, Yonghong; Woolfrey, John; Sinha, Uma; Arfsten, Ann E.; Edwards, Susan T.; Hutchaleelaha, Athiwat; Hollennbach, Stanley J.; Lambing, Joseph L.; Scarborough, Robert M.; Zhu, Bing-Yan

CS Millennium Pharmaceuticals, Inc., South San Francisco, CA, 94080, USA

SO Bioorganic & Medicinal Chemistry Letters (2004), 14(5), 1229-1234

CODEN: BMCLE8; ISSN: 0960-894X

PB Elsevier Science B.V.

DT Journal

LA English

OS CASREACT 140:368085

AB Using N,N-dialkylated benzamidines as the novel P4 motifs, we have designed and synthesized a class of 1-(2-naphthyl)-1H-pyrazole-5-carboxylamides as highly potent and selective fXa inhibitors with significantly improved hydrophilicity and in vitro anticoagulant activity. These benzamidine-P4 fXa inhibitors have displayed excellent oral bioavailability and long half-life.

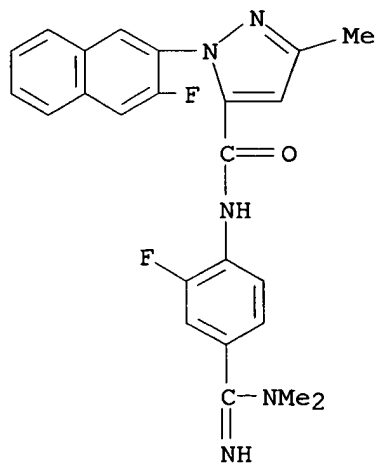
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RL: PAC (Pharmacological activity); PKT (Pharmacokinetics); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation, anticoagulant effect and structure-activity relationship of orally bioavailable benzamidines as potent factor Xa inhibitors)

RN 441327-92-0 CAPLUS

CN 1H-Pyrazole-5-carboxamide, N-[4-[(dimethylamino)iminomethyl]-2-fluorophenyl]-1-(3-fluoro-2-naphthalenyl)-3-methyl- (9CI) (CA INDEX NAME)



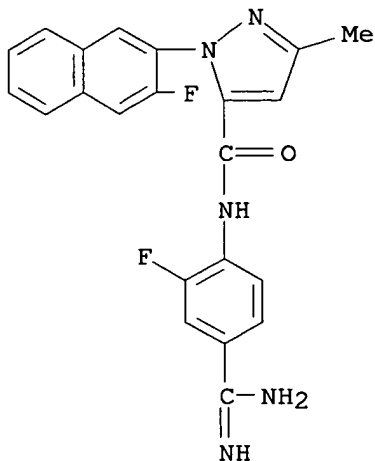
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684270-93-7P 684270-94-8P 684270-95-9P  
684270-96-0P**

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation, anticoagulant effect and structure-activity relationship of orally bioavailable benzamidines as potent factor Xa inhibitors)

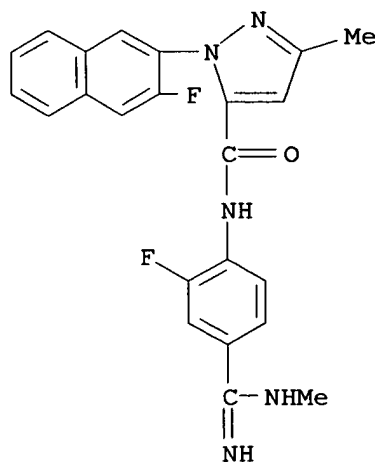
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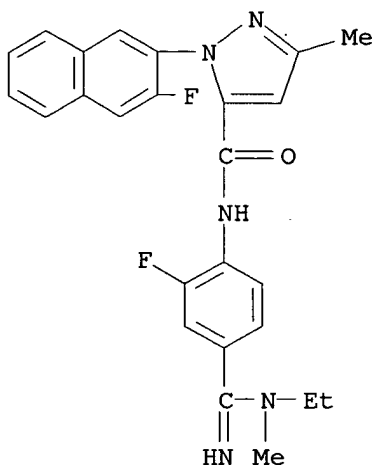
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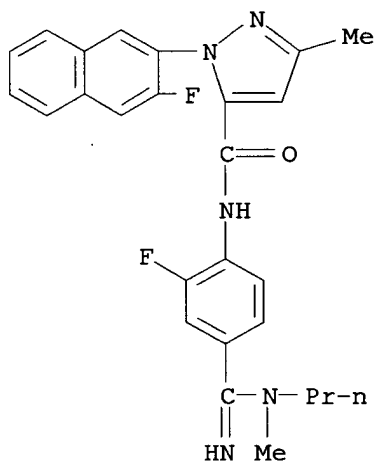
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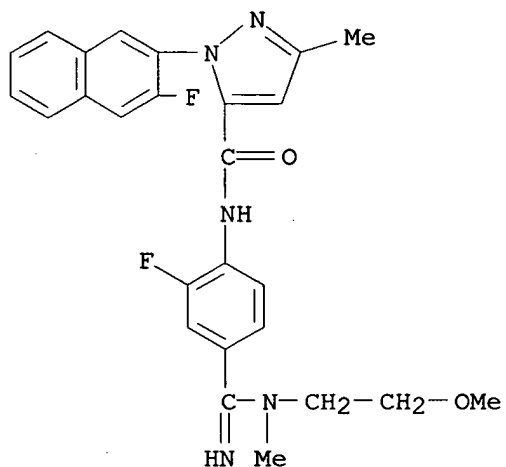
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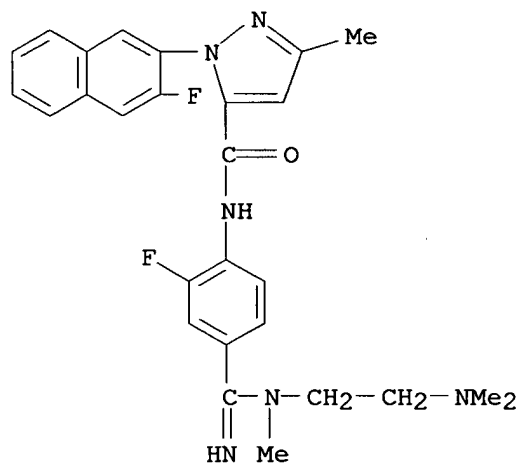
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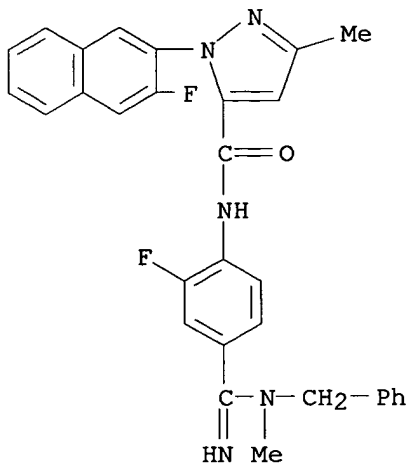
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RN 684270-96-0 CAPLUS

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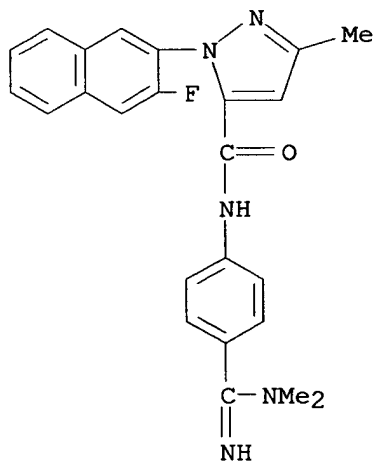
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441328-10-5 441328-39-8 684270-90-4

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL  
(Biological study); USES (Uses)

(preparation, anticoagulant effect and structure-activity relationship of orally bioavailable benzamidines as potent factor Xa inhibitors)

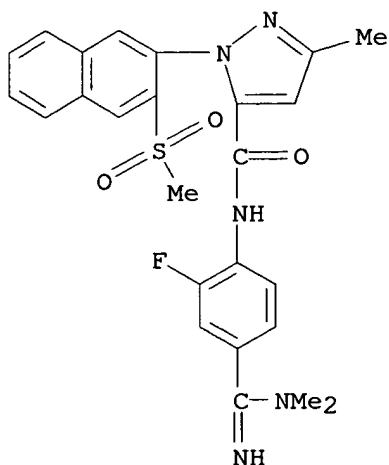
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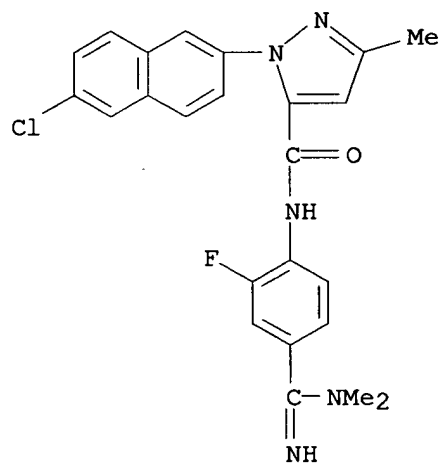
RN 330802-67-0 CAPLUS

CN 1H-Pyrazole-5-carboxamide, N-[4-[(dimethylamino)iminomethyl]-2-fluorophenyl]-3-methyl-1-[3-(methylsulfonyl)-2-naphthalenyl]- (9CI) (CA INDEX NAME)



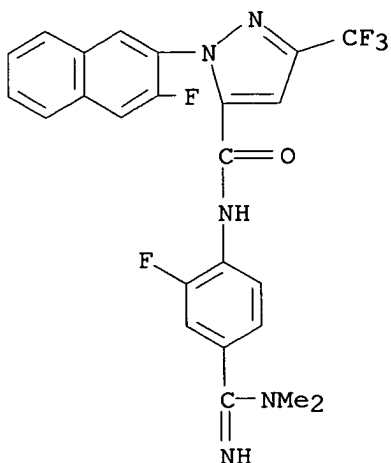
RN 330803-08-2 CAPLUS

CN 1H-Pyrazole-5-carboxamide, 1-(6-chloro-2-naphthalenyl)-N-[4-[(dimethylamino)iminomethyl]-2-fluorophenyl]-3-methyl- (9CI) (CA INDEX NAME)



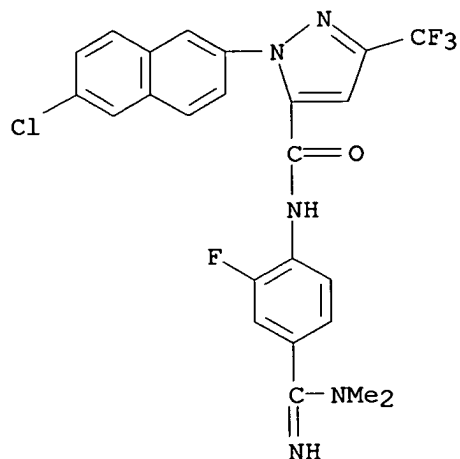
RN 441328-10-5 CAPLUS

CN 1H-Pyrazole-5-carboxamide, N-[4-[(dimethylamino)iminomethyl]-2-fluorophenyl]-1-(3-fluoro-2-naphthalenyl)-3-(trifluoromethyl)- (9CI) (CA INDEX NAME)



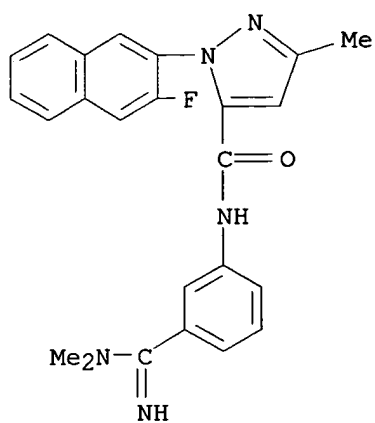
RN 441328-39-8 CAPLUS

CN 1H-Pyrazole-5-carboxamide, 1-(6-chloro-2-naphthalenyl)-N-[4-  
[(dimethylamino)iminomethyl]-2-fluorophenyl]-3-(trifluoromethyl)- (9CI)  
(CA INDEX NAME)



RN 684270-90-4 CAPLUS

CN 1H-Pyrazole-5-carboxamide, N-[3-[(dimethylamino)iminomethyl]phenyl]-1-(3-  
fluoro-2-naphthalenyl)-3-methyl- (9CI) (CA INDEX NAME)



RE.CNT 19 THERE ARE 19 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 2 OF 8 CAPLUS COPYRIGHT 2006 ACS on STN

AN 2004:153586 CAPLUS

DN 140:368084

TI 1-(2-Naphthyl)-1H-pyrazole-5-carboxylamides as potent factor Xa inhibitors. Part 2: A survey of P4 motifs

AU Jia, Zhaozhong J.; Wu, Yanhong; Huang, Wenrong; Zhang, Penglie; Clizbe, Lane A.; Goldman, Erick A.; Sinha, Uma; Arfsten, Ann E.; Edwards, Susan T.; Alphonso, Merlyn; Hutchaleelaha, Athiwat; Scarborough, Robert M.; Zhu, Bing-Yan

CS Millennium Pharmaceuticals, Inc., South San Francisco, CA, 94080, USA

SO Bioorganic & Medicinal Chemistry Letters (2004), 14(5), 1221-1227  
CODEN: BMCLE8; ISSN: 0960-894X

PB Elsevier Science B.V.

DT Journal

LA English

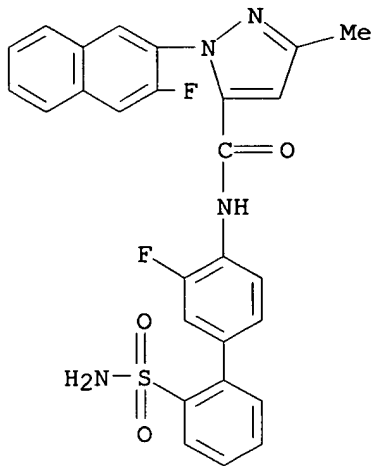
AB A variety of P4 motifs have been examined to increase the binding affinity and in vitro anticoagulant potency of our biphenyl 1-(2-naphthyl)-1H-pyrazole-5-carboxylamide-based fXa inhibitors. Highly potent 2-naphthyl-P1 fXa inhibitors ( $K_i \leq 2$  nM) with improved in vitro anticoagulant activity ( $2+TG \leq 1$   $\mu$ M) and respectable pharmacokinetic properties have been discovered.

IT 330801-95-1 330802-45-4 330803-01-5  
330803-02-6 330803-03-7 441327-83-9  
441328-47-8 684233-55-4 684233-56-5  
684233-57-6 684233-58-7 684233-59-8  
684233-60-1

RL: PAC (Pharmacological activity); BIOL (Biological study)  
(1-(2-Naphthyl)-1H-pyrazole-5-carboxylamides as potent factor Xa inhibitors)

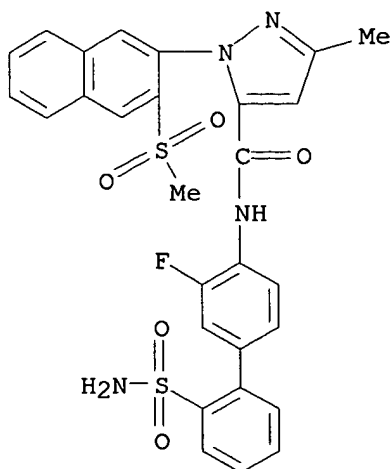
RN 330801-95-1 CAPLUS

CN 1H-Pyrazole-5-carboxamide, N-[2'-(aminosulfonyl)-3-fluoro[1,1'-biphenyl]-4-yl]-1-(3-fluoro-2-naphthalenyl)-3-methyl- (9CI) (CA INDEX NAME)



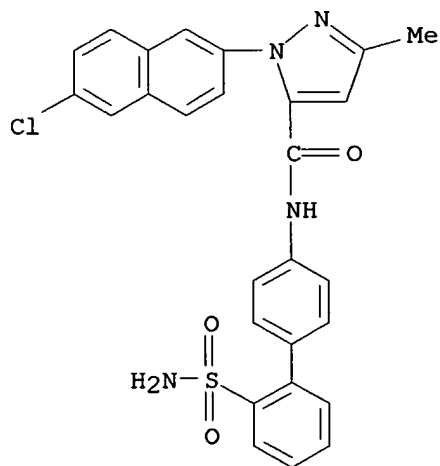
RN 330802-45-4 CAPLUS

CN 1H-Pyrazole-5-carboxamide, N-[2'-(aminosulfonyl)-3-fluoro[1,1'-biphenyl]-4-yl]-3-methyl-1-[3-(methylsulfonyl)-2-naphthalenyl]- (9CI) (CA INDEX NAME)



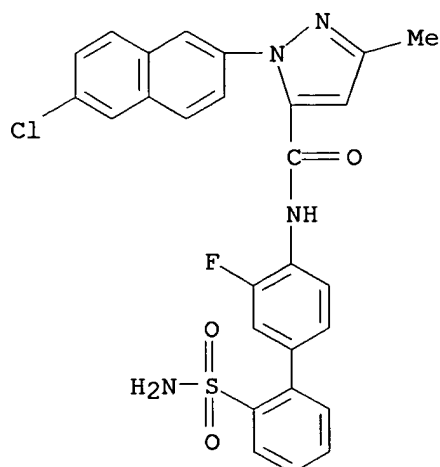
RN 330803-01-5 CAPLUS

CN 1H-Pyrazole-5-carboxamide, N-[2'-(aminosulfonyl)[1,1'-biphenyl]-4-yl]-1-(6-chloro-2-naphthalenyl)-3-methyl- (9CI) (CA INDEX NAME)



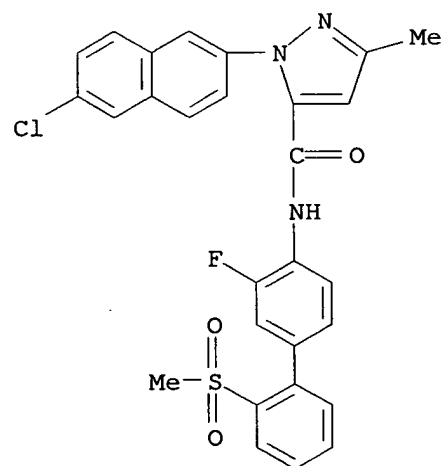
RN 330803-02-6 CAPLUS

CN 1H-Pyrazole-5-carboxamide, N-[2'-(aminosulfonyl)-3-fluoro[1,1'-biphenyl]-4-yl]-1-(6-chloro-2-naphthalenyl)-3-methyl- (9CI) (CA INDEX NAME)



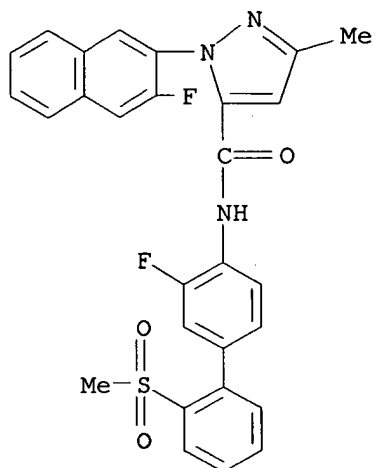
RN 330803-03-7 CAPLUS

CN 1H-Pyrazole-5-carboxamide, 1-(6-chloro-2-naphthalenyl)-N-[3-fluoro-2'-(methylsulfonyl)[1,1'-biphenyl]-4-yl]-3-methyl- (9CI) (CA INDEX NAME)



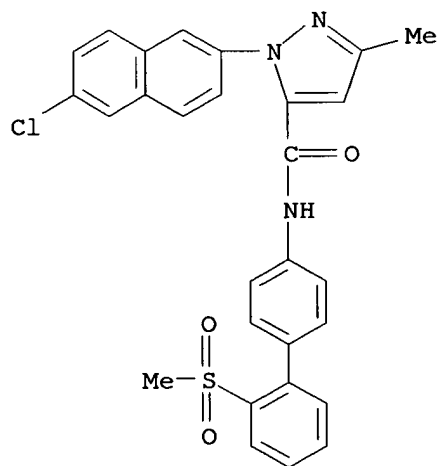
RN 441327-83-9 CAPLUS

CN 1H-Pyrazole-5-carboxamide, N-[3-fluoro-2'-(methylsulfonyl)[1,1'-biphenyl]-4-yl]-1-(3-fluoro-2-naphthalenyl)-3-methyl- (9CI) (CA INDEX NAME)



RN 441328-47-8 CAPLUS

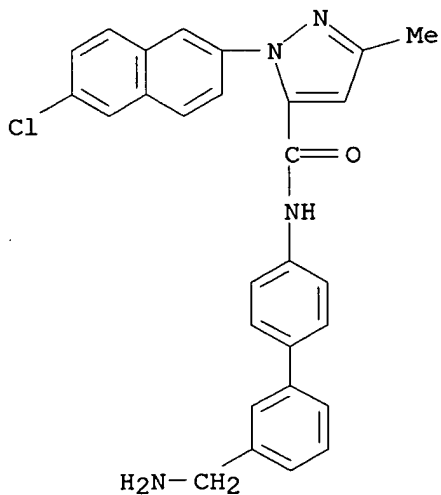
CN 1H-Pyrazole-5-carboxamide, 1-(6-chloro-2-naphthalenyl)-3-methyl-N-[2'-(methylsulfonyl)[1,1'-biphenyl]-4-yl]- (9CI) (CA INDEX NAME)



RN 684233-55-4 CAPLUS

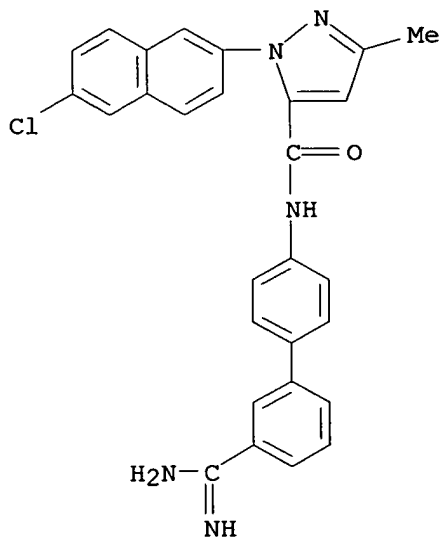
CN 1H-Pyrazole-5-carboxamide, N-[3'-(aminomethyl)[1,1'-biphenyl]-4-yl]-1-(6-chloro-2-naphthalenyl)-3-methyl- (9CI) (CA INDEX NAME)





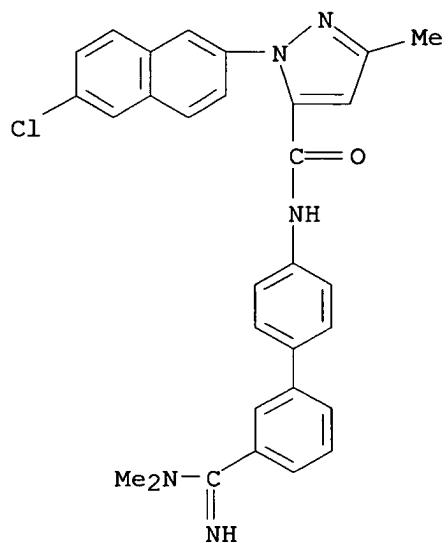
RN 684233-56-5 CAPLUS

CN 1H-Pyrazole-5-carboxamide, N-[3'-(aminoiminomethyl)[1,1'-biphenyl]-4-yl]-1-(6-chloro-2-naphthalenyl)-3-methyl- (9CI) (CA INDEX NAME)



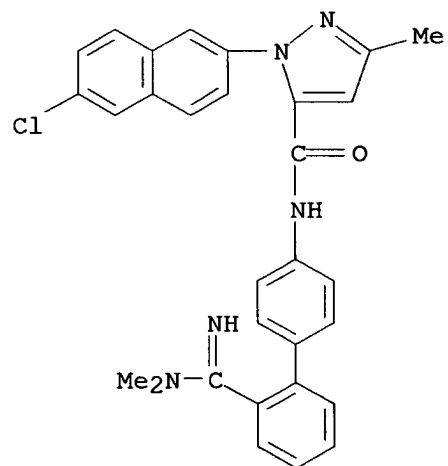
RN 684233-57-6 CAPLUS

CN 1H-Pyrazole-5-carboxamide, 1-(6-chloro-2-naphthalenyl)-N-[3'-(dimethylamino)iminomethyl][1,1'-biphenyl]-4-yl]-3-methyl- (9CI) (CA INDEX NAME)



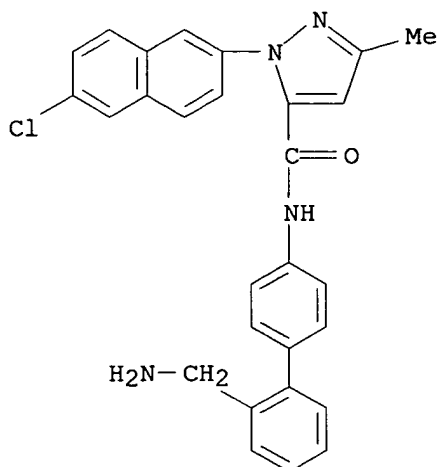
RN 684233-58-7 CAPLUS

CN 1H-Pyrazole-5-carboxamide, 1-(6-chloro-2-naphthalenyl)-N-[2'-  
[(dimethylamino)iminomethyl][1,1'-biphenyl]-4-yl]-3-methyl- (9CI) (CA  
INDEX NAME)



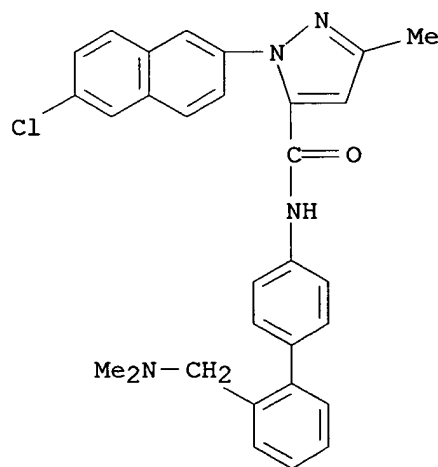
RN 684233-59-8 CAPLUS

CN 1H-Pyrazole-5-carboxamide, N-[2'-(aminomethyl)[1,1'-biphenyl]-4-yl]-1-(6-  
chloro-2-naphthalenyl)-3-methyl- (9CI) (CA INDEX NAME)



RN 684233-60-1 CAPLUS

CN 1H-Pyrazole-5-carboxamide, 1-(6-chloro-2-naphthalenyl)-N-[2'-[(dimethylamino)methyl][1,1'-biphenyl]-4-yl]-3-methyl- (9CI) (CA INDEX NAME)

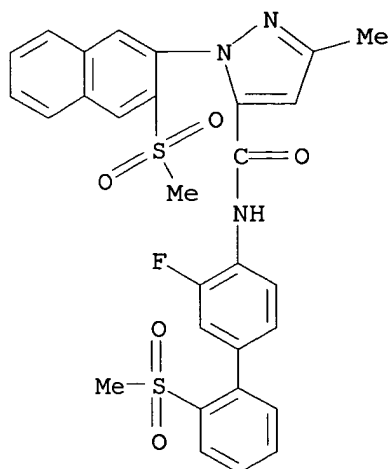


IT 441328-60-5 684233-61-2 684233-64-5  
684233-67-8

RL: PAC (Pharmacological activity); PKT (Pharmacokinetics); BIOL  
(Biological study)  
(1-(2-Naphthyl)-1H-pyrazole-5-carboxylamides as potent factor Xa  
inhibitors)

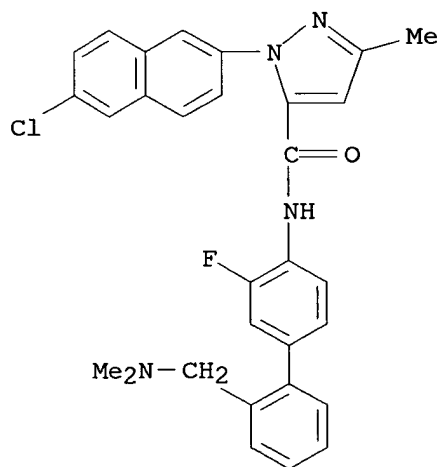
RN 441328-60-5 CAPLUS

CN 1H-Pyrazole-5-carboxamide, N-[3-fluoro-2'-(methylsulfonyl)[1,1'-biphenyl]-4-yl]-3-methyl-1-[3-(methylsulfonyl)-2-naphthalenyl]- (9CI) (CA INDEX NAME)



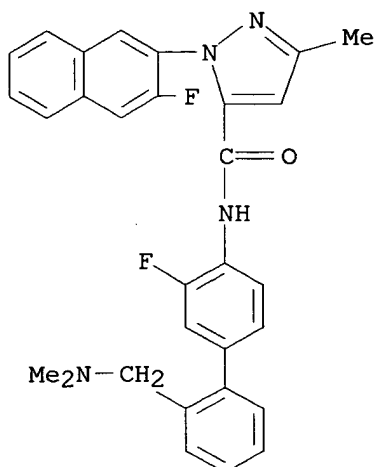
RN 684233-61-2 CAPLUS

CN 1H-Pyrazole-5-carboxamide, 1-(6-chloro-2-naphthalenyl)-N-[2'-[(dimethylamino)methyl]-3-fluoro[1,1'-biphenyl]-4-yl]-3-methyl- (9CI) (CA INDEX NAME)



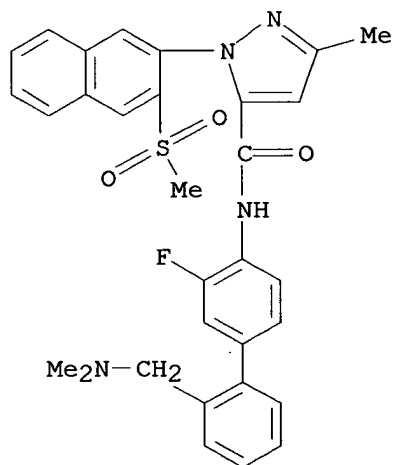
RN 684233-64-5 CAPLUS

CN 1H-Pyrazole-5-carboxamide, N-[2'-[(dimethylamino)methyl]-3-fluoro[1,1'-biphenyl]-4-yl]-1-(3-fluoro-2-naphthalenyl)-3-methyl- (9CI) (CA INDEX NAME)



RN 684233-67-8 CAPLUS

CN 1H-Pyrazole-5-carboxamide, N-[2'-[(dimethylamino)methyl]-3-fluoro[1,1'-biphenyl]-4-yl]-3-methyl-1-[3-(methylsulfonyl)-2-naphthalenyl]- (9CI) (CA INDEX NAME)



RE.CNT 30 THERE ARE 30 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 3 OF 8 CAPLUS COPYRIGHT 2006 ACS on STN

AN 2003:432456 CAPLUS

DN 139:390996

TI Inhibition of Purified Factor Xa Amidolytic Activity May Not Be Predictive of Inhibition of In Vivo Thrombosis

AU Sinha, Uma; Lin, Pei Hua; Edwards, Susan T.; Wong, Paul W.; Zhu, Bingyan; Scarborough, Robert M.; Su, Ting; Jia, Zhaozhong J.; Song, Yonghong; Zhang, Penglie; Clizbe, Lane; Park, Gary; Reed, Andrea; Hollenbach, Stanley J.; Malinowski, John; Arfsten, Ann E.

CS Millennium Pharmaceuticals Inc, South San Francisco, CA, USA

SO Arteriosclerosis, Thrombosis, and Vascular Biology (2003) 23(6), 1098-1104

CODEN: ATVBFA; ISSN: 1079-5642

PB Lippincott Williams & Wilkins

DT Journal

LA English

AB In this study we test the hypothesis that blood/plasma-based prothrombinase assays, rather than inhibition of purified factor Xa (fXa), are predictive of in vivo antithrombotic activity. Six fXa inhibitors with equivalent nanomolar  $K_i$  were studied in thrombin generation assays using human plasma/blood and endogenous macromol. substrate. In all assays, benzamidine inhibitors were more potent (100 to 800 nmol/L) than the aminoisoquinolines (5 to 58  $\mu$ mol/L) or neutral inhibitors (3 to 10  $\mu$ mol/L). A similar rank order of compound inhibition was also seen in purified prothrombinase assays as well as in a rabbit model of deep vein thrombosis. Assays using prothrombinase with protein substrates are better predictors of in vivo efficacy than fXa  $K_i$  using amidolytic substrates.

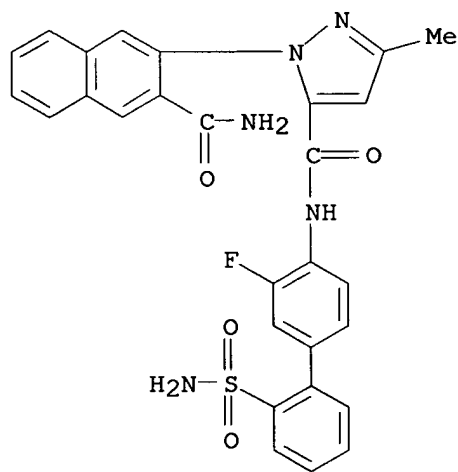
IT 330802-28-3

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(inhibition of purified factor Xa amidolytic activity may not be predictive of inhibition of in vivo thrombosis)

RN 330802-28-3 CAPLUS

CN 1H-Pyrazole-5-carboxamide, 1-[3-(aminocarbonyl)-2-naphthalenyl]-N-[2'-(aminosulfonyl)-3-fluoro[1,1'-biphenyl]-4-yl]-3-methyl- (9CI) (CA INDEX NAME)



RE.CNT 22 THERE ARE 22 CITED REFERENCES AVAILABLE FOR THIS RECORD

L4 ANSWER 4 OF 8 .CAPLUS COPYRIGHT 2006 ACS on STN  
 AN 2002:522631 CAPLUS  
 DN 137:93747  
 TI Preparation of pyrazolecarboxamides as inhibitors of factor Xa  
 IN Zhu, Bing-yan; Jia, Zhaozhong Jon; Huang, Wenrong; Song, Yonghong; Kanter, James; Scarborough, Robert M.  
 PA USA  
 SO U.S. Pat. Appl. Publ., 303 pp., Cont.-in-part of U.S. Ser. No. 662,807.  
 CODEN: USXXCO  
 DT Patent  
 LA English  
 FAN.CNT 6

*Appl*

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 2002091116	A1	20020711	US 2001-794214	20010228
	US 6632815	B2	20031014		
	US 6720317	B1	20040413	US 2000-662807	20000915
	US 6686368	B1	20040203	US 2003-387927	20030312
	US 2004116399	A1	20040617	US 2003-600695	20030620
PRAI	US 1999-154332P	P	19990917		
	US 2000-662807	A2	20000915		
	US 2001-794214	A1	20010228		

*No ODP*

*no ODP*

OS MARPAT 137:93747

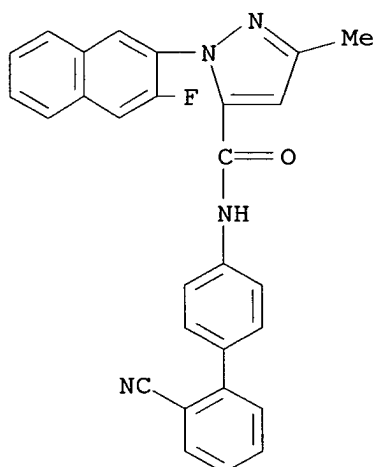
AB The title compds. AQDEGJX [A = alkyl, cycloalkyl, (un)substituted Ph, naphthyl, etc.; Q = a direct link, divalent alkyl, alkenyl, etc.; D = a direct link, (un)substituted Ph, 5-10 membered (non)aromatic heterocyclyl; E = a direct link, (CH<sub>2</sub>)qCO, CO(CH<sub>2</sub>)x, etc.; q, x = 0-2; G = (un)substituted Ph, 5-6 membered heteroaryl; J = a direct link, SO<sub>2</sub>, CO, etc.; X = (un)substituted Ph, naphthyl, 6-membered heteroaryl, etc.] having activity against mammalian factor Xa, and useful in vitro or in vivo for preventing or treating coagulation disorders, were prepared E.g., a 3-step synthesis of the pyrazolecarboxamide I was given.

IT **330802-00-1P 330802-43-2P 330802-51-2P**

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)  
 (preparation of pyrazolecarboxamides as inhibitors of factor Xa)

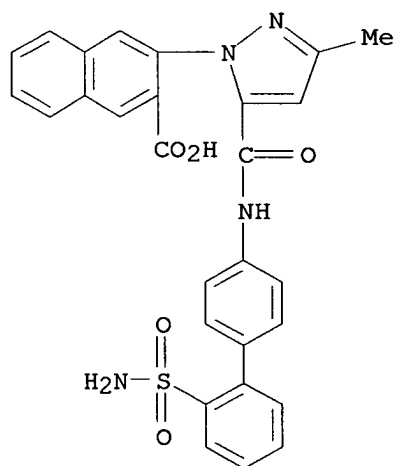
RN 330802-00-1 CAPLUS

CN 1H-Pyrazole-5-carboxamide, N-(2'-cyano[1,1'-biphenyl]-4-yl)-1-(3-fluoro-2-naphthalenyl)-3-methyl- (9CI) (CA INDEX NAME)



RN 330802-43-2 CAPLUS

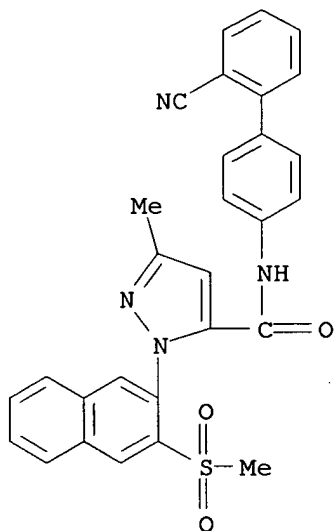
CN 2-Naphthalenecarboxylic acid, 3-[5-[[[2'-(aminosulfonyl)[1,1'-biphenyl]-4-yl]amino]carbonyl]-3-methyl-1H-pyrazol-1-yl]- (9CI) (CA INDEX NAME)



RN 330802-51-2 CAPLUS

CN 1H-Pyrazole-5-carboxamide, N-(2'-cyano[1,1'-biphenyl]-4-yl)-3-methyl-1-[3-(methylsulfonyl)-2-naphthalenyl]- (9CI) (CA INDEX NAME)





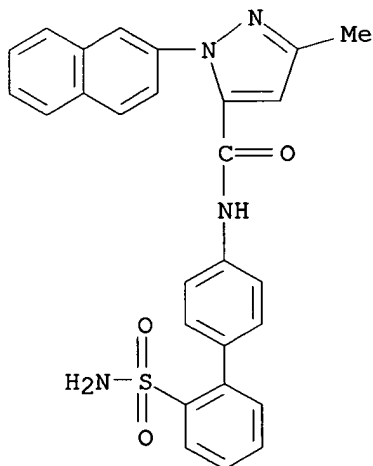
IT 330801-92-8P 330801-94-0P 330801-95-1P  
 330801-96-2P 330801-97-3P 330802-01-2P  
 330802-02-3P 330802-03-4P 330802-07-8P  
 330802-12-5P 330802-18-1P 330802-21-6P  
 330802-22-7P 330802-23-8P 330802-24-9P  
 330802-25-0P 330802-26-1P 330802-27-2P  
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 441328-68-3P 441328-69-4P 441328-70-7P  
 441328-74-1P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU  
 (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES  
 (Uses)

(preparation of pyrazolecarboxamides as inhibitors of factor Xa)

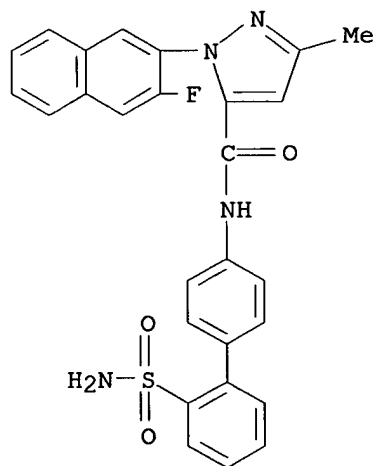
RN 330801-92-8 CAPLUS

CN 1H-Pyrazole-5-carboxamide, N-[2'-(aminosulfonyl)[1,1'-biphenyl]-4-yl]-3-methyl-1-(2-naphthalenyl)- (9CI) (CA INDEX NAME)



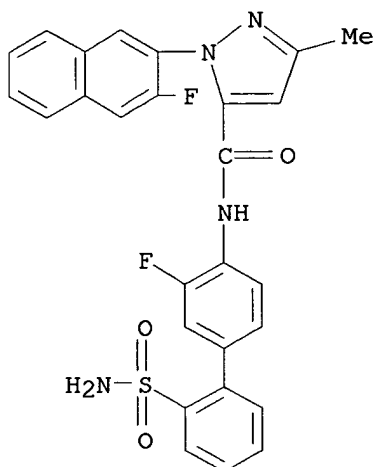
RN 330801-94-0 CAPLUS

CN 1H-Pyrazole-5-carboxamide, N-[2'-(aminosulfonyl)[1,1'-biphenyl]-4-yl]-1-(3-fluoro-2-naphthalenyl)-3-methyl- (9CI) (CA INDEX NAME)



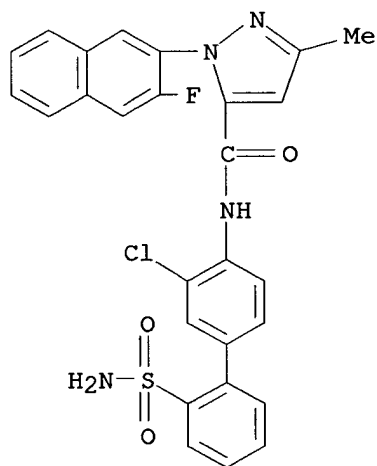
RN 330801-95-1 CAPLUS

CN 1H-Pyrazole-5-carboxamide, N-[2'-(aminosulfonyl)-3-fluoro[1,1'-biphenyl]-4-yl]-1-(3-fluoro-2-naphthalenyl)-3-methyl- (9CI) (CA INDEX NAME)



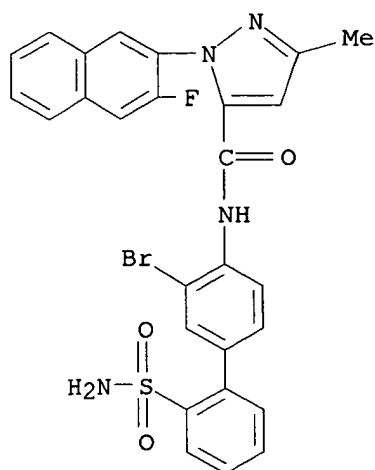
RN 330801-96-2 CAPLUS

CN 1H-Pyrazole-5-carboxamide, N-[2'-(aminosulfonyl)-3-chloro[1,1'-biphenyl]-4-yl]-1-(3-fluoro-2-naphthalenyl)-3-methyl- (9CI) (CA INDEX NAME)



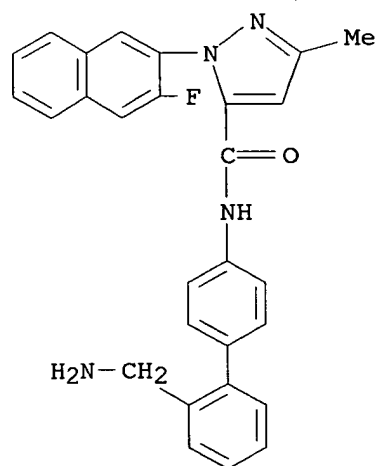
RN 330801-97-3 CAPLUS

CN 1H-Pyrazole-5-carboxamide, N-[2'-(aminosulfonyl)-3-bromo[1,1'-biphenyl]-4-yl]-1-(3-fluoro-2-naphthalenyl)-3-methyl- (9CI) (CA INDEX NAME)



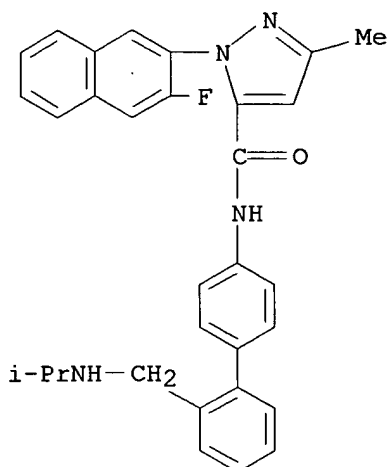
RN 330802-01-2 CAPLUS

CN 1H-Pyrazole-5-carboxamide, N-[2'-(aminomethyl)[1,1'-biphenyl]-4-yl]-1-(3-fluoro-2-naphthalenyl)-3-methyl- (9CI) (CA INDEX NAME)



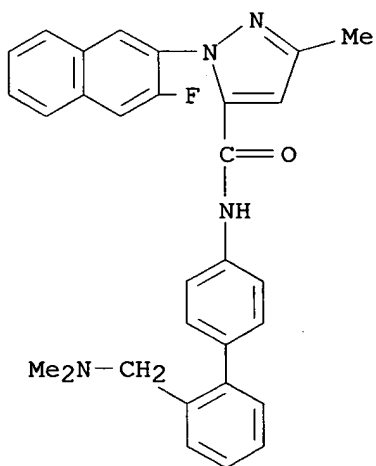
RN 330802-02-3 CAPLUS

CN 1H-Pyrazole-5-carboxamide, 1-(3-fluoro-2-naphthalenyl)-3-methyl-N-[2'-[[[(1-methylethyl)amino]methyl][1,1'-biphenyl]-4-yl]- (9CI) (CA INDEX NAME)



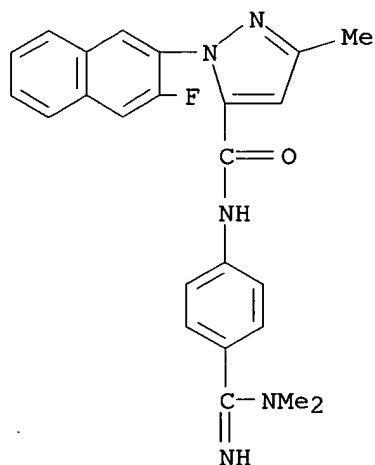
RN 330802-03-4 CAPLUS

CN 1H-Pyrazole-5-carboxamide, N-[2'-[(dimethylamino)methyl][1,1'-biphenyl]-4-yl]-1-(3-fluoro-2-naphthalenyl)-3-methyl- (9CI) (CA INDEX NAME)



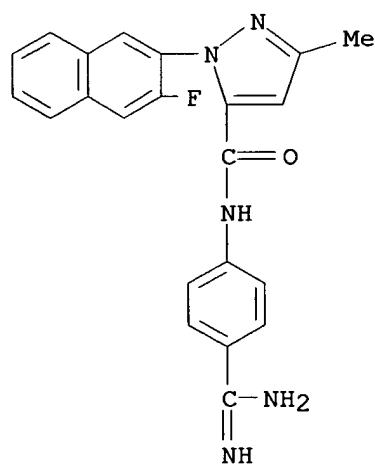
RN 330802-07-8 CAPLUS

CN 1H-Pyrazole-5-carboxamide, N-[4-[(dimethylamino)iminomethyl]phenyl]-1-(3-fluoro-2-naphthalenyl)-3-methyl- (9CI) (CA INDEX NAME)



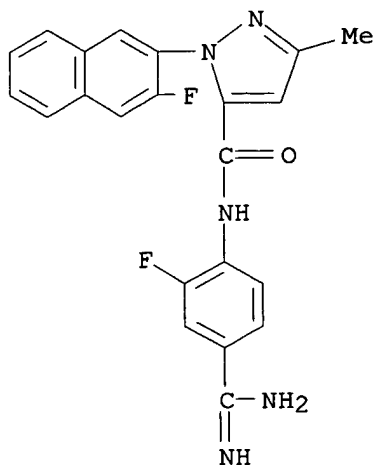
RN 330802-12-5 CAPLUS

CN 1H-Pyrazole-5-carboxamide, N-[4-(aminoiminomethyl)phenyl]-1-(3-fluoro-2-naphthalenyl)-3-methyl- (9CI) (CA INDEX NAME)



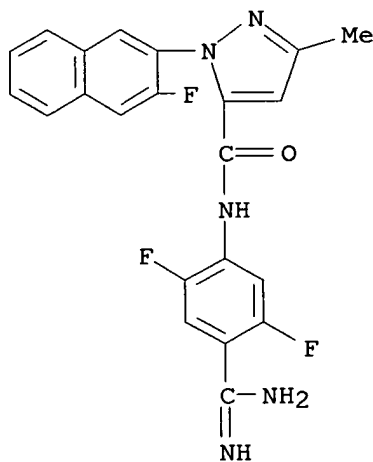
RN 330802-18-1 CAPLUS

CN 1H-Pyrazole-5-carboxamide, N-[4-(aminoiminomethyl)-2-fluorophenyl]-1-(3-fluoro-2-naphthalenyl)-3-methyl- (9CI) (CA INDEX NAME)



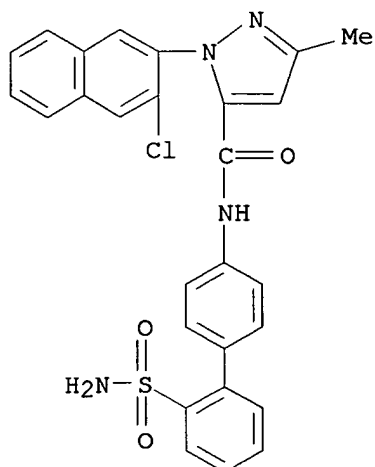
RN 330802-21-6 CAPLUS

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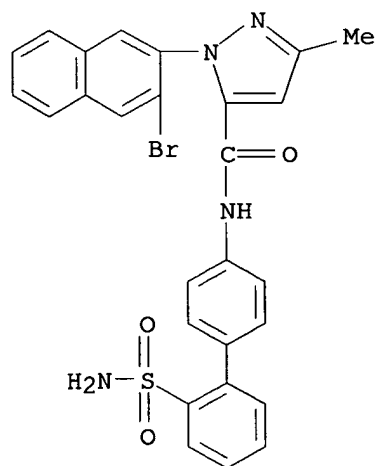
RN 330802-22-7 CAPLUS

CN 1H-Pyrazole-5-carboxamide, N-[2'-(aminosulfonyl)[1,1'-biphenyl]-4-yl]-1-(3-chloro-2-naphthalenyl)-3-methyl- (9CI) (CA INDEX NAME)



RN 330802-23-8 CAPLUS

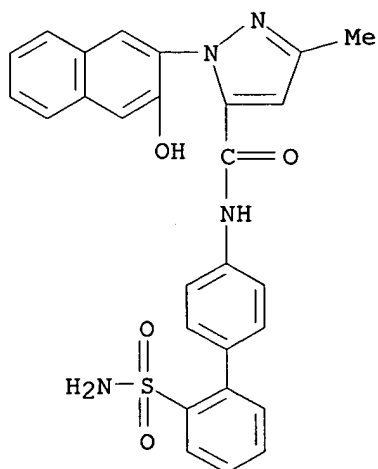
CN 1H-Pyrazole-5-carboxamide, N-[2'-(aminosulfonyl)[1,1'-biphenyl]-4-yl]-1-(3-bromo-2-naphthalenyl)-3-methyl- (9CI) (CA INDEX NAME)



RN 330802-24-9 CAPLUS

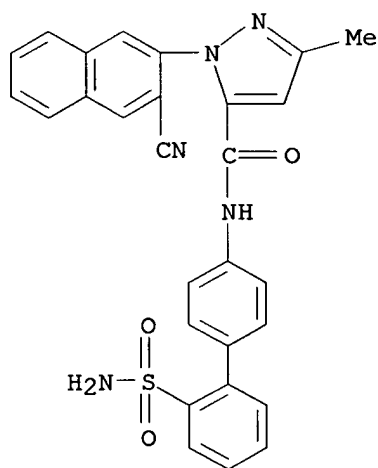
CN 1H-Pyrazole-5-carboxamide, N-[2'-(aminosulfonyl)[1,1'-biphenyl]-4-yl]-1-(3-hydroxy-2-naphthalenyl)-3-methyl- (9CI) (CA INDEX NAME)





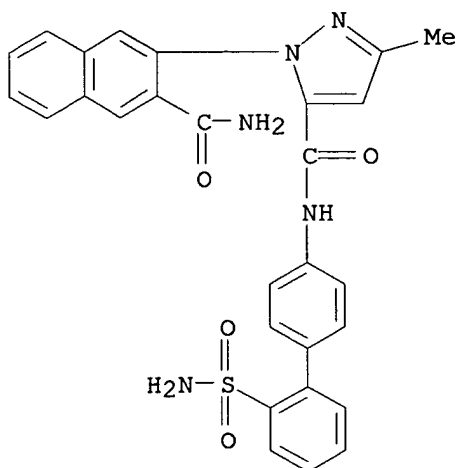
RN 330802-25-0 CAPLUS

CN 1H-Pyrazole-5-carboxamide, N-[2'-(aminosulfonyl)[1,1'-biphenyl]-4-yl]-1-(3-cyano-2-naphthalenyl)-3-methyl- (9CI) (CA INDEX NAME)



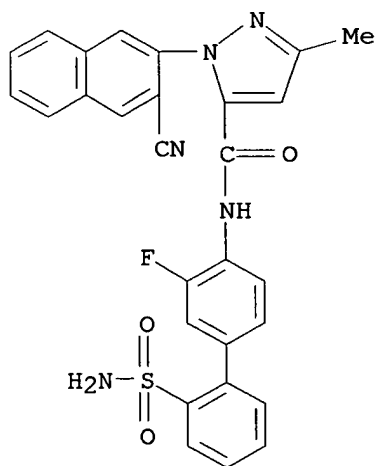
RN 330802-26-1 CAPLUS

CN 1H-Pyrazole-5-carboxamide, 1-[3-(aminocarbonyl)-2-naphthalenyl]-N-[2'-(aminosulfonyl)[1,1'-biphenyl]-4-yl]-3-methyl- (9CI) (CA INDEX NAME)



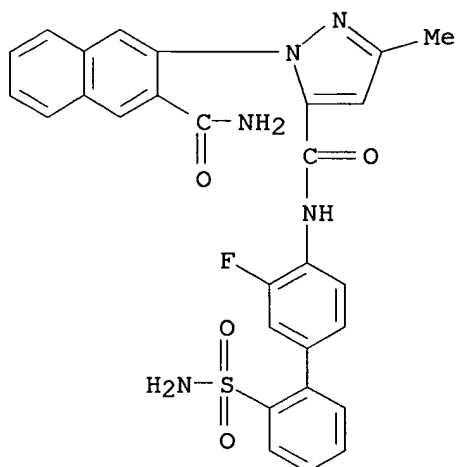
RN 330802-27-2 CAPLUS

CN 1H-Pyrazole-5-carboxamide, N-[2'-(aminosulfonyl)-3-fluoro[1,1'-biphenyl]-4-yl]-1-(3-cyano-2-naphthalenyl)-3-methyl- (9CI) (CA INDEX NAME)



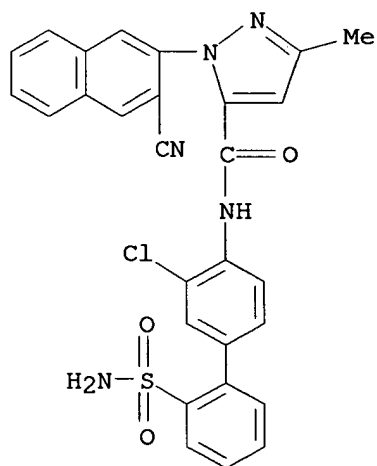
RN 330802-28-3 CAPLUS

CN 1H-Pyrazole-5-carboxamide, 1-[3-(aminocarbonyl)-2-naphthalenyl]-N-[2'-(aminosulfonyl)-3-fluoro[1,1'-biphenyl]-4-yl]-3-methyl- (9CI) (CA INDEX NAME)



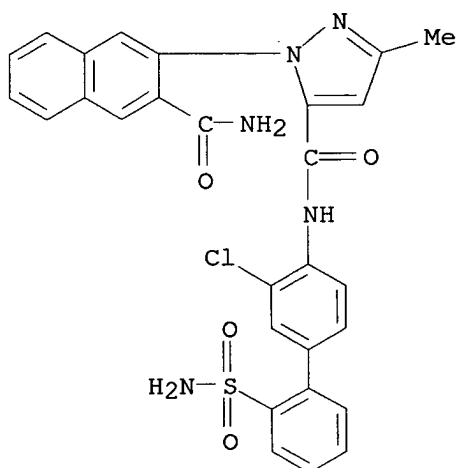
RN 330802-29-4 CAPLUS

CN 1H-Pyrazole-5-carboxamide, N-[2'-(aminosulfonyl)-3-chloro[1,1'-biphenyl]-4-yl]-1-(3-cyano-2-naphthalenyl)-3-methyl- (9CI) (CA INDEX NAME)



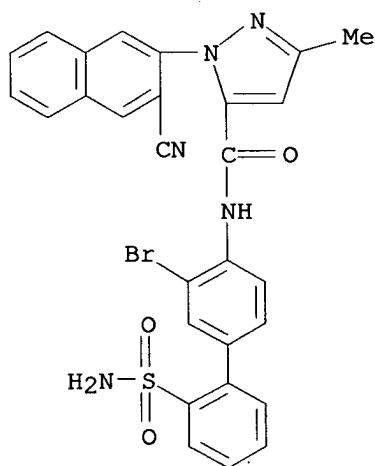
RN 330802-30-7 CAPLUS

CN 1H-Pyrazole-5-carboxamide, 1-[3-(aminocarbonyl)-2-naphthalenyl]-N-[2'-(aminosulfonyl)-3-chloro[1,1'-biphenyl]-4-yl]-3-methyl- (9CI) (CA INDEX NAME)



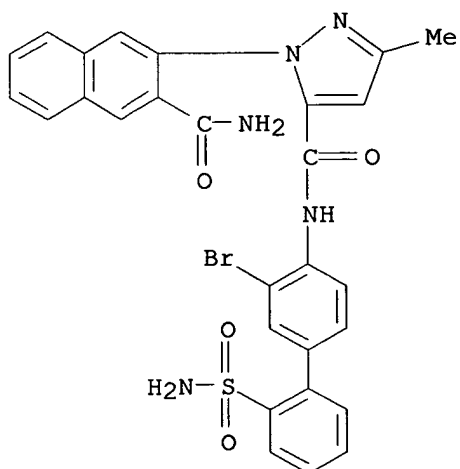
RN 330802-31-8 CAPLUS

CN 1H-Pyrazole-5-carboxamide, N-[2'-(aminosulfonyl)-3-bromo[1,1'-biphenyl]-4-yl]-1-(3-cyano-2-naphthalenyl)-3-methyl- (9CI) (CA INDEX NAME)



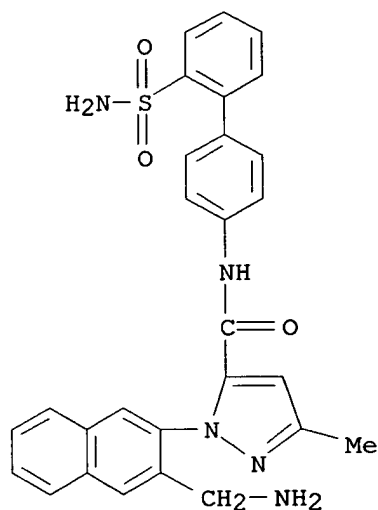
RN 330802-32-9 CAPLUS

CN 1H-Pyrazole-5-carboxamide, 1-[3-(aminocarbonyl)-2-naphthalenyl]-N-[2'-(aminosulfonyl)-3-bromo[1,1'-biphenyl]-4-yl]-3-methyl- (9CI) (CA INDEX NAME)



RN 330802-41-0 CAPLUS

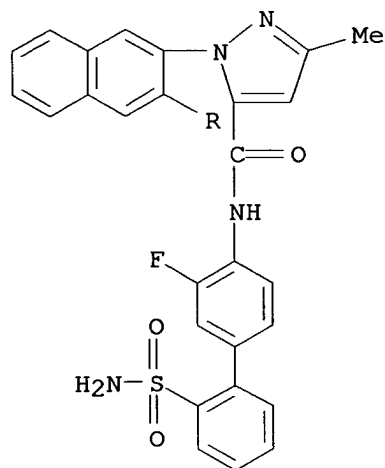
CN 1H-Pyrazole-5-carboxamide, 1-[3-(aminomethyl)-2-naphthalenyl]-N-[2'-(aminosulfonyl)[1,1'-biphenyl]-4-yl]-3-methyl- (9CI) (CA INDEX NAME)



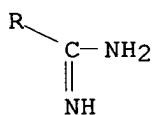
RN 330802-42-1 CAPLUS

CN 1H-Pyrazole-5-carboxamide, 1-[3-(aminoiminomethyl)-2-naphthalenyl]-N-[2'-(aminosulfonyl)-3-fluoro[1,1'-biphenyl]-4-yl]-3-methyl- (9CI) (CA INDEX NAME)

PAGE 1-A

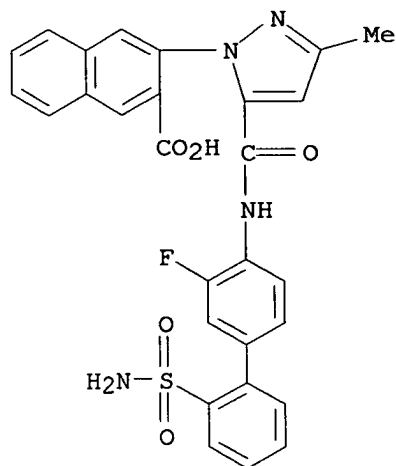


PAGE 2-A



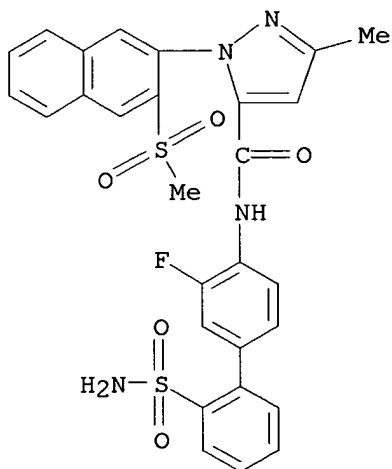
RN 330802-44-3 CAPLUS

CN 2-Naphthalenecarboxylic acid, 3-[5-[[[2'-(aminosulfonyl)-3-fluoro[1,1'-biphenyl]-4-yl]amino]carbonyl]-3-methyl-1H-pyrazol-1-yl]- (9CI) (CA INDEX NAME)



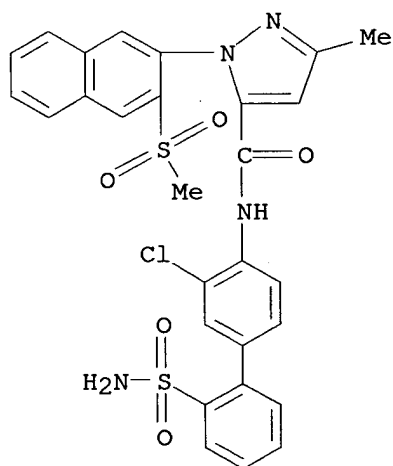
RN 330802-45-4 CAPLUS

CN 1H-Pyrazole-5-carboxamide, N-[2'-(aminosulfonyl)-3-fluoro[1,1'-biphenyl]-4-yl]-3-methyl-1-[3-(methylsulfonyl)-2-naphthalenyl]- (9CI) (CA INDEX NAME)



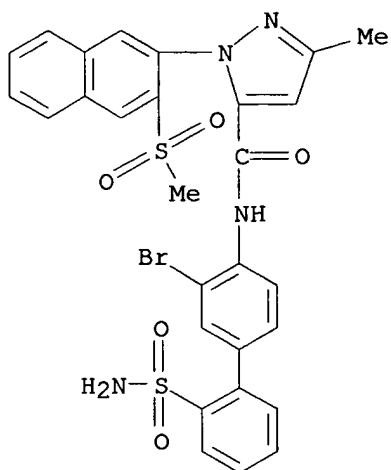
RN 330802-46-5 CAPLUS

CN 1H-Pyrazole-5-carboxamide, N-[2'-(aminosulfonyl)-3-chloro[1,1'-biphenyl]-4-yl]-3-methyl-1-[3-(methylsulfonyl)-2-naphthalenyl]- (9CI) (CA INDEX NAME)



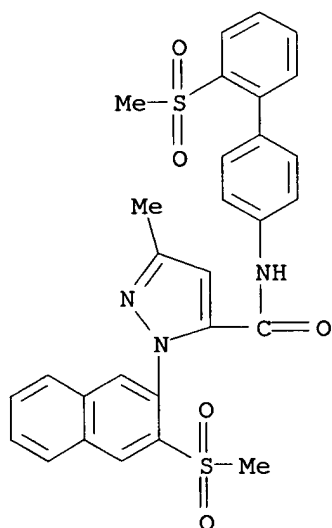
RN 330802-47-6 CAPLUS

CN 1H-Pyrazole-5-carboxamide, N-[2'-(aminosulfonyl)-3-bromo[1,1'-biphenyl]-4-yl]-3-methyl-1-[3-(methylsulfonyl)-2-naphthalenyl]- (9CI) (CA INDEX NAME)



RN 330802-50-1 CAPLUS

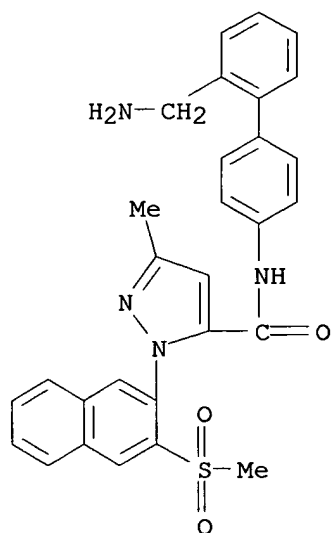
CN 1H-Pyrazole-5-carboxamide, 3-methyl-N-[2'-(methylsulfonyl)[1,1'-biphenyl]-4-yl]-1-[3-(methylsulfonyl)-2-naphthalenyl]- (9CI) (CA INDEX NAME)



RN 330802-52-3 CAPLUS

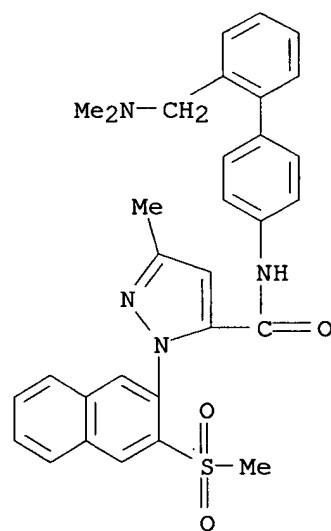
CN 1H-Pyrazole-5-carboxamide, N-[2'-(aminomethyl)[1,1'-biphenyl]-4-yl]-3-methyl-1-[3-(methylsulfonyl)-2-naphthalenyl]- (9CI) (CA INDEX NAME)





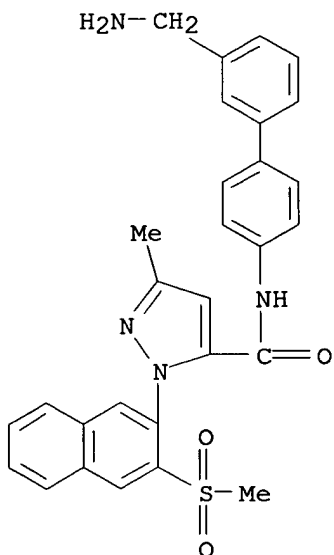
RN 330802-53-4 CAPLUS

CN 1H-Pyrazole-5-carboxamide, N-[2'-[(dimethylamino)methyl][1,1'-biphenyl]-4-yl]-3-methyl-1-[3-(methylsulfonyl)-2-naphthalenyl]- (9CI) (CA INDEX NAME)



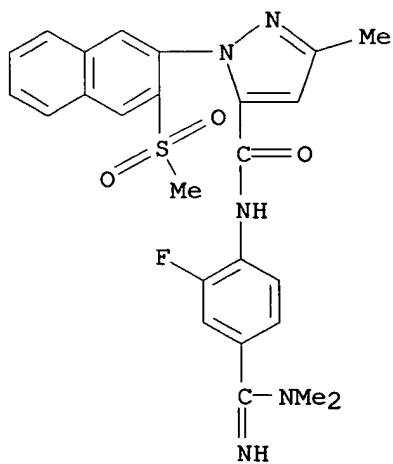
RN 330802-54-5 CAPLUS

CN 1H-Pyrazole-5-carboxamide, N-[3'-(aminomethyl)[1,1'-biphenyl]-4-yl]-3-methyl-1-[3-(methylsulfonyl)-2-naphthalenyl]- (9CI) (CA INDEX NAME)



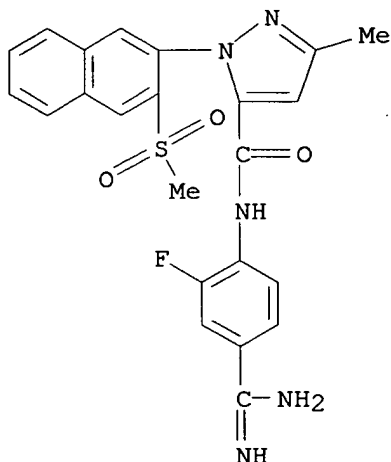
RN 330802-67-0 CAPLUS

CN 1H-Pyrazole-5-carboxamide, N-[4-[(dimethylamino)iminomethyl]-2-fluorophenyl]-3-methyl-1-[3-(methylsulfonyl)-2-naphthalenyl]- (9CI) (CA INDEX NAME)



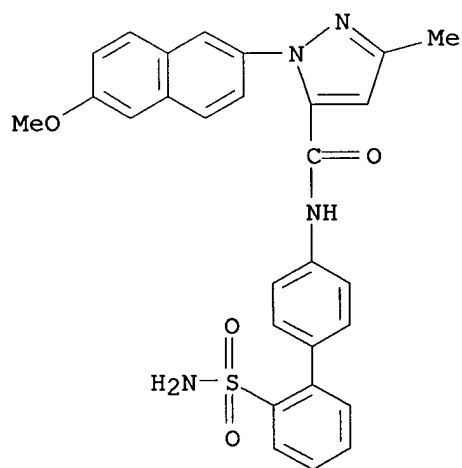
RN 330802-68-1 CAPLUS

CN 1H-Pyrazole-5-carboxamide, N-[4-(aminoiminomethyl)-2-fluorophenyl]-3-methyl-1-[3-(methylsulfonyl)-2-naphthalenyl]- (9CI) (CA INDEX NAME)



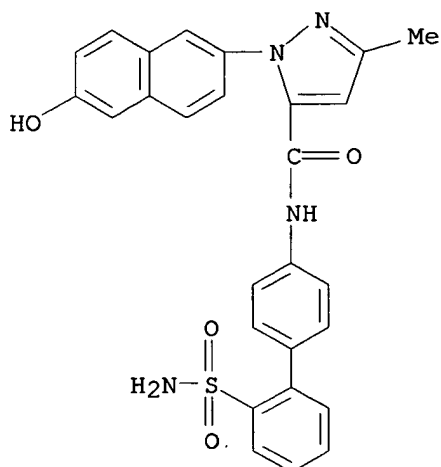
RN 330802-69-2 CAPLUS

CN 1H-Pyrazole-5-carboxamide, N-[2'-(aminosulfonyl)[1,1'-biphenyl]-4-yl]-1-(6-methoxy-2-naphthalenyl)-3-methyl- (9CI) (CA INDEX NAME)



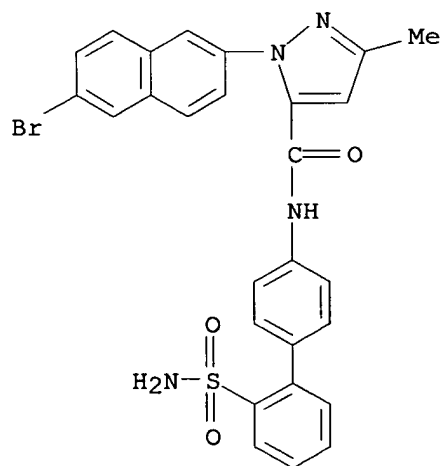
RN 330802-70-5 CAPLUS

CN 1H-Pyrazole-5-carboxamide, N-[2'-(aminosulfonyl)[1,1'-biphenyl]-4-yl]-1-(6-hydroxy-2-naphthalenyl)-3-methyl- (9CI) (CA INDEX NAME)



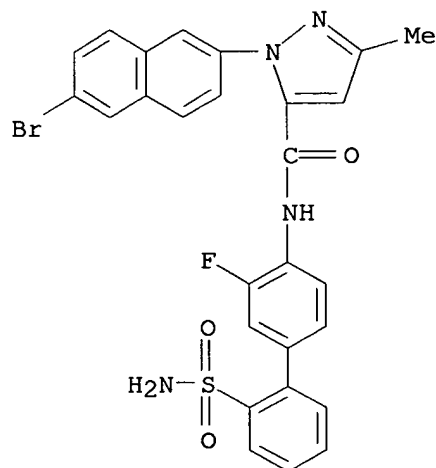
RN 330802-71-6 CAPLUS

CN 1H-Pyrazole-5-carboxamide, N-[2'-(aminosulfonyl)[1,1'-biphenyl]-4-yl]-1-(6-bromo-2-naphthalenyl)-3-methyl- (9CI) (CA INDEX NAME)



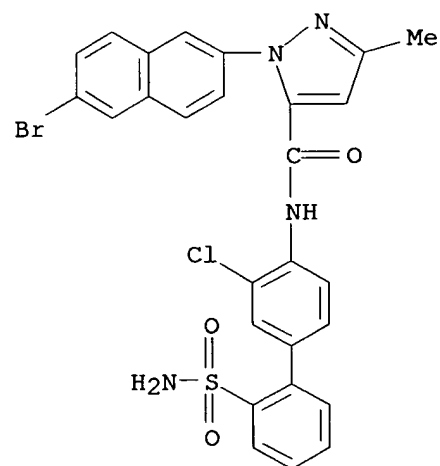
RN 330802-72-7 CAPLUS

CN 1H-Pyrazole-5-carboxamide, N-[2'-(aminosulfonyl)-3-fluoro[1,1'-biphenyl]-4-yl]-1-(6-bromo-2-naphthalenyl)-3-methyl- (9CI) (CA INDEX NAME)



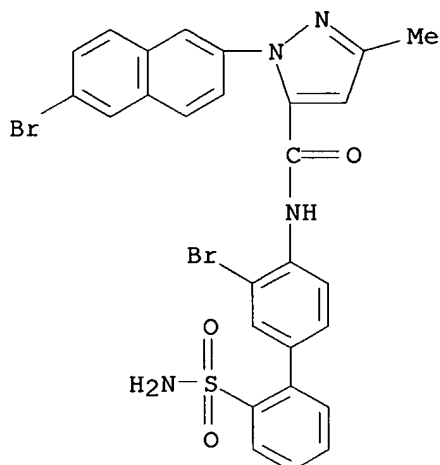
RN 330802-73-8 CAPLUS

CN 1H-Pyrazole-5-carboxamide, N-[2'-(aminosulfonyl)-3-chloro[1,1'-biphenyl]-4-yl]-1-(6-bromo-2-naphthalenyl)-3-methyl- (9CI) (CA INDEX NAME)



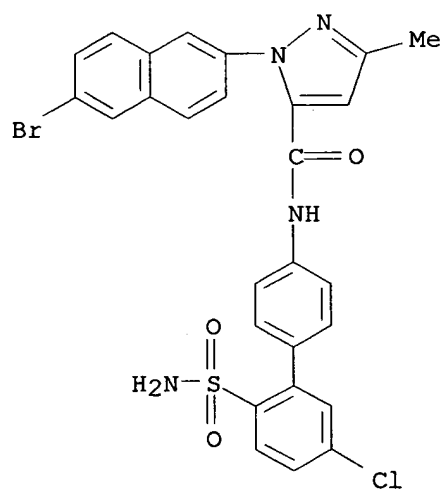
RN 330802-74-9 CAPLUS

CN 1H-Pyrazole-5-carboxamide, N-[2'-(aminosulfonyl)-3-bromo[1,1'-biphenyl]-4-yl]-1-(6-bromo-2-naphthalenyl)-3-methyl- (9CI) (CA INDEX NAME)



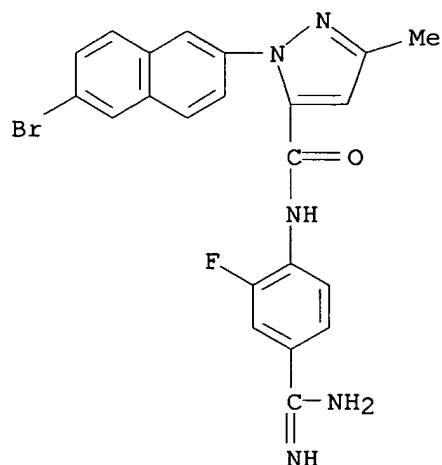
RN 330802-75-0 CAPLUS

CN 1H-Pyrazole-5-carboxamide, N-[2'-(aminosulfonyl)-5'-chloro[1,1'-biphenyl]-4-yl]-1-(6-bromo-2-naphthalenyl)-3-methyl- (9CI) (CA INDEX NAME)



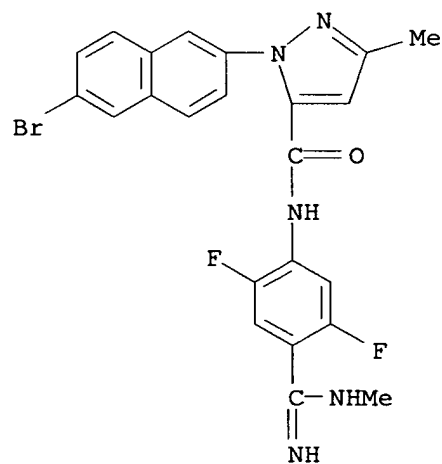
RN 330802-98-7 CAPLUS

CN 1H-Pyrazole-5-carboxamide, N-[4-(aminoiminomethyl)-2-fluorophenyl]-1-(6-bromo-2-naphthalenyl)-3-methyl- (9CI) (CA INDEX NAME)



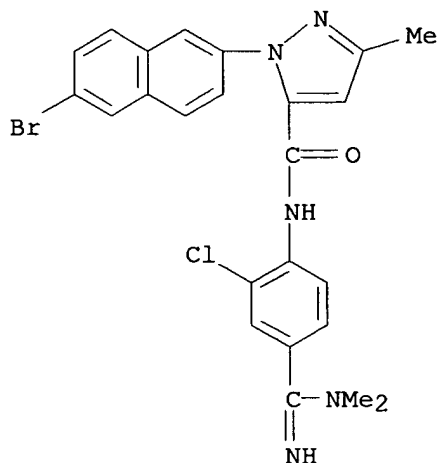
RN 330802-99-8 CAPLUS

CN 1H-Pyrazole-5-carboxamide, 1-(6-bromo-2-naphthalenyl)-N-[2,5-difluoro-4-[imino(methylamino)methyl]phenyl]-3-methyl- (9CI) (CA INDEX NAME)



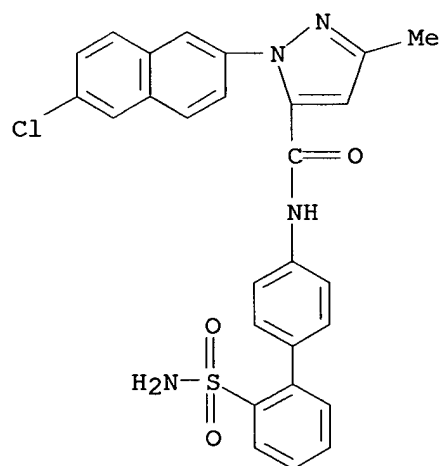
RN 330803-00-4 CAPLUS

CN 1H-Pyrazole-5-carboxamide, 1-(6-bromo-2-naphthalenyl)-N-[2-chloro-4-[(dimethylamino)iminomethyl]phenyl]-3-methyl- (9CI) (CA INDEX NAME)



RN 330803-01-5 CAPLUS

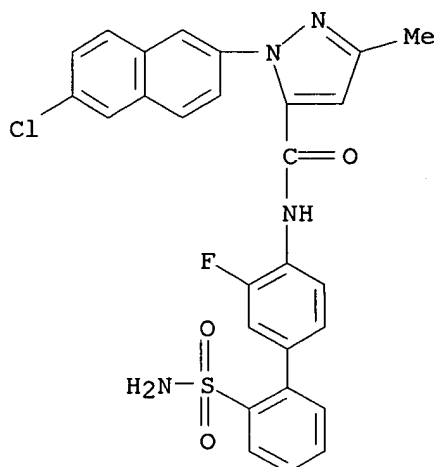
CN 1H-Pyrazole-5-carboxamide, N-[2'-(aminosulfonyl)[1,1'-biphenyl]-4-yl]-1-(6-chloro-2-naphthalenyl)-3-methyl- (9CI) (CA INDEX NAME)



RN 330803-02-6 CAPLUS

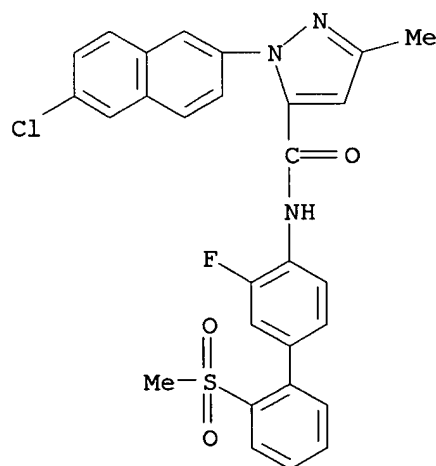
CN 1H-Pyrazole-5-carboxamide, N-[2'-(aminosulfonyl)-3-fluoro[1,1'-biphenyl]-4-yl]-1-(6-chloro-2-naphthalenyl)-3-methyl- (9CI) (CA INDEX NAME)





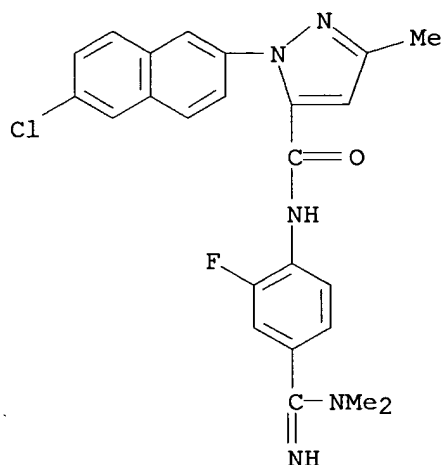
RN 330803-03-7 CAPLUS

CN 1H-Pyrazole-5-carboxamide, 1-(6-chloro-2-naphthalenyl)-N-[3-fluoro-2'-(methylsulfonyl)[1,1'-biphenyl]-4-yl]-3-methyl- (9CI) (CA INDEX NAME)



RN 330803-08-2 CAPLUS

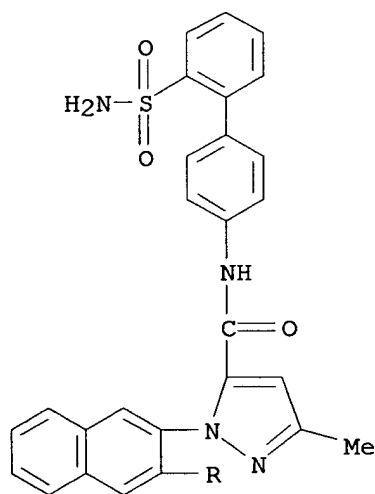
CN 1H-Pyrazole-5-carboxamide, 1-(6-chloro-2-naphthalenyl)-N-[4-[(dimethylamino)iminomethyl]-2-fluorophenyl]-3-methyl- (9CI) (CA INDEX NAME)



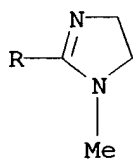
RN 330803-09-3 CAPLUS

CN 1H-Pyrazole-5-carboxamide, N-[2'-(aminosulfonyl)[1,1'-biphenyl]-4-yl]-1-[3-(4,5-dihydro-1-methyl-1H-imidazol-2-yl)-2-naphthalenyl]-3-methyl- (9CI)  
(CA INDEX NAME)

PAGE 1-A

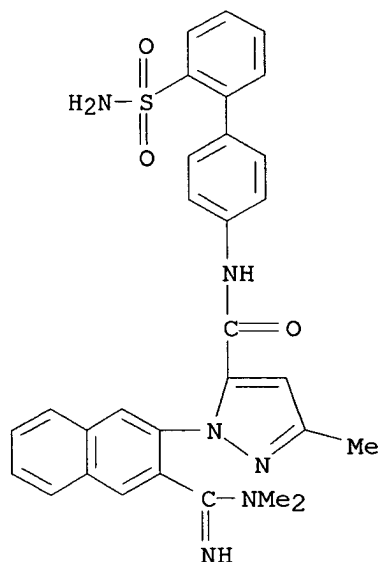


PAGE 2-A



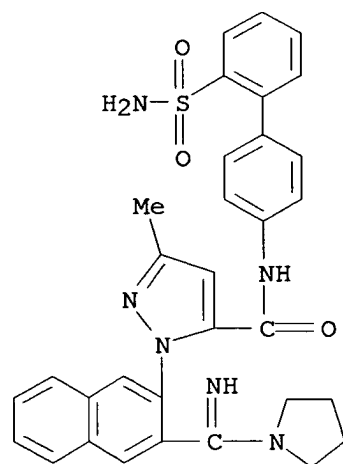
RN 330803-10-6 CAPLUS

CN 1H-Pyrazole-5-carboxamide, N-[2'-(aminosulfonyl)[1,1'-biphenyl]-4-yl]-1-[3-  
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NAME)



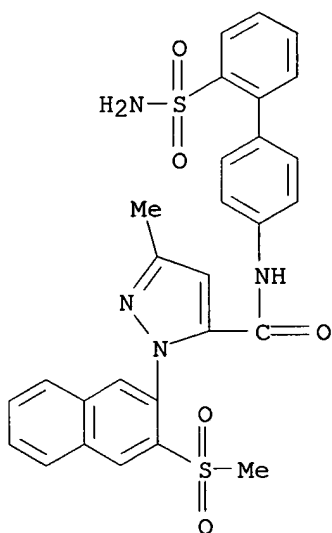
RN 330803-11-7 CAPLUS

CN 1H-Pyrazole-5-carboxamide, N-[2'-(aminosulfonyl)[1,1'-biphenyl]-4-yl]-1-[3-  
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NAME)



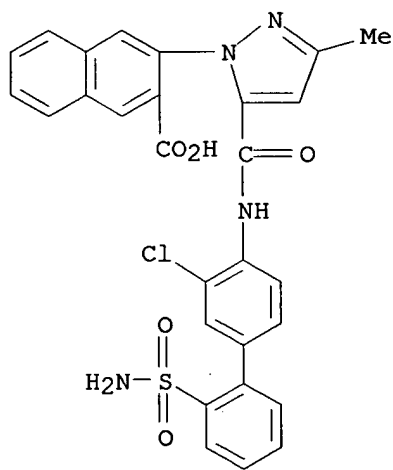
RN 330803-39-9 CAPLUS

CN 1H-Pyrazole-5-carboxamide, N-[2'-(aminosulfonyl)[1,1'-biphenyl]-4-yl]-3-  
methyl-1-[3-(methylsulfonyl)-2-naphthalenyl]- (9CI) (CA INDEX NAME)



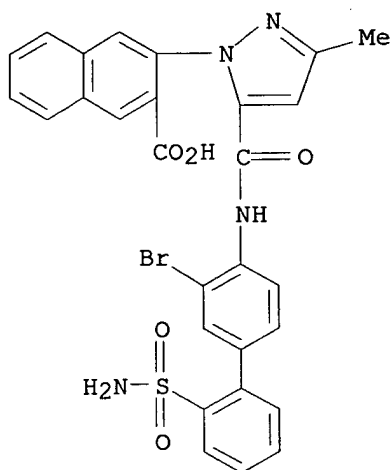
RN 441327-78-2 CAPLUS

CN 2-Naphthalenecarboxylic acid, 3-[5-[[[2'-(aminosulfonyl)-3-chloro[1,1'-biphenyl]-4-yl]amino]carbonyl]-3-methyl-1H-pyrazol-1-yl]- (9CI) (CA INDEX NAME)



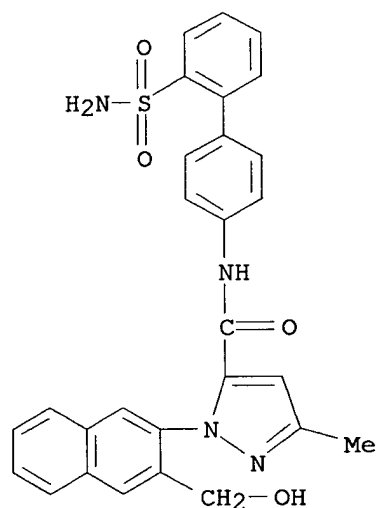
RN 441327-79-3 CAPLUS

CN 2-Naphthalenecarboxylic acid, 3-[5-[[[2'-(aminosulfonyl)-3-bromo[1,1'-biphenyl]-4-yl]amino]carbonyl]-3-methyl-1H-pyrazol-1-yl]- (9CI) (CA INDEX NAME)



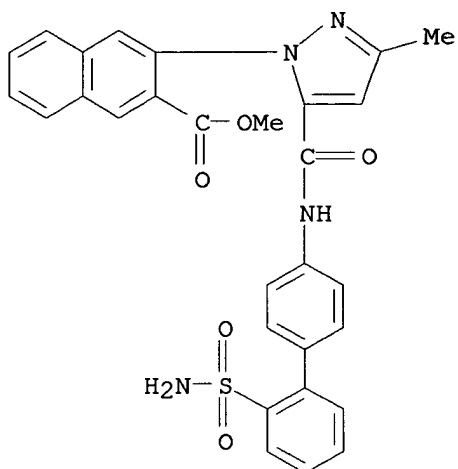
RN 441327-80-6 CAPLUS

CN 1H-Pyrazole-5-carboxamide, N-[2'-(aminosulfonyl)[1,1'-biphenyl]-4-yl]-1-[3-(hydroxymethyl)-2-naphthalenyl]-3-methyl- (9CI) (CA INDEX NAME)



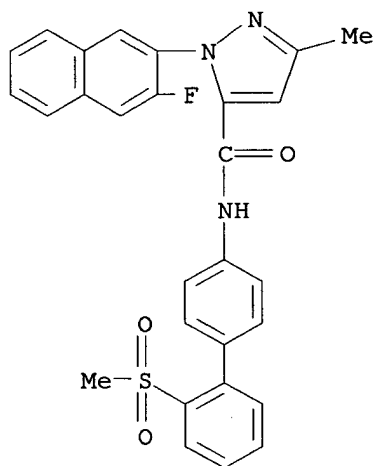
RN 441327-81-7 CAPLUS

CN 2-Naphthalenecarboxylic acid, 3-[5-[[[2'-(aminosulfonyl)[1,1'-biphenyl]-4-yl]amino]carbonyl]-3-methyl-1H-pyrazol-1-yl]-, methyl ester (9CI) (CA INDEX NAME)



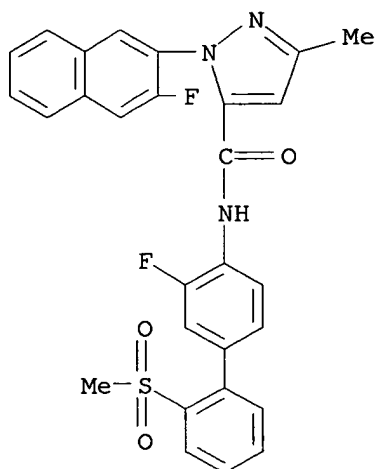
RN 441327-82-8 CAPLUS

CN 1H-Pyrazole-5-carboxamide, 1-(3-fluoro-2-naphthalenyl)-3-methyl-N-[2'-(methylsulfonyl)[1,1'-biphenyl]-4-yl]- (9CI) (CA INDEX NAME)



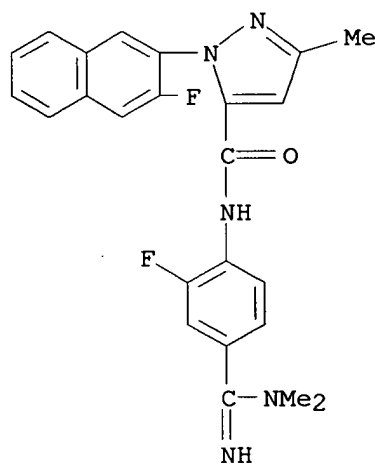
RN 441327-83-9 CAPLUS

CN 1H-Pyrazole-5-carboxamide, N-[3-fluoro-2'-(methylsulfonyl)[1,1'-biphenyl]-4-yl]-1-(3-fluoro-2-naphthalenyl)-3-methyl- (9CI) (CA INDEX NAME)



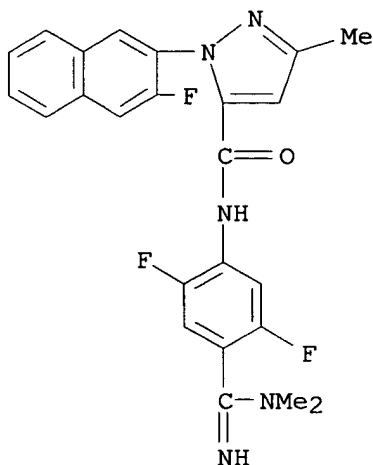
RN 441327-92-0 CAPLUS

CN 1H-Pyrazole-5-carboxamide, N-[4-[(dimethylamino)iminomethyl]-2-fluorophenyl]-1-(3-fluoro-2-naphthalenyl)-3-methyl- (9CI) (CA INDEX NAME)



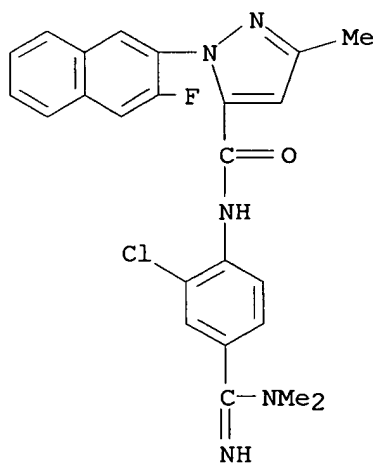
RN 441327-93-1 CAPLUS

CN 1H-Pyrazole-5-carboxamide, N-[4-[(dimethylamino)iminomethyl]-2,5-difluorophenyl]-1-(3-fluoro-2-naphthalenyl)-3-methyl- (9CI) (CA INDEX NAME)



RN 441327-94-2 CAPLUS

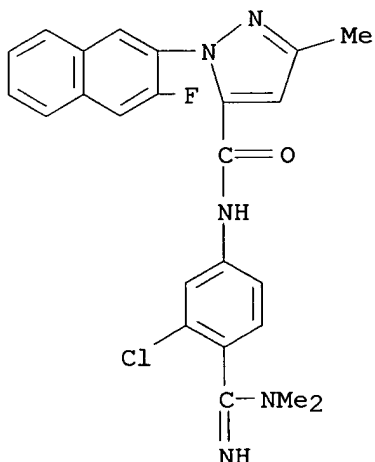
CN 1H-Pyrazole-5-carboxamide, N-[2-chloro-4-[(dimethylamino)iminomethyl]phenyl]-1-[3-fluoro-2-naphthalenyl]-3-methyl- (9CI) (CA INDEX NAME)



RN 441327-95-3 CAPLUS

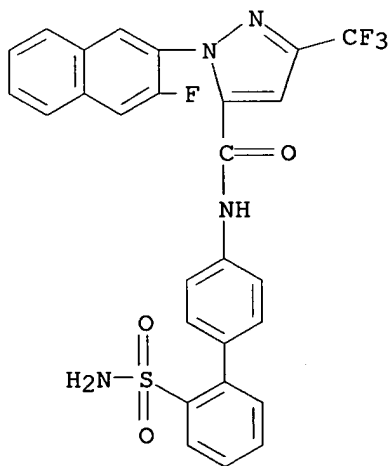
CN 1H-Pyrazole-5-carboxamide, N-[3-chloro-4-[(dimethylamino)iminomethyl]phenyl]-1-[3-fluoro-2-naphthalenyl]-3-methyl- (9CI) (CA INDEX NAME)





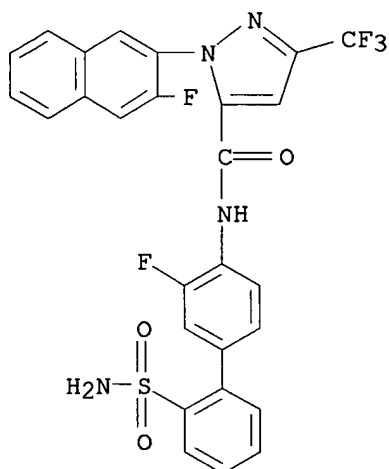
RN 441328-00-3 CAPLUS

CN 1H-Pyrazole-5-carboxamide, N-[2'-(aminosulfonyl)[1,1'-biphenyl]-4-yl]-1-(3-fluoro-2-naphthalenyl)-3-(trifluoromethyl)- (9CI) (CA INDEX NAME)



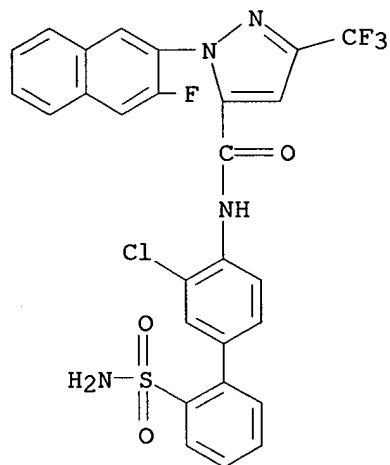
RN 441328-01-4 CAPLUS

CN 1H-Pyrazole-5-carboxamide, N-[2'-(aminosulfonyl)-3-fluoro[1,1'-biphenyl]-4-yl]-1-(3-fluoro-2-naphthalenyl)-3-(trifluoromethyl)- (9CI) (CA INDEX NAME)



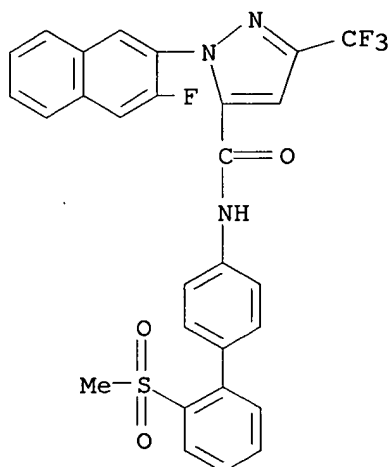
RN 441328-02-5 CAPLUS

CN 1H-Pyrazole-5-carboxamide, N-[2'-(aminosulfonyl)-3-chloro[1,1'-biphenyl]-4-yl]-1-(3-fluoro-2-naphthalenyl)-3-(trifluoromethyl)- (9CI) (CA INDEX NAME)



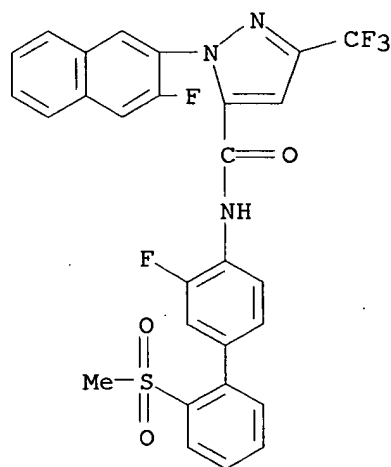
RN 441328-04-7 CAPLUS

CN 1H-Pyrazole-5-carboxamide, 1-(3-fluoro-2-naphthalenyl)-N-[2'-(methylsulfonyl)[1,1'-biphenyl]-4-yl]-3-(trifluoromethyl)- (9CI) (CA INDEX NAME)



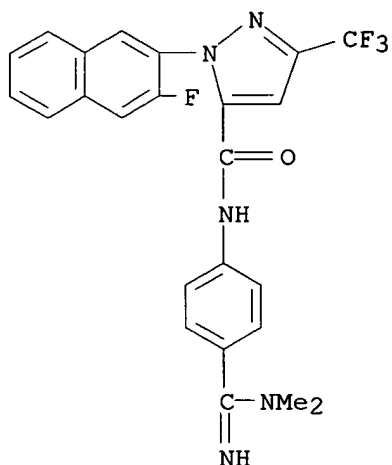
RN 441328-05-8 CAPLUS

CN 1H-Pyrazole-5-carboxamide, N-[3-fluoro-2'-(methylsulfonyl)[1,1'-biphenyl]-4-yl]-1-(3-fluoro-2-naphthalenyl)-3-(trifluoromethyl)- (9CI) (CA INDEX NAME)



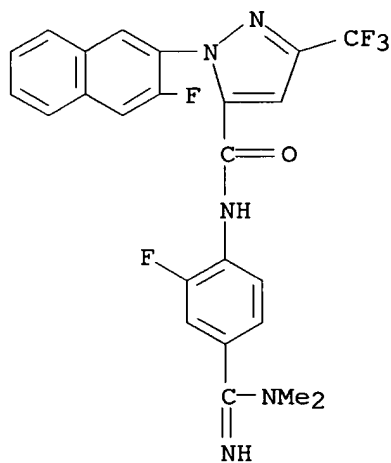
RN 441328-06-9 CAPLUS

CN 1H-Pyrazole-5-carboxamide, N-[4-[(dimethylamino)iminomethyl]phenyl]-1-(3-fluoro-2-naphthalenyl)-3-(trifluoromethyl)- (9CI) (CA INDEX NAME)



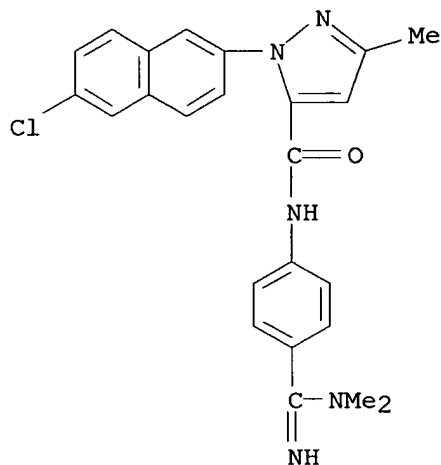
RN 441328-10-5 CAPLUS

CN 1H-Pyrazole-5-carboxamide, N-[4-[(dimethylamino)iminomethyl]-2-fluorophenyl]-1-(3-fluoro-2-naphthalenyl)-3-(trifluoromethyl)- (9CI) (CA INDEX NAME)



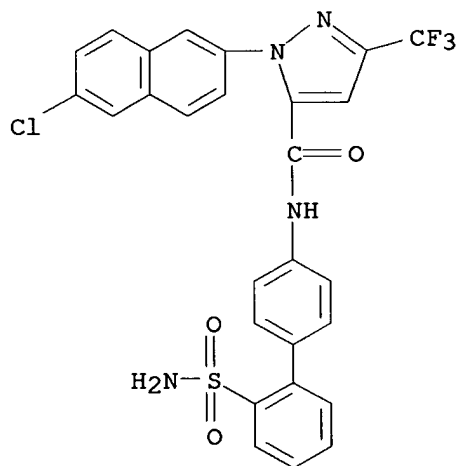
RN 441328-23-0 CAPLUS

CN 1H-Pyrazole-5-carboxamide, 1-(6-chloro-2-naphthalenyl)-N-[4-[(dimethylamino)iminomethyl]phenyl]-3-methyl- (9CI) (CA INDEX NAME)



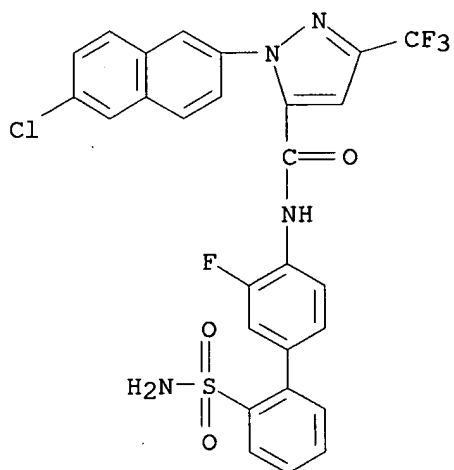
RN 441328-31-0 CAPLUS

CN 1H-Pyrazole-5-carboxamide, N-[2'-(aminosulfonyl)[1,1'-biphenyl]-4-yl]-1-(6-chloro-2-naphthalenyl)-3-(trifluoromethyl)- (9CI) (CA INDEX NAME)



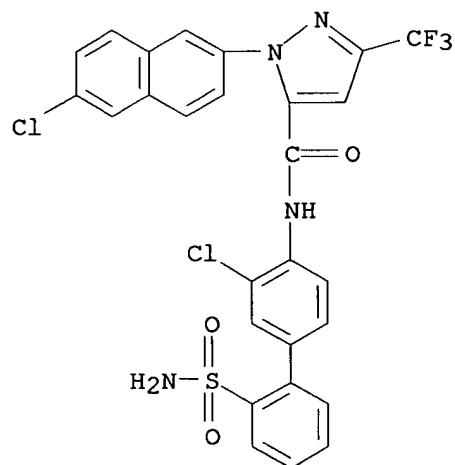
RN 441328-32-1 CAPLUS

CN 1H-Pyrazole-5-carboxamide, N-[2'-(aminosulfonyl)-3-fluoro[1,1'-biphenyl]-4-yl]-1-(6-chloro-2-naphthalenyl)-3-(trifluoromethyl)- (9CI) (CA INDEX NAME)



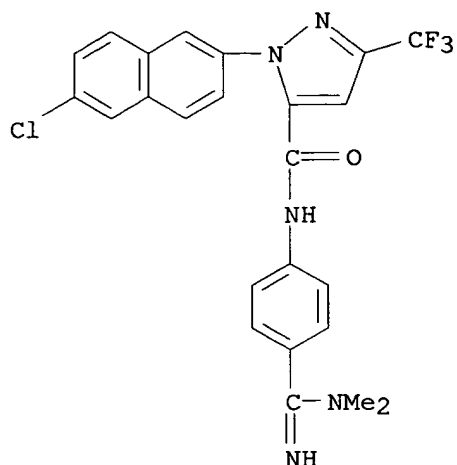
RN 441328-33-2 CAPLUS

CN 1H-Pyrazole-5-carboxamide, N-[2'-(aminosulfonyl)-3-chloro[1,1'-biphenyl]-4-yl]-1-(6-chloro-2-naphthalenyl)-3-(trifluoromethyl)- (9CI) (CA INDEX NAME)



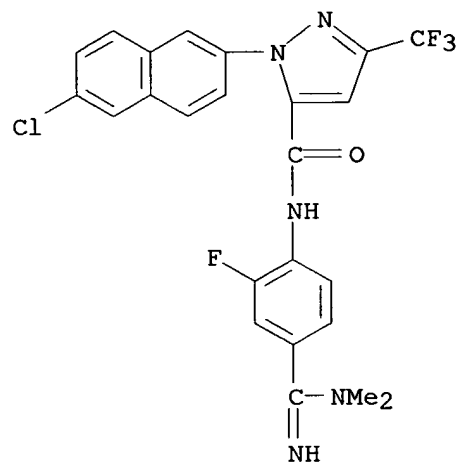
RN 441328-35-4 CAPLUS

CN 1H-Pyrazole-5-carboxamide, 1-(6-chloro-2-naphthalenyl)-N-[4-[(dimethylamino)iminomethyl]phenyl]-3-(trifluoromethyl)- (9CI) (CA INDEX NAME)



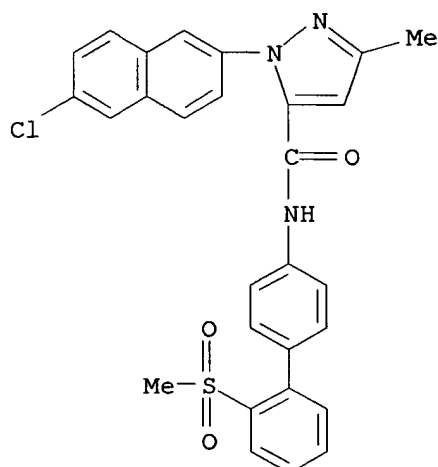
RN 441328-39-8 CAPLUS

CN 1H-Pyrazole-5-carboxamide, 1-(6-chloro-2-naphthalenyl)-N-[4-[(dimethylamino)iminomethyl]-2-fluorophenyl]-3-(trifluoromethyl)- (9CI)  
(CA INDEX NAME)



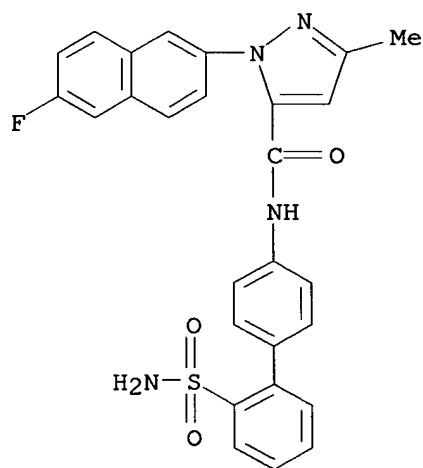
RN 441328-47-8 CAPLUS

CN 1H-Pyrazole-5-carboxamide, 1-(6-chloro-2-naphthalenyl)-3-methyl-N-[2'-(methylsulfonyl)[1,1'-biphenyl]-4-yl]- (9CI) (CA INDEX NAME)



RN 441328-48-9 CAPLUS

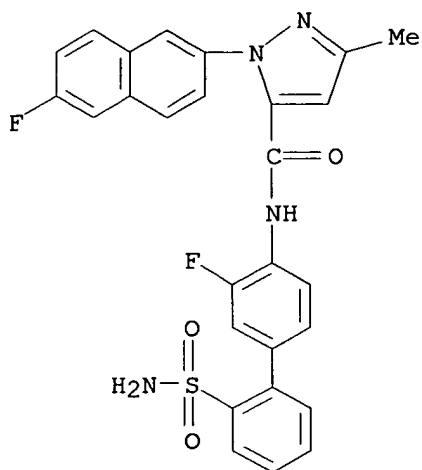
CN 1H-Pyrazole-5-carboxamide, N-[2'-(aminosulfonyl)[1,1'-biphenyl]-4-yl]-1-(6-fluoro-2-naphthalenyl)-3-methyl- (9CI) (CA INDEX NAME)



RN 441328-49-0 CAPLUS

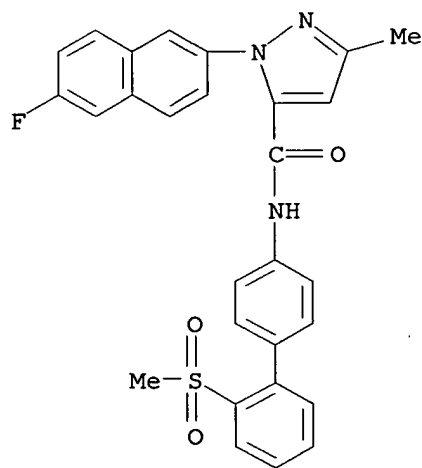
CN 1H-Pyrazole-5-carboxamide, N-[2'-(aminosulfonyl)-3-fluoro[1,1'-biphenyl]-4-yl]-1-(6-fluoro-2-naphthalenyl)-3-methyl- (9CI) (CA INDEX NAME)





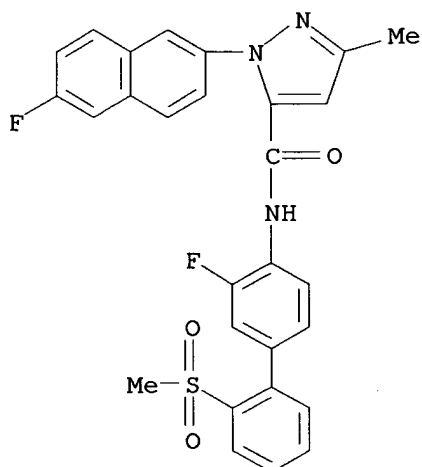
RN 441328-50-3 CAPLUS

CN 1H-Pyrazole-5-carboxamide, 1-(6-fluoro-2-naphthalenyl)-3-methyl-N-[2'-(methylsulfonyl)[1,1'-biphenyl]-4-yl]- (9CI) (CA INDEX NAME)



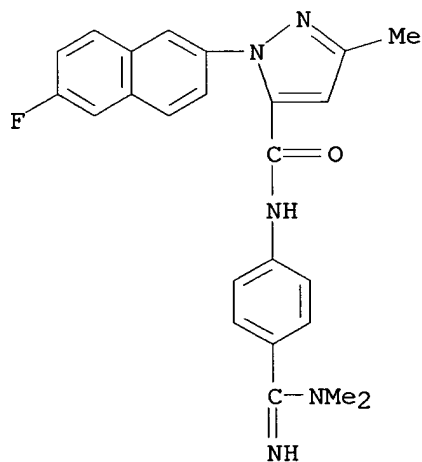
RN 441328-51-4 CAPLUS

CN 1H-Pyrazole-5-carboxamide, N-[3-fluoro-2'-(methylsulfonyl)[1,1'-biphenyl]-4-yl]-1-(6-fluoro-2-naphthalenyl)-3-methyl- (9CI) (CA INDEX NAME)



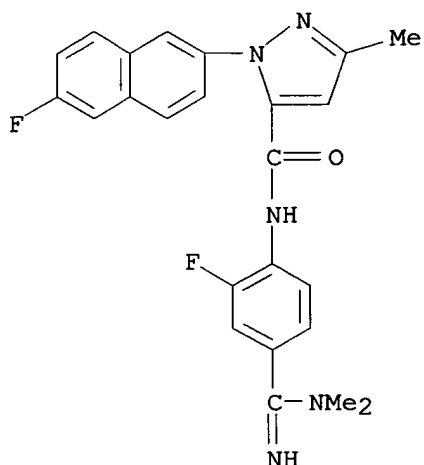
RN 441328-52-5 CAPLUS

CN 1H-Pyrazole-5-carboxamide, N-[4-[(dimethylamino)iminomethyl]phenyl]-1-(6-fluoro-2-naphthalenyl)-3-methyl- (9CI) (CA INDEX NAME)



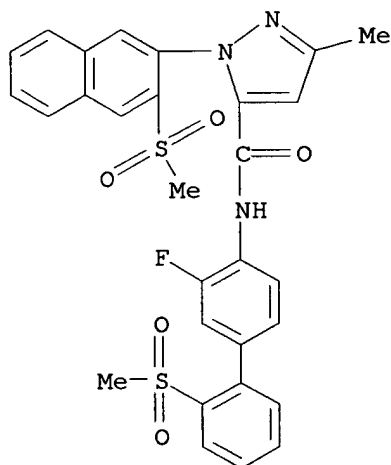
RN 441328-56-9 CAPLUS

CN 1H-Pyrazole-5-carboxamide, N-[4-[(dimethylamino)iminomethyl]-2-fluorophenyl]-1-(6-fluoro-2-naphthalenyl)-3-methyl- (9CI) (CA INDEX NAME)



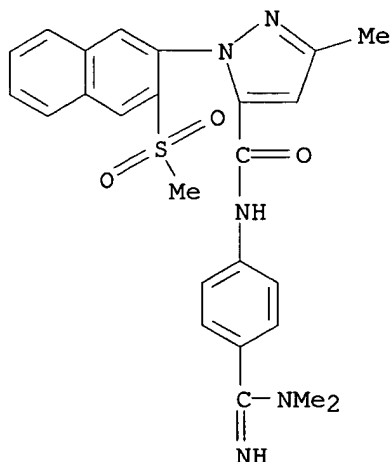
RN 441328-60-5 CAPLUS

CN 1H-Pyrazole-5-carboxamide, N-[3-fluoro-2'-(methylsulfonyl)[1,1'-biphenyl]-4-yl]-3-methyl-1-[3-(methylsulfonyl)-2-naphthalenyl]- (9CI) (CA INDEX NAME)



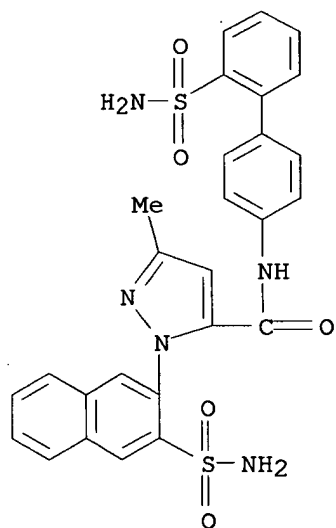
RN 441328-61-6 CAPLUS

CN 1H-Pyrazole-5-carboxamide, N-[4-[(dimethylamino)iminomethyl]phenyl]-3-methyl-1-[3-(methylsulfonyl)-2-naphthalenyl]- (9CI) (CA INDEX NAME)



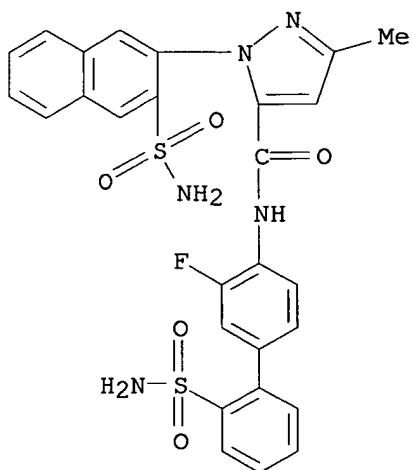
RN 441328-65-0 CAPLUS

CN 1H-Pyrazole-5-carboxamide, N-[2'-(aminosulfonyl)[1,1'-biphenyl]-4-yl]-1-[3-(aminosulfonyl)-2-naphthalenyl]-3-methyl- (9CI) (CA INDEX NAME)



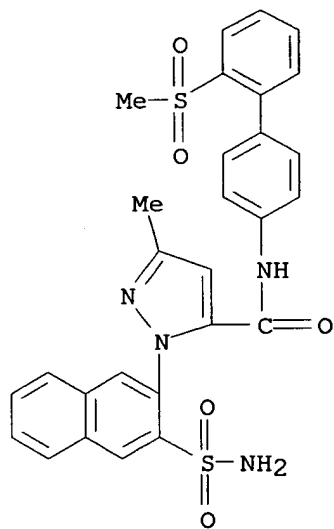
RN 441328-66-1 CAPLUS

CN 1H-Pyrazole-5-carboxamide, N-[2'-(aminosulfonyl)-3-fluoro[1,1'-biphenyl]-4-yl]-1-[3-(aminosulfonyl)-2-naphthalenyl]-3-methyl- (9CI) (CA INDEX NAME)



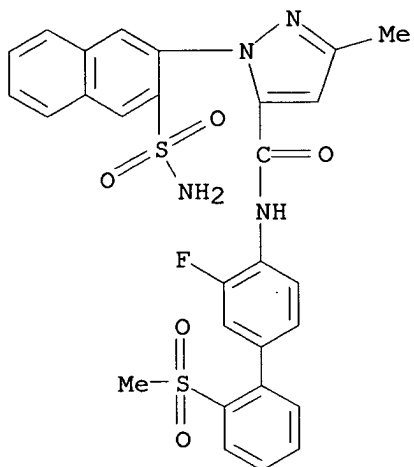
RN 441328-68-3 CAPLUS

CN 1H-Pyrazole-5-carboxamide, 1-[3-(aminosulfonyl)-2-naphthalenyl]-3-methyl-N-[2'-(methylsulfonyl)[1,1'-biphenyl]-4-yl]- (9CI) (CA INDEX NAME)



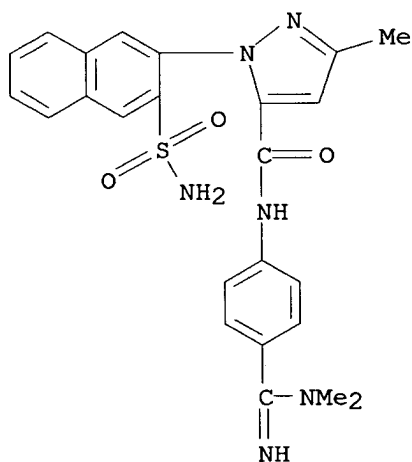
RN 441328-69-4 CAPLUS

CN 1H-Pyrazole-5-carboxamide, 1-[3-(aminosulfonyl)-2-naphthalenyl]-N-[3-fluoro-2'-(methylsulfonyl)[1,1'-biphenyl]-4-yl]-3-methyl- (9CI) (CA INDEX NAME)



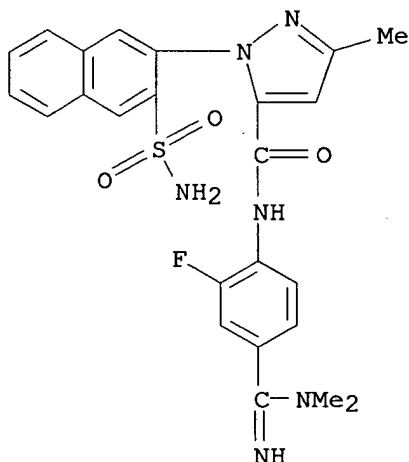
RN 441328-70-7 CAPLUS

CN 1H-Pyrazole-5-carboxamide, 1-[3-(aminosulfonyl)-2-naphthalenyl]-N-[4-[(dimethylamino)iminomethyl]phenyl]-3-methyl- (9CI) (CA INDEX NAME)



RN 441328-74-1 CAPLUS

CN 1H-Pyrazole-5-carboxamide, 1-[3-(aminosulfonyl)-2-naphthalenyl]-N-[4-[(dimethylamino)iminomethyl]-2-fluorophenyl]-3-methyl- (9CI) (CA INDEX NAME)



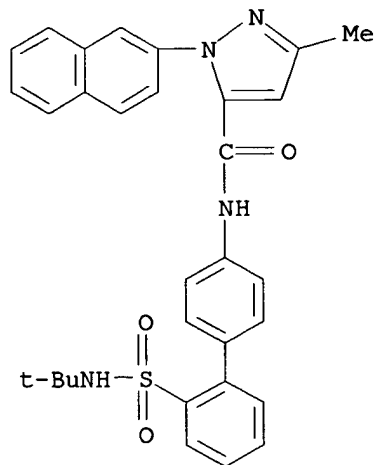
IT 330803-42-4P 330803-47-9P 330803-57-1P  
 330803-59-3P 330803-64-0P 330803-65-1P  
 330803-67-3P 330803-70-8P 330803-72-0P  
 330803-77-5P 330803-79-7P 330803-82-2P  
 330803-87-7P 441330-08-1P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
 (Reactant or reagent)

(preparation of pyrazolecarboxamides as inhibitors of factor Xa)

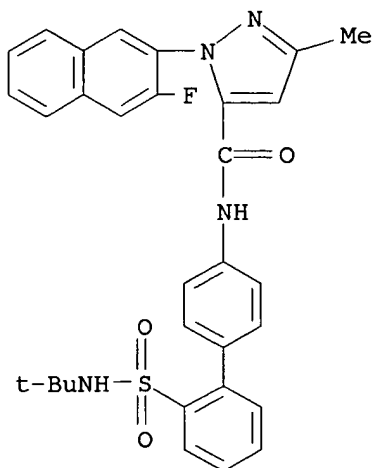
RN 330803-42-4 CAPLUS

CN 1H-Pyrazole-5-carboxamide, N-[2'-[[[(1,1-dimethylethyl)amino]sulfonyl][1,1'-  
 biphenyl]-4-yl]-3-methyl-1-(2-naphthalenyl)- (9CI) (CA INDEX NAME)



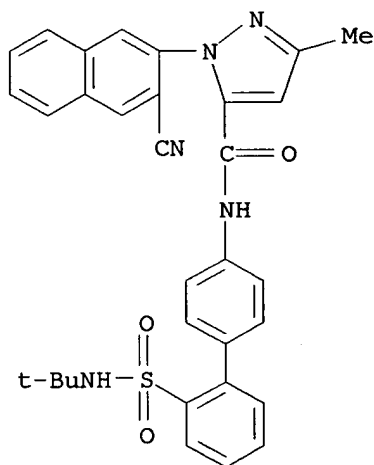
RN 330803-47-9 CAPLUS

CN 1H-Pyrazole-5-carboxamide, N-[2'-[[[(1,1-dimethylethyl)amino]sulfonyl][1,1'-  
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 NAME)



RN 330803-57-1 CAPLUS

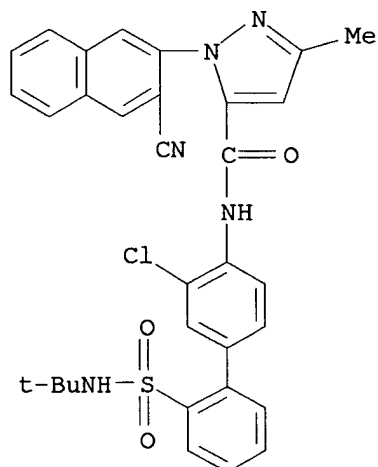
CN 1H-Pyrazole-5-carboxamide, 1-(3-cyano-2-naphthalenyl)-N-[2'-[[1,1-dimethylethyl)amino]sulfonyl][1,1'-biphenyl]-4-yl]-3-methyl- (9CI) (CA INDEX NAME)



RN 330803-59-3 CAPLUS

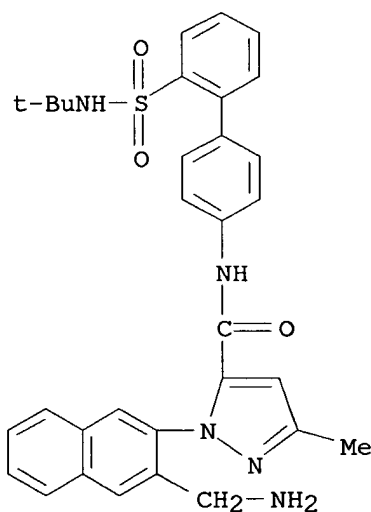
CN 1H-Pyrazole-5-carboxamide, N-[3-chloro-2'-[[1,1-dimethylethyl)amino]sulfonyl][1,1'-biphenyl]-4-yl]-1-(3-cyano-2-naphthalenyl)-3-methyl- (9CI) (CA INDEX NAME)





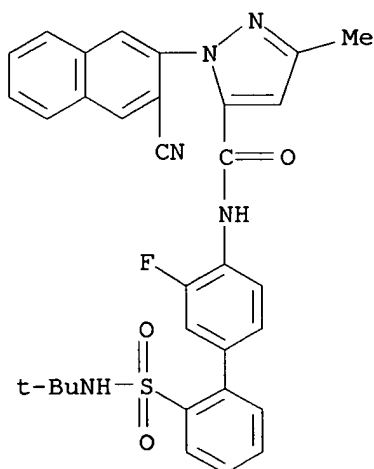
RN 330803-64-0 CAPLUS

CN 1H-Pyrazole-5-carboxamide, 1-[3-(aminomethyl)-2-naphthalenyl]-N-[2'-[[[(1,1-dimethylethyl)amino]sulfonyl][1,1'-biphenyl]-4-yl]-3-methyl- (9CI) (CA INDEX NAME)



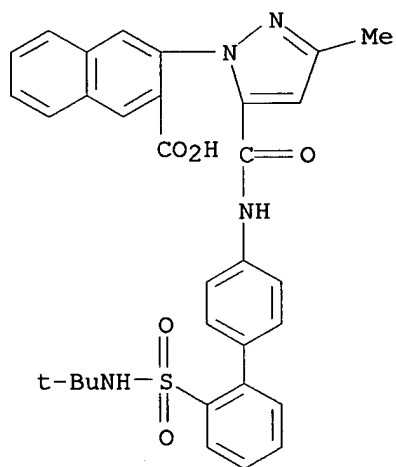
RN 330803-65-1 CAPLUS

CN 1H-Pyrazole-5-carboxamide, 1-(3-cyano-2-naphthalenyl)-N-[2'-[[[(1,1-dimethylethyl)amino]sulfonyl]-3-fluoro[1,1'-biphenyl]-4-yl]-3-methyl- (9CI) (CA INDEX NAME)



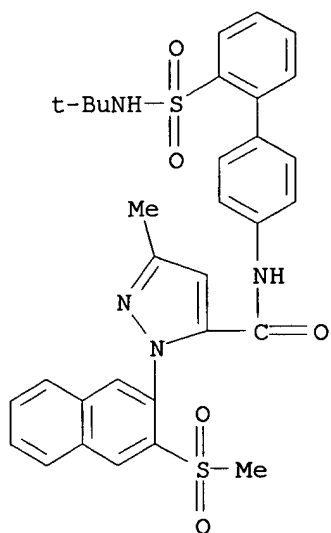
RN 330803-67-3 CAPLUS

CN 2-Naphthalenecarboxylic acid, 3-[5-[[[2'-[[[(1,1-dimethylethyl)amino]sulfonyl][1,1'-biphenyl]-4-yl]amino]carbonyl]-3-methyl-1H-pyrazol-1-yl]- (9CI) (CA INDEX NAME)



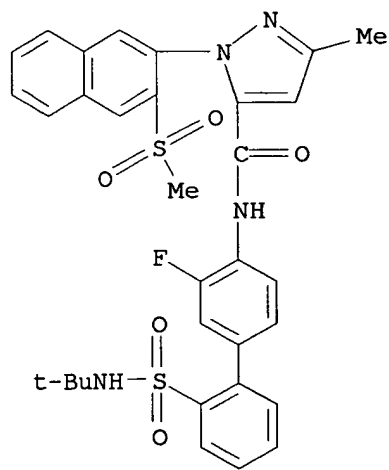
RN 330803-70-8 CAPLUS

CN 1H-Pyrazole-5-carboxamide, N-[2'-[[[(1,1-dimethylethyl)amino]sulfonyl][1,1'-biphenyl]-4-yl]-3-methyl-1-[3-(methylsulfonyl)-2-naphthalenyl]- (9CI) (CA INDEX NAME)



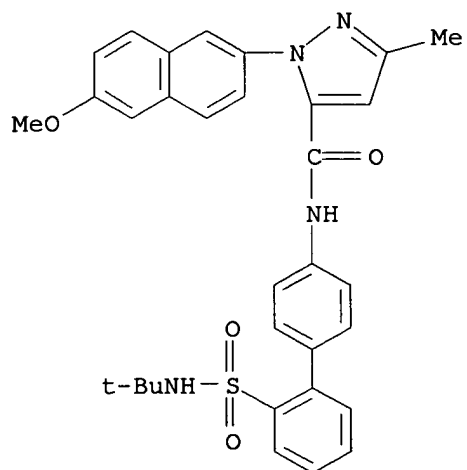
RN 330803-72-0 CAPLUS

CN 1H-Pyrazole-5-carboxamide, N-[2'-[[[(1,1-dimethylethyl)amino]sulfonyl]-3-fluoro[1,1'-biphenyl]-4-yl]-3-methyl-1-[3-(methylsulfonyl)-2-naphthalenyl]- (9CI) (CA INDEX NAME)



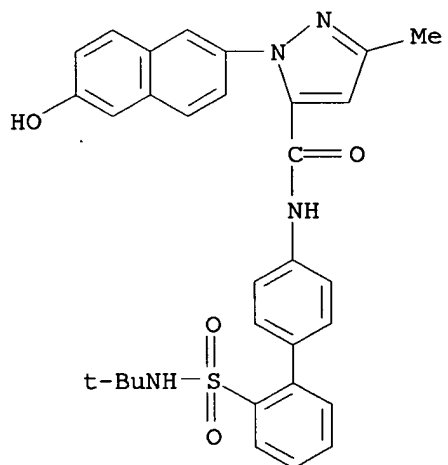
RN 330803-77-5 CAPLUS

CN 1H-Pyrazole-5-carboxamide, N-[2'-[[[(1,1-dimethylethyl)amino]sulfonyl]-1-(6-methoxy-2-naphthalenyl)-3-methyl- (9CI) (CA INDEX NAME)



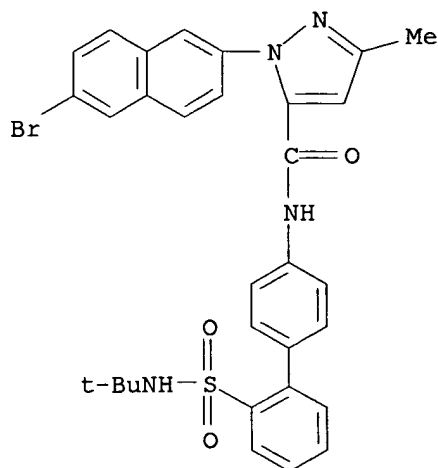
RN 330803-79-7 CAPLUS

CN 1H-Pyrazole-5-carboxamide, N-[2'-[[[1,1-dimethylethyl]amino]sulfonyl][1,1'-biphenyl]-4-yl]-1-(6-hydroxy-2-naphthalenyl)-3-methyl- (9CI) (CA INDEX NAME)



RN 330803-82-2 CAPLUS

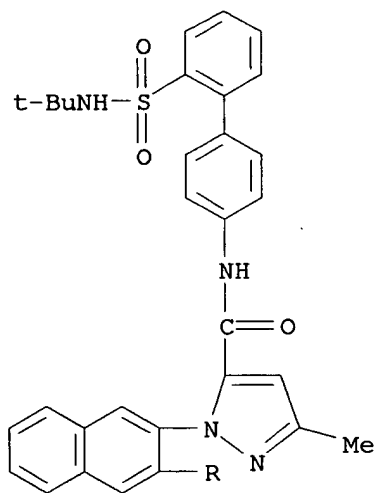
CN 1H-Pyrazole-5-carboxamide, 1-(6-bromo-2-naphthalenyl)-N-[2'-[[[1,1-dimethylethyl]amino]sulfonyl][1,1'-biphenyl]-4-yl]-3-methyl- (9CI) (CA INDEX NAME)



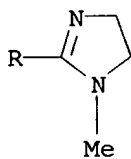
RN 330803-87-7 CAPLUS

CN 1H-Pyrazole-5-carboxamide, 1-[3-(4,5-dihydro-1-methyl-1H-imidazol-2-yl)-2-naphthalenyl]-N-[[2'-[[1,1'-biphenyl]-4-yl]-3-methyl-1H-pyrazol-5-yl]amino]sulfonyl-1,1'-biphenyl-4-yl]-3-methyl- (9CI) (CA INDEX NAME)

PAGE 1-A

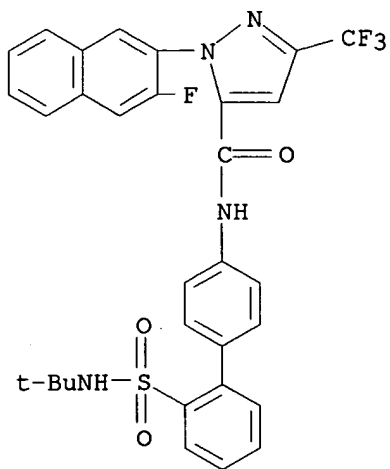


PAGE 2-A



RN 441330-08-1 CAPLUS

CN 1H-Pyrazole-5-carboxamide, N-[2'-[[[(1,1-dimethylethyl)amino]sulfonyl][1,1'-biphenyl]-4-yl]-1-(3-fluoro-2-naphthalenyl)-3-(trifluoromethyl)- (9CI)  
(CA INDEX NAME)



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AN 2002:407969 CAPLUS

DN 138:66142

TI Design, synthesis and biological activity of novel non-amidine factor Xa inhibitors. Part 1: P1 structure-activity relationships of the substituted 1-(2-Naphthyl)-1H-pyrazole-5-carboxylamides

AU Jia, Zhaozhong J.; Wu, Yanhong; Huang, Wenrong; Goldman, Erick; Zhang, Penglie; Woolfrey, John; Wong, Paul; Huang, Brian; Sinha, Uma; Park, Gary; Reed, Andrea; Scarborough, Robert M.; Zhu, Bing-Yan

CS Medicinal Chemistry Department, Millennium Pharmaceuticals, Inc., South San Francisco, CA, 94080, USA

SO Bioorganic & Medicinal Chemistry Letters (2002) 12(12), 1651-1655  
CODEN: BMCLE8; ISSN: 0960-894X

PB Elsevier Science Ltd.

DT Journal

LA English

OS CASREACT 138:66142

AB Based on DuPont Pharmaceuticals' monobenzamidine lead structure SN429, we have designed the biphenyl 1-(2-naphthyl)-1H-pyrazole-5-carboxylamides as a novel series of non-basic factor Xa inhibitors. We have discovered that the displacement of the benzamidine moiety with substituted 2-naphthyl structures not only results in highly potent factor Xa inhibitors, but also significantly increases their enzyme specificity and oral bioavailability.

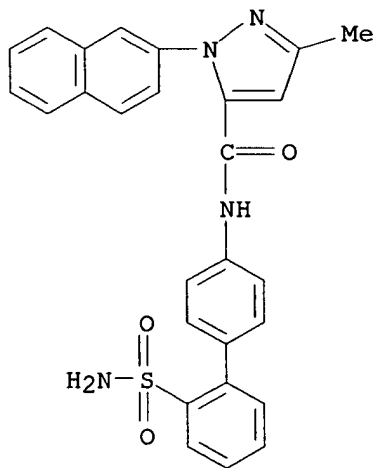
IT **330801-92-8P 330802-25-0P 330802-26-1P**

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(design, synthesis and biol. activity of novel non-amidine factor Xa inhibitors)

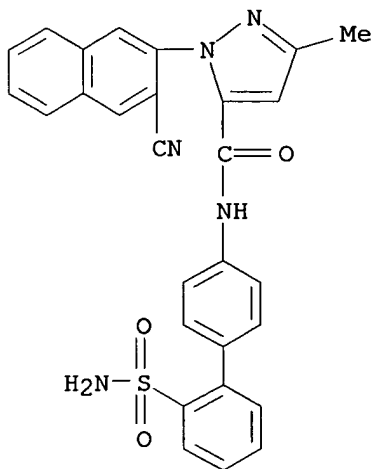
RN 330801-92-8 CAPLUS

CN 1H-Pyrazole-5-carboxamide, N-[2'-(aminosulfonyl)[1,1'-biphenyl]-4-yl]-3-methyl-1-(2-naphthalenyl)- (9CI) (CA INDEX NAME)



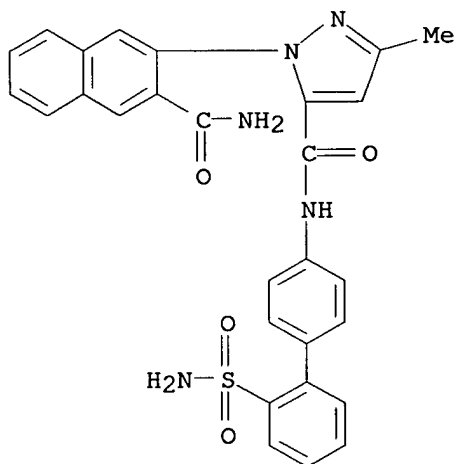
RN 330802-25-0 CAPLUS

CN 1H-Pyrazole-5-carboxamide, N-[2'-(aminosulfonyl)[1,1'-biphenyl]-4-yl]-1-(3-cyano-2-naphthalenyl)-3-methyl- (9CI) (CA INDEX NAME)



RN 330802-26-1 CAPLUS

CN 1H-Pyrazole-5-carboxamide, 1-[3-(aminocarbonyl)-2-naphthalenyl]-N-[2'-(aminosulfonyl)[1,1'-biphenyl]-4-yl]-3-methyl- (9CI) (CA INDEX NAME)



IT 330801-94-0P 330802-23-8P 330802-24-9P

330802-41-0P 330802-43-2P 330802-69-2P

330802-70-5P 330802-71-6P 330803-01-5P

330803-09-3P 330803-10-6P 330803-39-9P

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441328-31-0P 441328-65-0P 479499-82-6P

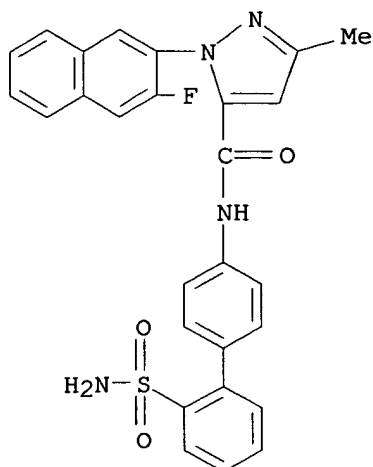
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(design, synthesis and biol. activity of novel non-amidine factor Xa inhibitors)

RN 330801-94-0 CAPLUS

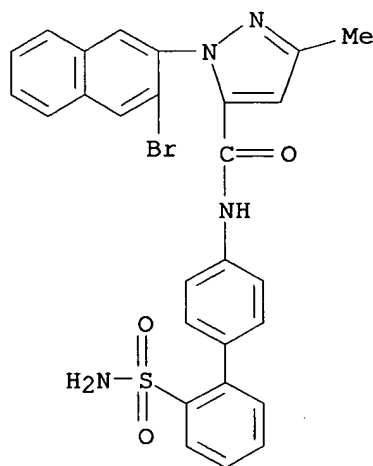
CN 1H-Pyrazole-5-carboxamide, N-[2'-(aminosulfonyl)[1,1'-biphenyl]-4-yl]-1-(3-fluoro-2-naphthalenyl)-3-methyl- (9CI) (CA INDEX NAME)





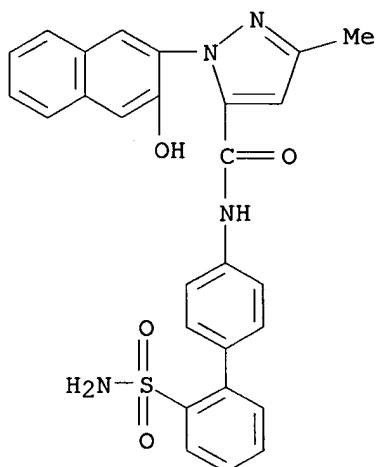
RN 330802-23-8 CAPLUS

CN 1H-Pyrazole-5-carboxamide, N-[2'-(aminosulfonyl)[1,1'-biphenyl]-4-yl]-1-(3-bromo-2-naphthalenyl)-3-methyl- (9CI) (CA INDEX NAME)



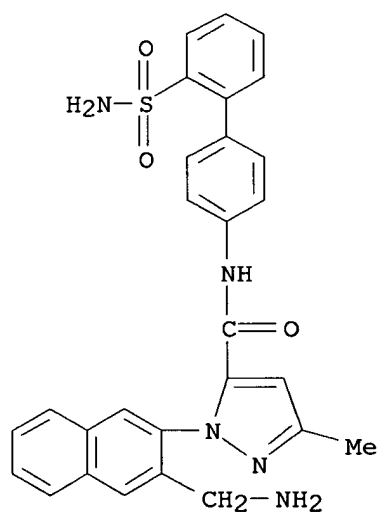
RN 330802-24-9 CAPLUS

CN 1H-Pyrazole-5-carboxamide, N-[2'-(aminosulfonyl)[1,1'-biphenyl]-4-yl]-1-(3-hydroxy-2-naphthalenyl)-3-methyl- (9CI) (CA INDEX NAME)



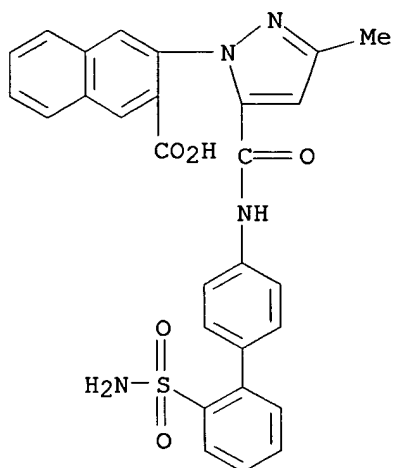
RN 330802-41-0 CAPLUS

CN 1H-Pyrazole-5-carboxamide, 1-[3-(aminomethyl)-2-naphthalenyl]-N-[2'-(aminosulfonyl)[1,1'-biphenyl]-4-yl]-3-methyl- (9CI) (CA INDEX NAME)



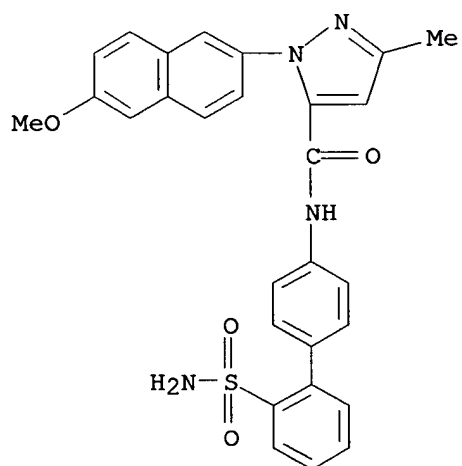
RN 330802-43-2 CAPLUS

CN 2-Naphthalenecarboxylic acid, 3-[5-[[[2'-(aminosulfonyl)[1,1'-biphenyl]-4-yl]amino]carbonyl]-3-methyl-1H-pyrazol-1-yl]- (9CI) (CA INDEX NAME)



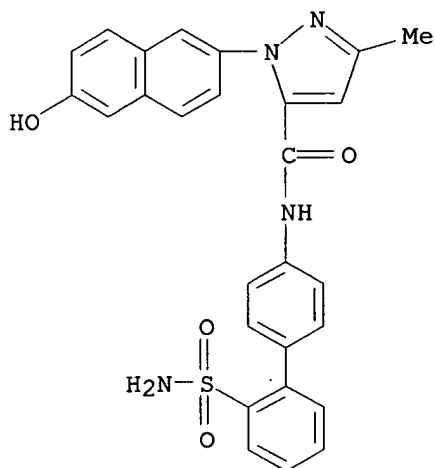
RN 330802-69-2 CAPLUS

CN 1H-Pyrazole-5-carboxamide, N-[2'-(aminosulfonyl)[1,1'-biphenyl]-4-yl]-1-(6-methoxy-2-naphthalenyl)-3-methyl- (9CI) (CA INDEX NAME)



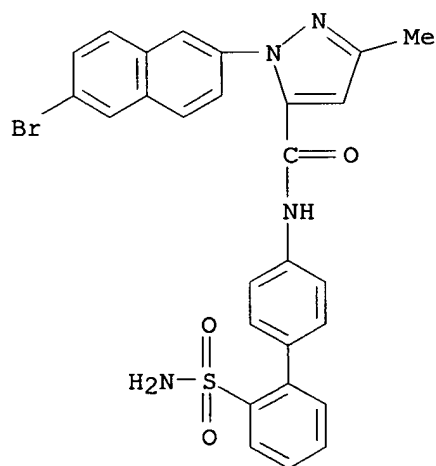
RN 330802-70-5 CAPLUS

CN 1H-Pyrazole-5-carboxamide, N-[2'-(aminosulfonyl)[1,1'-biphenyl]-4-yl]-1-(6-hydroxy-2-naphthalenyl)-3-methyl- (9CI) (CA INDEX NAME)



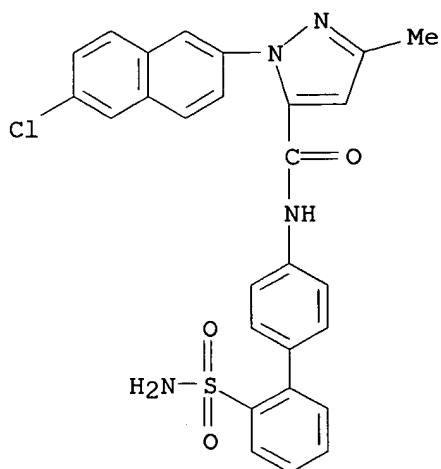
RN 330802-71-6 CAPLUS

CN 1H-Pyrazole-5-carboxamide, N-[2'-(aminosulfonyl)[1,1'-biphenyl]-4-yl]-1-(6-bromo-2-naphthalenyl)-3-methyl- (9CI) (CA INDEX NAME)



RN 330803-01-5 CAPLUS

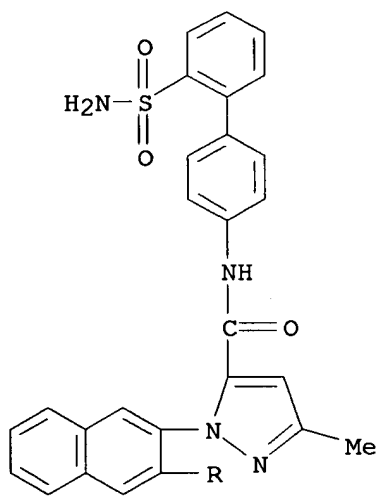
CN 1H-Pyrazole-5-carboxamide, N-[2'-(aminosulfonyl)[1,1'-biphenyl]-4-yl]-1-(6-chloro-2-naphthalenyl)-3-methyl- (9CI) (CA INDEX NAME)



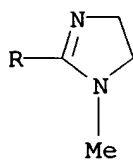
RN 330803-09-3 CAPLUS

CN 1H-Pyrazole-5-carboxamide, N-[2'-(aminosulfonyl)[1,1'-biphenyl]-4-yl]-1-[3-(4,5-dihydro-1-methyl-1H-imidazol-2-yl)-2-naphthalenyl]-3-methyl- (9CI)  
(CA INDEX NAME)

PAGE 1-A

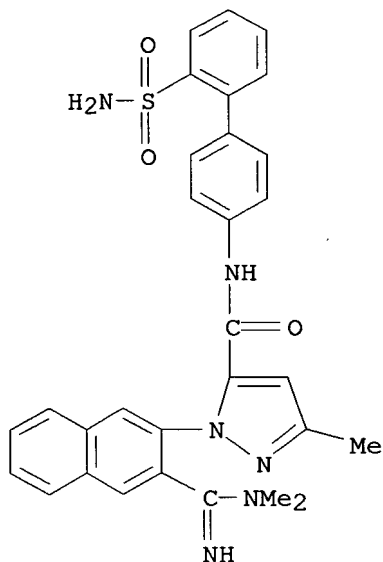


PAGE 2-A



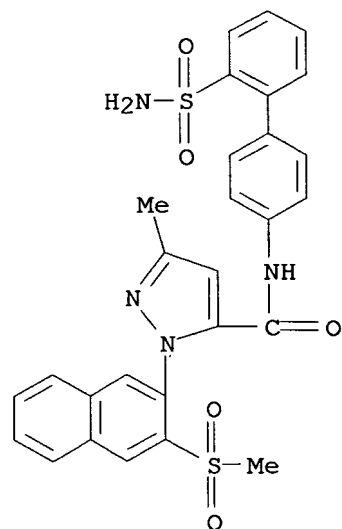
RN 330803-10-6 CAPLUS

CN 1H-Pyrazole-5-carboxamide, N-[2'-(aminosulfonyl)[1,1'-biphenyl]-4-yl]-1-[3-  
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NAME)



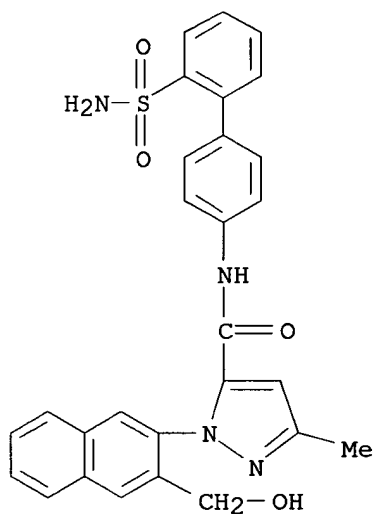
RN 330803-39-9 CAPLUS

CN 1H-Pyrazole-5-carboxamide, N-[2'-(aminosulfonyl)[1,1'-biphenyl]-4-yl]-3-  
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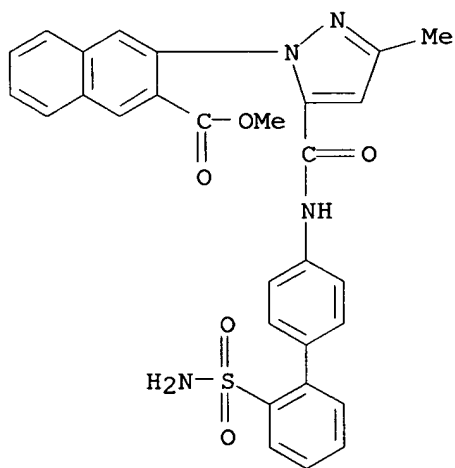
RN 441327-80-6 CAPLUS

CN 1H-Pyrazole-5-carboxamide, N-[2'-(aminosulfonyl)[1,1'-biphenyl]-4-yl]-1-[3-  
(hydroxymethyl)-2-naphthalenyl]-3-methyl- (9CI) (CA INDEX NAME)



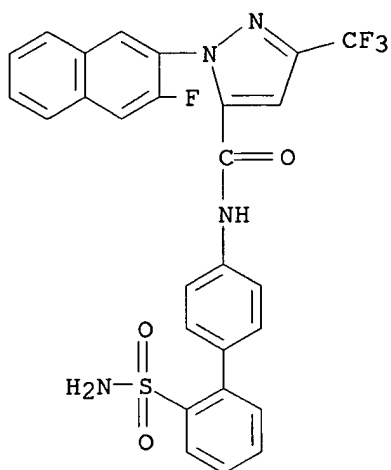
RN 441327-81-7 CAPLUS

CN 2-Naphthalenecarboxylic acid, 3-[5-[[[2'-(aminosulfonyl)[1,1'-biphenyl]-4-yl]amino]carbonyl]-3-methyl-1H-pyrazol-1-yl]-, methyl ester (9CI) (CA INDEX NAME)



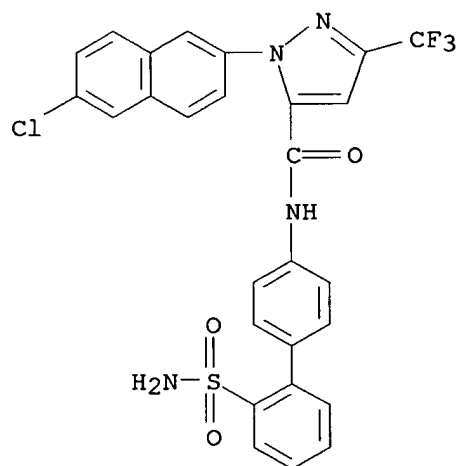
RN 441328-00-3 CAPLUS

CN 1H-Pyrazole-5-carboxamide, N-[2'-(aminosulfonyl)[1,1'-biphenyl]-4-yl]-1-(3-fluoro-2-naphthalenyl)-3-(trifluoromethyl)- (9CI) (CA INDEX NAME)



RN 441328-31-0 CAPLUS

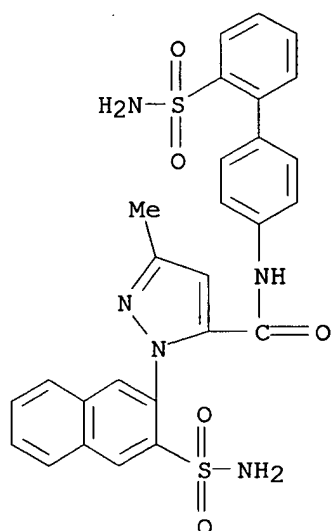
CN 1H-Pyrazole-5-carboxamide, N-[2'-(aminosulfonyl)[1,1'-biphenyl]-4-yl]-1-(6-chloro-2-naphthalenyl)-3-(trifluoromethyl)- (9CI) (CA INDEX NAME)



RN 441328-65-0 CAPLUS

CN 1H-Pyrazole-5-carboxamide, N-[2'-(aminosulfonyl)[1,1'-biphenyl]-4-yl]-1-[3-(aminosulfonyl)-2-naphthalenyl]-3-methyl- (9CI) (CA INDEX NAME)

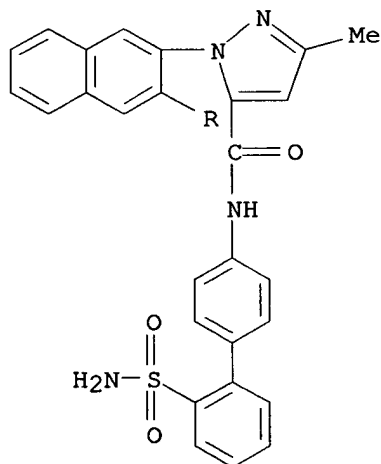




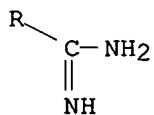
RN 479499-82-6 CAPLUS

CN 1H-Pyrazole-5-carboxamide, 1-[3-(aminoiminomethyl)-2-naphthalenyl]-N-[2'-(aminosulfonyl)[1,1'-biphenyl]-4-yl]-3-methyl- (9CI) (CA INDEX NAME)

PAGE 1-A



PAGE 2-A



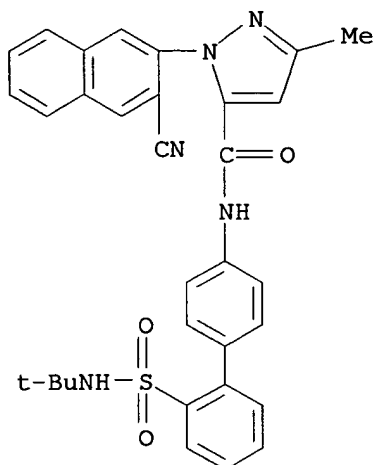
IT 330803-57-1P 479499-81-5P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(design, synthesis and biol. activity of novel non-amidine factor Xa inhibitors)

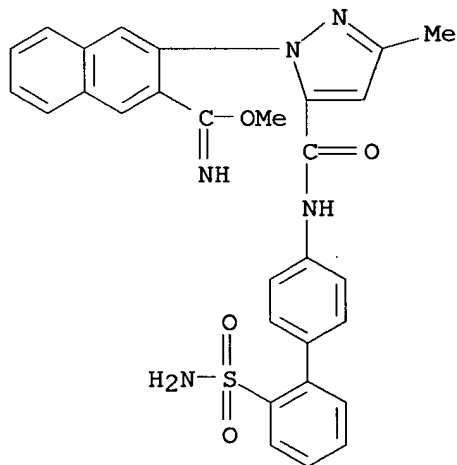
RN 330803-57-1 CAPLUS

CN 1H-Pyrazole-5-carboxamide, 1-(3-cyano-2-naphthalenyl)-N-[2'-[[ (1,1-dimethylethyl) amino] sulfonyl] [1,1'-biphenyl]-4-yl]-3-methyl- (9CI) (CA INDEX NAME)



RN 479499-81-5 CAPLUS

CN 2-Naphthalenecarboximidic acid, 3-[5-[[[2'-(aminosulfonyl) [1,1'-biphenyl]-4-yl] amino] carbonyl]-3-methyl-1H-pyrazol-1-yl]-, methyl ester (9CI) (CA INDEX NAME)



RE.CNT 13 THERE ARE 13 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 6 OF 8 CAPLUS COPYRIGHT 2006 ACS on STN  
 AN 2002:39605 CAPLUS  
 DN 136:102380  
 TI Preparation of novel guanidine mimics as factor Xa inhibitors  
 IN Lam, Patrick Y.; Clark, Charles G.; Dominguez, Celia; Fevig, John M.; Han, Qi; Li, Renhua; Pinto, Donald J. P.; Pruitt, James R.; Quan, Mimi L.  
 PA Dupont Pharmaceuticals Company, USA  
 SO U.S., 117 pp.  
 CODEN: USXXAM  
 DT Patent  
 LA English  
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 6339099	B1	20020115	US 1998-99358	19980618
	US 2002025963	A1	20020228	US 2001-924381	20010808
	US 6906070	B2	20050614		
	US 2003069258	A1	20030410	US 2002-98994	20020313
	US 6958356	B2	20051025		
	US 2004063772	A1	20040401	US 2003-602214	20030624
	US 6965036	B2	20051115		
PRAI	US 1997-50265P	P	19970620		
	US 1998-99358	A3	19980618		
	US 2001-924381	B1	20010808		

OS MARPAT 136:102380

AB The title compds. [I; ring D = 5-membered aromatic system containing from 1-2 heteroatoms selected from N, O, S; ring D is substituted with 0-2 R groups; ring E contains 0-2 N atom and is substituted by 0-1 R groups; R = Cl, F, Br, I, OH, alkoxy, amino(alkyl), (alkyl)amino; Z = bond, alkylene, (CH<sub>2</sub>)rO(CH<sub>2</sub>)r, (CH<sub>2</sub>)rNR<sub>3</sub>(CH<sub>2</sub>)r, (CH<sub>2</sub>)rC(O)(CH<sub>2</sub>)r, (CH<sub>2</sub>)rC(O)O(CH<sub>2</sub>)r, (CH<sub>2</sub>)rOC(O)(CH<sub>2</sub>)r, (CH<sub>2</sub>)rC(O)NR<sub>3</sub>(CH<sub>2</sub>)r, etc. provided that Z does not form a N-N, N-O, N-S, NCH<sub>2</sub>N, NCH<sub>2</sub>O, or NCH<sub>2</sub>S bond with ring M or group A; R1a-1b = H, alk(en)yl, aminoalkyl, alkoxy, alternatively, R1a-1b, when attached to adjacent carbon atoms, together with the atoms to which they are attached form a 5-8 membered (un)saturated ring (un)substituted and which contains from 0-2 heteroatoms selected from the group consisting of N, O, and S; alternatively, when Z is C(O)NH and R1a is attached to a ring carbon adjacent to Z, then R1a is a C(O) which replaces the amide hydrogen of Z to form a cyclic imide; R<sub>3</sub> = H, alkyl, phenyl; A = (un)substituted carbocyclic, 5-10 membered heterocyclic system containing 1-4 heteroatoms selected from N, O, S; B = H, Y, X-Y; X = sulfonylalkyl, alkylsulfonyl, sulfonamide, etc.; Y = alkylamino, provided that X-Y does not form a N-N, O-N or S-N bond, carbocyclic group, 5-10 membered heterocyclic r = 0-3], inhibitors of factor Xa which are useful in treating and preventing a thromboembolic disorder, were prepared and formulated. Thus, a multi-step synthesis of the title compound II, starting with 7-aminoisoquinoline, was described. A number of compds. I were found to exhibit a K<sub>i</sub> of ≤ 15 μM against factor Xa.

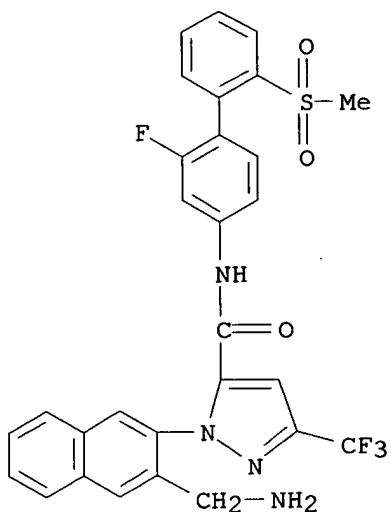
IT 218299-04-8P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of novel guanidine mimics as factor Xa inhibitors)

RN 218299-04-8 CAPLUS

CN 1H-Pyrazole-5-carboxamide, 1-[3-(aminomethyl)-2-naphthalenyl]-N-[2-fluoro-2'-(methylsulfonyl)[1,1'-biphenyl]-4-yl]-3-(trifluoromethyl)- (9CI) (CA INDEX NAME)



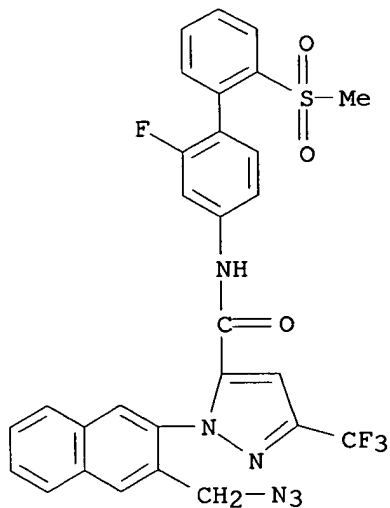
IT **218302-16-0P**

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of novel guanidine mimics as factor Xa inhibitors)

RN 218302-16-0 CAPLUS

CN 1H-Pyrazole-5-carboxamide, 1-[3-(azidomethyl)-2-naphthalenyl]-N-[2-fluoro-2'-(methylsulfonyl)[1,1'-biphenyl]-4-yl]-3-(trifluoromethyl)- (9CI) (CA INDEX NAME)



RE.CNT 19 THERE ARE 19 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 7 OF 8 CAPLUS COPYRIGHT 2006 ACS on STN

AN 2001:208248 CAPLUS

DN 134:252334

TI Preparation of 1-naphthyl-3-methyl-1H-pyrazole-5-carboxamides as inhibitors of factor Xa

IN Zhu, Bing-Yan; Jia, Zhaozhong Jon; Huang, Wenrong; Song, Yonghong; Kanter, James; Scarborough, Robert M.

PA Cor Therapeutics Inc., USA

SO PCT Int. Appl., 314 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 6

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2001019798	A2	20010322	WO 2000-US25195	20000915
	WO 2001019798	A3	20011025		
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	RW:				
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	ZA 2002002117	A	20031215	ZA 2002-2117	20020314
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	ZA 2003006488	A	20040216	ZA 2003-6488	20030820
	ZA 2003006490	A	20040323	ZA 2003-6490	20030820
PRAI	US 1999-154332P	P	19990917		
	WO 2000-US25195	W	20000915		

OS MARPAT 134:252334

AB The title compds. AQDEGJX [A = alkyl, cycloalkyl, (un)substituted Ph; Q = a direct link, alkylene, CO, etc.; D = a direct link, (un)phenylene, etc.; E = a direct link, (CH<sub>2</sub>)<sub>q</sub>CO, SO<sub>2</sub>, etc.; q = 0-2; G = (un)substituted Ph, (un)substituted 5-6 membered (non)aromatic heterocyclic a ring containing 1-4 heteroatoms selected from N, O and S; J = a direct link, SO<sub>2</sub>, CO, etc.; X = (un)substituted Ph, naphthyl, heteroaryl] having activity against mammalian factor Xa, and therefore useful in vitro or in vivo for preventing or treating coagulation disorders, were prepared E.g., a 3-step synthesis of the pyrazolecarboxamide I was described.

IT 330802-00-1P 330802-51-2P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

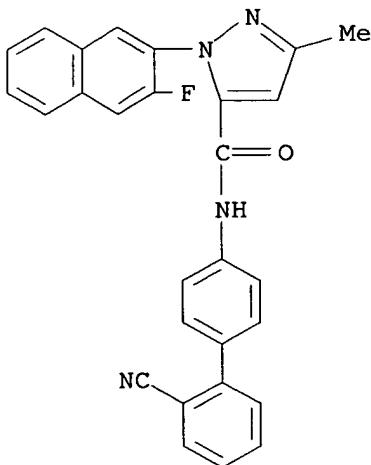
*Same Inv*  
*Appl PCT*

← *Appl Prov.*

(preparation of 1-naphthyl-3-methyl-1H-pyrazole-5-carboxamides as inhibitors of factor Xa)

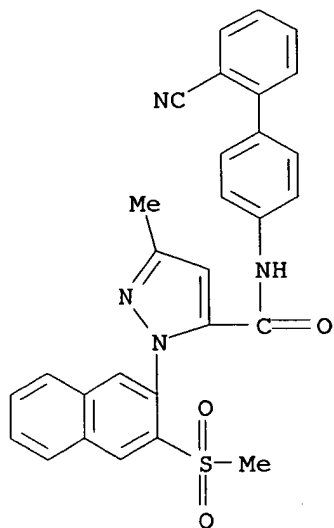
RN 330802-00-1 CAPLUS

CN 1H-Pyrazole-5-carboxamide, N-(2'-cyano[1,1'-biphenyl]-4-yl)-1-(3-fluoro-2-naphthalenyl)-3-methyl- (9CI) (CA INDEX NAME)



RN 330802-51-2 CAPLUS

CN 1H-Pyrazole-5-carboxamide, N-(2'-cyano[1,1'-biphenyl]-4-yl)-3-methyl-1-[3-(methylsulfonyl)-2-naphthalenyl]- (9CI) (CA INDEX NAME)



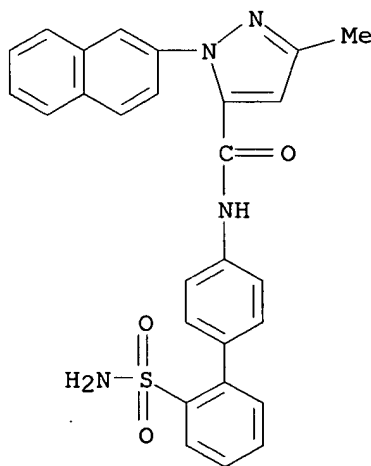
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 330802-69-2P 330802-70-5P 330802-71-6P  
 330802-72-7P 330802-73-8P 330802-74-9P  
 330802-75-0P 330802-98-7P 330802-99-8P  
 330803-00-4P 330803-01-5P 330803-02-6P  
 330803-03-7P 330803-08-2P 330803-09-3P  
 330803-10-6P 330803-11-7P 330803-39-9P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (preparation of 1-naphthyl-3-methyl-1H-pyrazole-5-carboxamides as inhibitors of factor Xa)

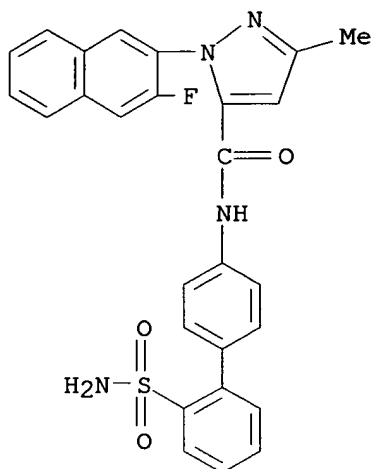
RN 330801-92-8 CAPLUS

CN 1H-Pyrazole-5-carboxamide, N-[2'-(aminosulfonyl)[1,1'-biphenyl]-4-yl]-3-methyl-1-(2-naphthalenyl)- (9CI) (CA INDEX NAME)



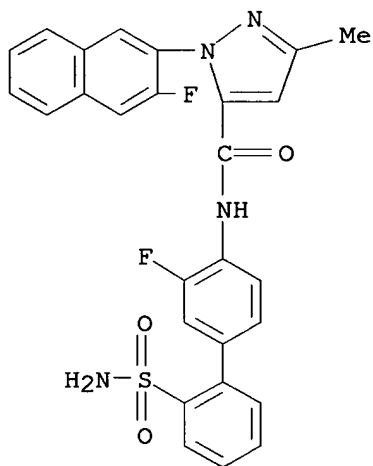
RN 330801-94-0 CAPLUS

CN 1H-Pyrazole-5-carboxamide, N-[2'-(aminosulfonyl)[1,1'-biphenyl]-4-yl]-1-(3-fluoro-2-naphthalenyl)-3-methyl- (9CI) (CA INDEX NAME)



RN 330801-95-1 CAPLUS

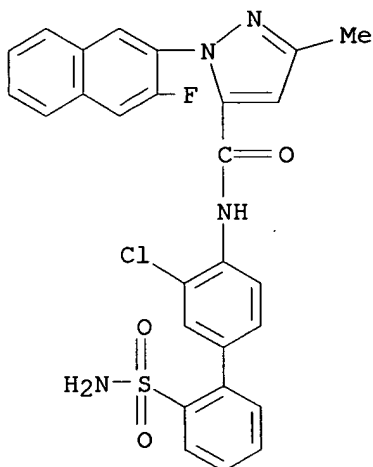
CN 1H-Pyrazole-5-carboxamide, N-[2'-(aminosulfonyl)-3-fluoro[1,1'-biphenyl]-4-yl]-1-(3-fluoro-2-naphthalenyl)-3-methyl- (9CI) (CA INDEX NAME)



RN 330801-96-2 CAPLUS

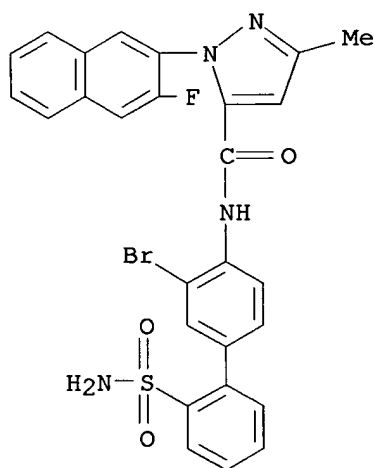
CN 1H-Pyrazole-5-carboxamide, N-[2'-(aminosulfonyl)-3-chloro[1,1'-biphenyl]-4-yl]-1-(3-fluoro-2-naphthalenyl)-3-methyl- (9CI) (CA INDEX NAME)





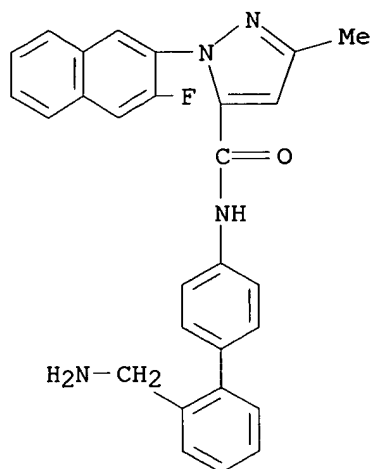
RN 330801-97-3 CAPLUS

CN 1H-Pyrazole-5-carboxamide, N-[2'-(aminosulfonyl)-3-bromo[1,1'-biphenyl]-4-yl]-1-(3-fluoro-2-naphthalenyl)-3-methyl- (9CI) (CA INDEX NAME)



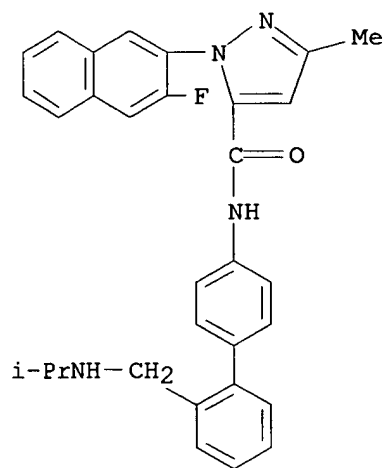
RN 330802-01-2 CAPLUS

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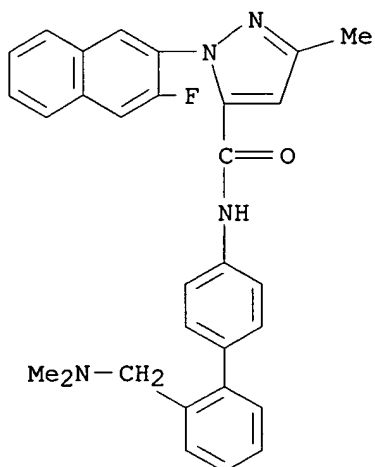
RN 330802-02-3 CAPLUS

CN 1H-Pyrazole-5-carboxamide, 1-(3-fluoro-2-naphthalenyl)-3-methyl-N-[2'-[[ (1-methylethyl)amino]methyl][1,1'-biphenyl]-4-yl]- (9CI) (CA INDEX NAME)



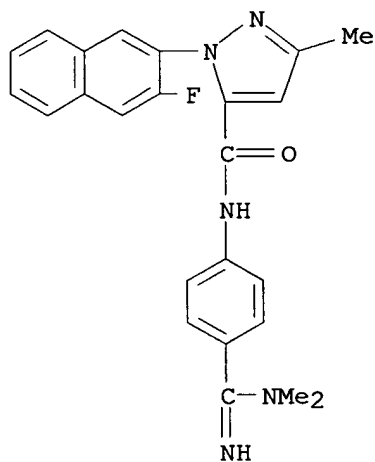
RN 330802-03-4 CAPLUS

CN 1H-Pyrazole-5-carboxamide, N-[2'-[(dimethylamino)methyl][1,1'-biphenyl]-4-yl]-1-(3-fluoro-2-naphthalenyl)-3-methyl- (9CI) (CA INDEX NAME)



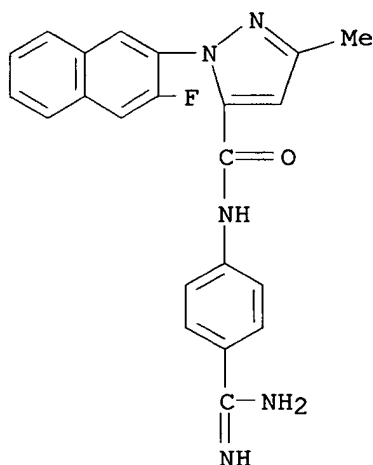
RN 330802-07-8 CAPLUS

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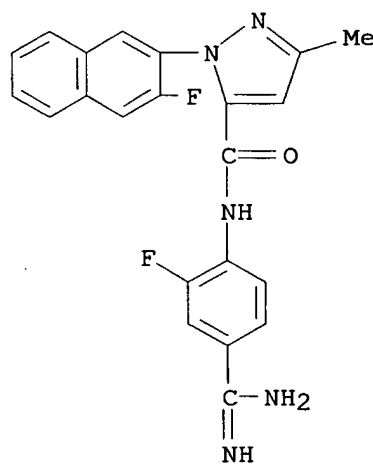
RN 330802-12-5 CAPLUS

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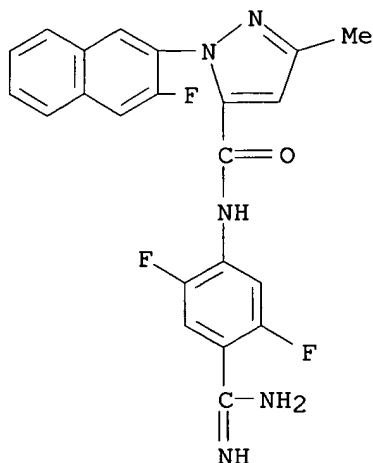
RN 330802-18-1 CAPLUS

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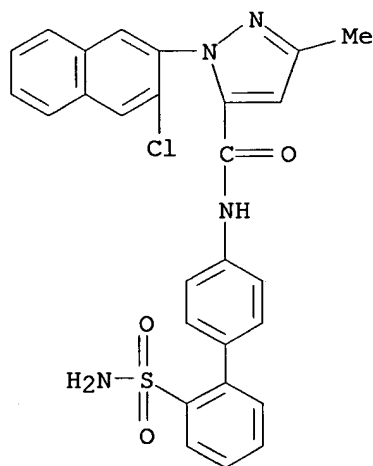
RN 330802-21-6 CAPLUS

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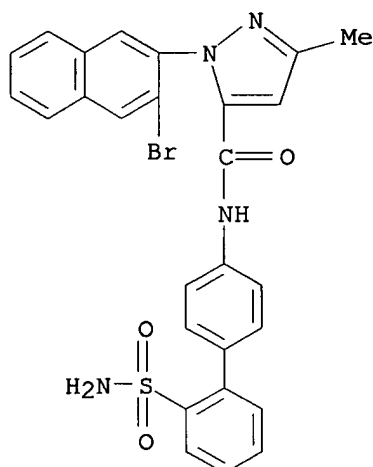
RN 330802-22-7 CAPLUS

CN 1H-Pyrazole-5-carboxamide, N-[2'-(aminosulfonyl)[1,1'-biphenyl]-4-yl]-1-(3-chloro-2-naphthalenyl)-3-methyl- (9CI) (CA INDEX NAME)



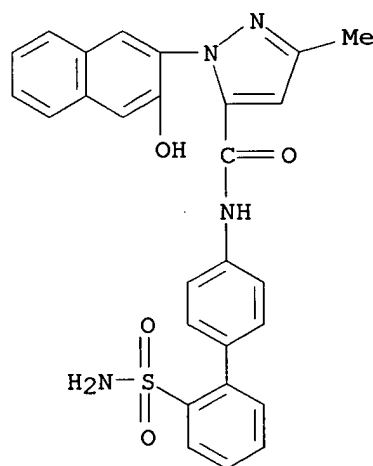
RN 330802-23-8 CAPLUS

CN 1H-Pyrazole-5-carboxamide, N-[2'-(aminosulfonyl)[1,1'-biphenyl]-4-yl]-1-(3-bromo-2-naphthalenyl)-3-methyl- (9CI) (CA INDEX NAME)



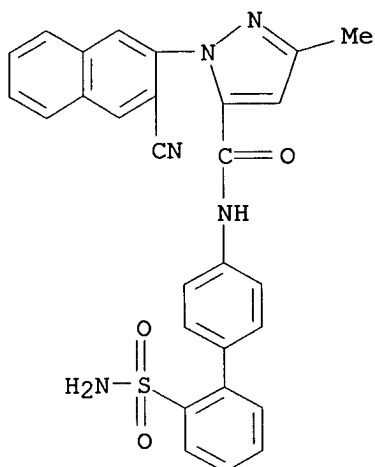
RN 330802-24-9 CAPLUS

CN 1H-Pyrazole-5-carboxamide, N-[2'-(aminosulfonyl)[1,1'-biphenyl]-4-yl]-1-(3-hydroxy-2-naphthalenyl)-3-methyl- (9CI) (CA INDEX NAME)



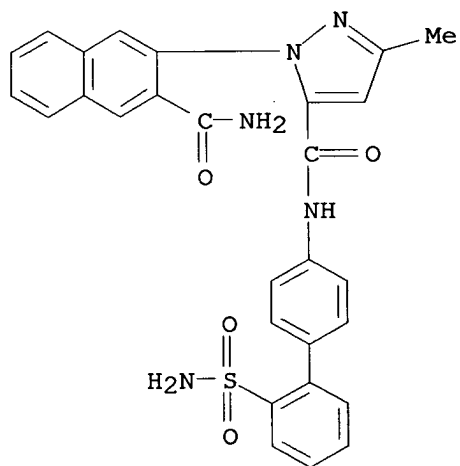
RN 330802-25-0 CAPLUS

CN 1H-Pyrazole-5-carboxamide, N-[2'-(aminosulfonyl)[1,1'-biphenyl]-4-yl]-1-(3-cyano-2-naphthalenyl)-3-methyl- (9CI) (CA INDEX NAME)



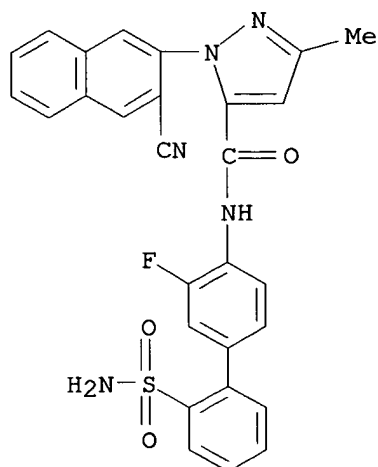
RN 330802-26-1 CAPLUS

CN 1H-Pyrazole-5-carboxamide, 1-[3-(aminocarbonyl)-2-naphthalenyl]-N-[2'-(aminosulfonyl)[1,1'-biphenyl]-4-yl]-3-methyl- (9CI) (CA INDEX NAME)



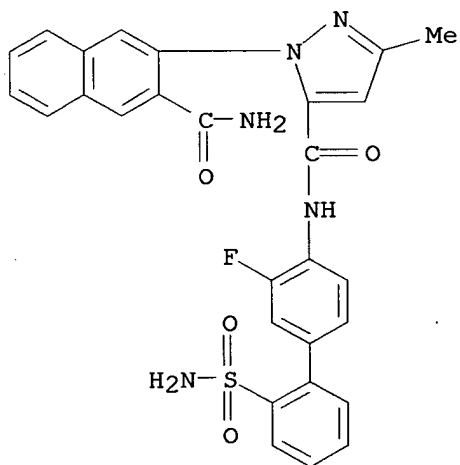
RN 330802-27-2 CAPLUS

CN 1H-Pyrazole-5-carboxamide, N-[2'-(aminosulfonyl)-3-fluoro[1,1'-biphenyl]-4-yl]-1-(3-cyano-2-naphthalenyl)-3-methyl- (9CI) (CA INDEX NAME)



RN 330802-28-3 CAPLUS

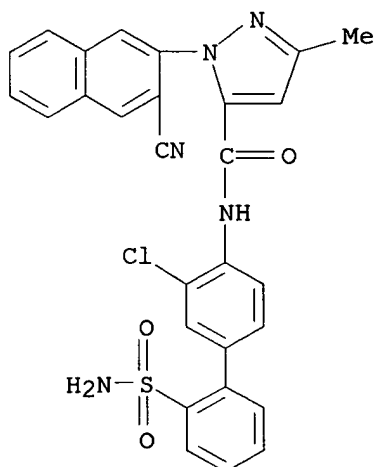
CN 1H-Pyrazole-5-carboxamide, 1-[3-(aminocarbonyl)-2-naphthalenyl]-N-[2'-(aminosulfonyl)-3-fluoro[1,1'-biphenyl]-4-yl]-3-methyl- (9CI) (CA INDEX NAME)



RN 330802-29-4 CAPLUS

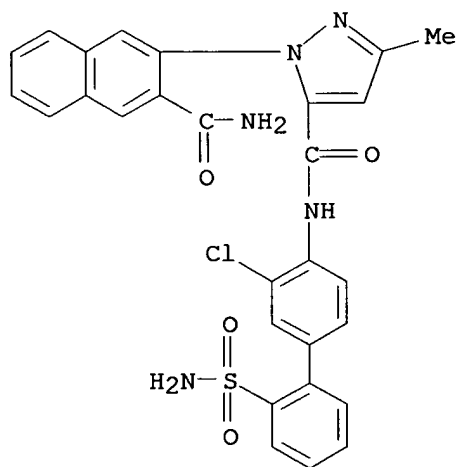
CN 1H-Pyrazole-5-carboxamide, N-[2'-(aminosulfonyl)-3-chloro[1,1'-biphenyl]-4-yl]-1-(3-cyano-2-naphthalenyl)-3-methyl- (9CI) (CA INDEX NAME)





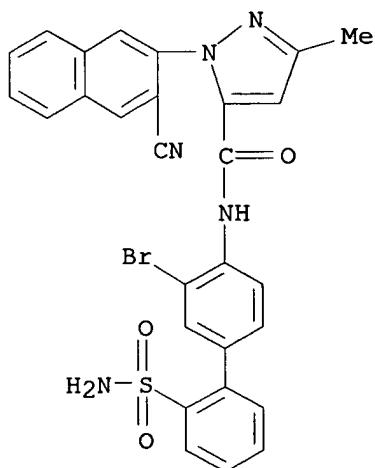
RN 330802-30-7 CAPLUS

CN 1H-Pyrazole-5-carboxamide, 1-[3-(aminocarbonyl)-2-naphthalenyl]-N-[2'-(aminosulfonyl)-3-chloro[1,1'-biphenyl]-4-yl]-3-methyl- (9CI) (CA INDEX NAME)



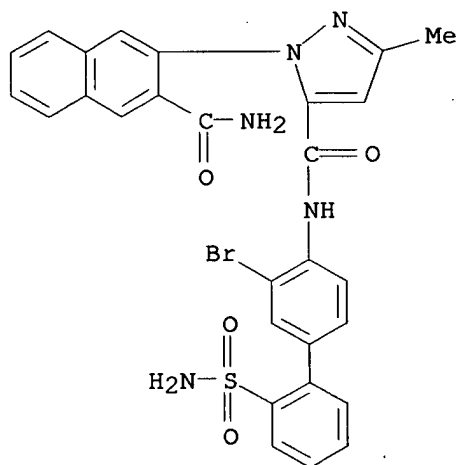
RN 330802-31-8 CAPLUS

CN 1H-Pyrazole-5-carboxamide, N-[2'-(aminosulfonyl)-3-bromo[1,1'-biphenyl]-4-yl]-1-(3-cyano-2-naphthalenyl)-3-methyl- (9CI) (CA INDEX NAME)



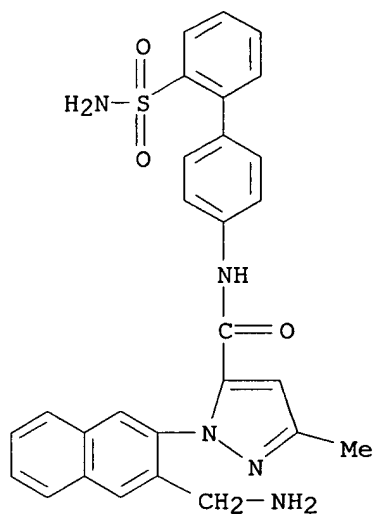
RN 330802-32-9 CAPLUS

CN 1H-Pyrazole-5-carboxamide, 1-[3-(aminocarbonyl)-2-naphthalenyl]-N-[2'-(aminosulfonyl)-3-bromo[1,1'-biphenyl]-4-yl]-3-methyl- (9CI) (CA INDEX NAME)



RN 330802-41-0 CAPLUS

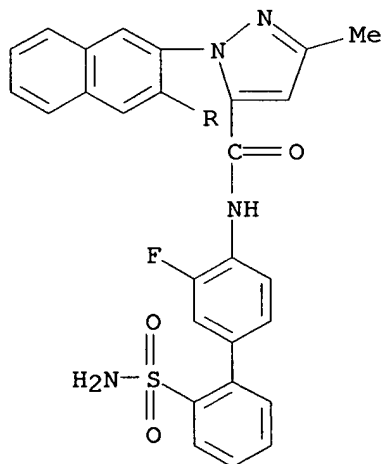
CN 1H-Pyrazole-5-carboxamide, 1-[3-(aminomethyl)-2-naphthalenyl]-N-[2'-(aminosulfonyl)[1,1'-biphenyl]-4-yl]-3-methyl- (9CI) (CA INDEX NAME)



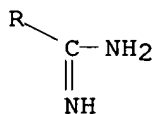
RN 330802-42-1 CAPLUS

CN 1H-Pyrazole-5-carboxamide, 1-[3-(aminoiminomethyl)-2-naphthalenyl]-N-[2'-(aminosulfonyl)-3-fluoro[1,1'-biphenyl]-4-yl]-3-methyl- (9CI) (CA INDEX NAME)

PAGE 1-A

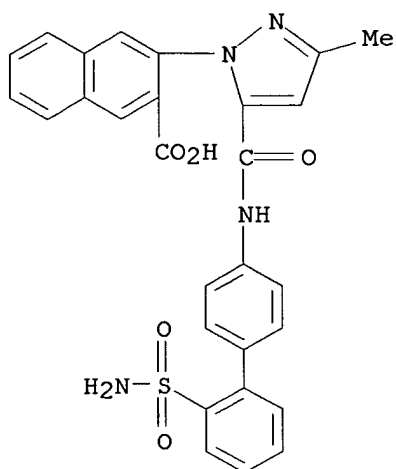


PAGE 2-A



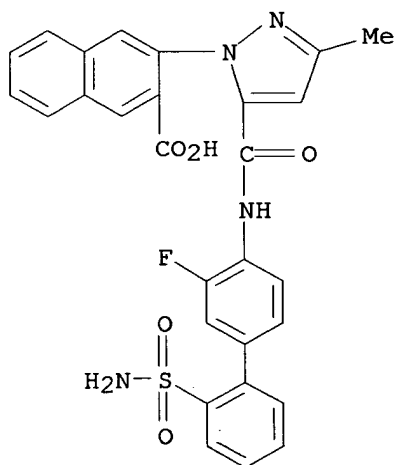
RN 330802-43-2 CAPLUS

CN 2-Naphthalenecarboxylic acid, 3-[5-[[[2'-(aminosulfonyl)[1,1'-biphenyl]-4-yl]amino]carbonyl]-3-methyl-1H-pyrazol-1-yl]- (9CI) (CA INDEX NAME)



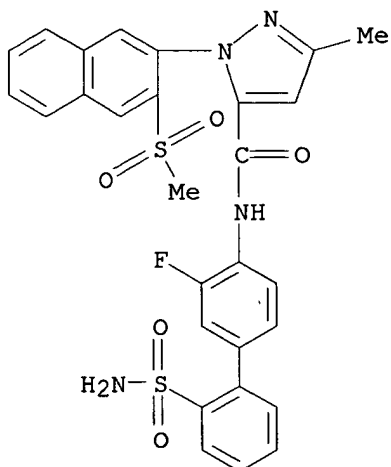
RN 330802-44-3 CAPLUS

CN 2-Naphthalenecarboxylic acid, 3-[5-[[[2'-(aminosulfonyl)-3-fluoro[1,1'-biphenyl]-4-yl]amino]carbonyl]-3-methyl-1H-pyrazol-1-yl]- (9CI) (CA INDEX NAME)



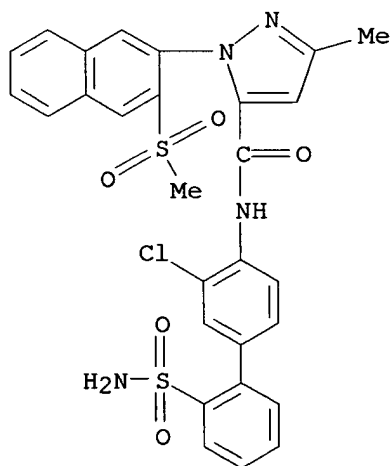
RN 330802-45-4 CAPLUS

CN 1H-Pyrazole-5-carboxamide, N-[2'-(aminosulfonyl)-3-fluoro[1,1'-biphenyl]-4-yl]-3-methyl-1-[3-(methylsulfonyl)-2-naphthalenyl]- (9CI) (CA INDEX NAME)



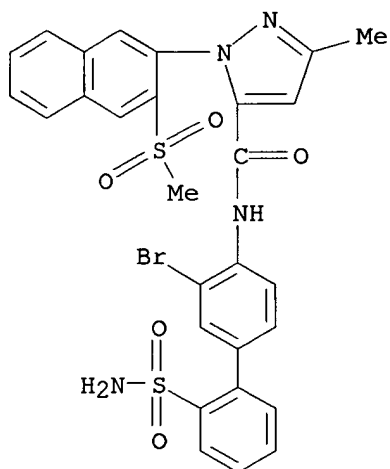
RN 330802-46-5 CAPLUS

CN 1H-Pyrazole-5-carboxamide, N-[2'-(aminosulfonyl)-3-chloro[1,1'-biphenyl]-4-yl]-3-methyl-1-[3-(methylsulfonyl)-2-naphthalenyl]- (9CI) (CA INDEX NAME)



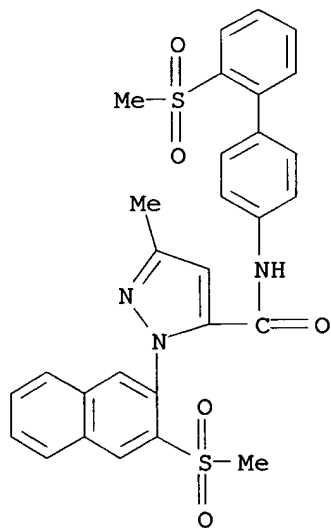
RN 330802-47-6 CAPLUS

CN 1H-Pyrazole-5-carboxamide, N-[2'-(aminosulfonyl)-3-bromo[1,1'-biphenyl]-4-yl]-3-methyl-1-[3-(methylsulfonyl)-2-naphthalenyl]- (9CI) (CA INDEX NAME)



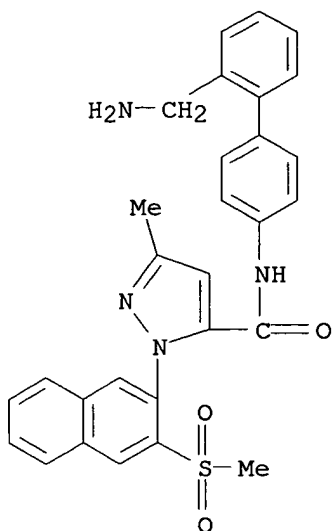
RN 330802-50-1 CAPLUS

CN 1H-Pyrazole-5-carboxamide, 3-methyl-N-[2'-(methylsulfonyl)[1,1'-biphenyl]-4-yl]-1-[3-(methylsulfonyl)-2-naphthalenyl]- (9CI) (CA INDEX NAME)



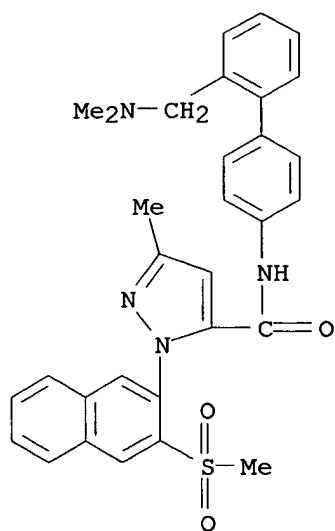
RN 330802-52-3 CAPLUS

CN 1H-Pyrazole-5-carboxamide, N-[2'-(aminomethyl)[1,1'-biphenyl]-4-yl]-3-methyl-1-[3-(methylsulfonyl)-2-naphthalenyl]- (9CI) (CA INDEX NAME)



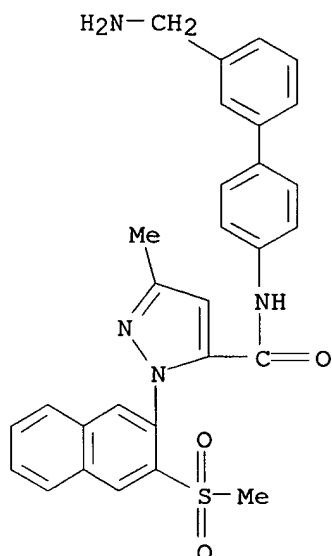
RN 330802-53-4 CAPLUS

CN 1H-Pyrazole-5-carboxamide, N-[2'-[(dimethylamino)methyl][1,1'-biphenyl]-4-yl]-3-methyl-1-[3-(methylsulfonyl)-2-naphthalenyl]- (9CI) (CA INDEX NAME)



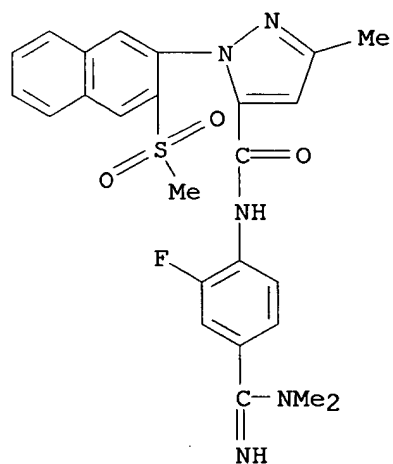
RN 330802-54-5 CAPLUS

CN 1H-Pyrazole-5-carboxamide, N-[3'-(aminomethyl)[1,1'-biphenyl]-4-yl]-3-methyl-1-[3-(methylsulfonyl)-2-naphthalenyl]- (9CI) (CA INDEX NAME)



RN 330802-67-0 CAPLUS

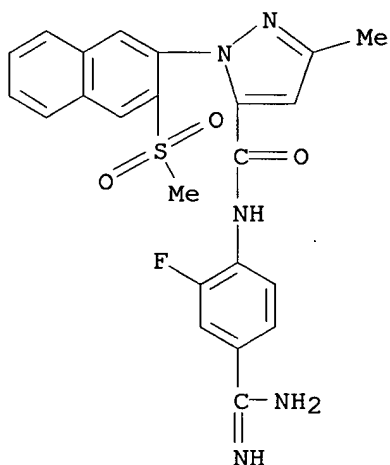
CN 1H-Pyrazole-5-carboxamide, N-[4-[(dimethylamino)iminomethyl]-2-fluorophenyl]-3-methyl-1-[3-(methylsulfonyl)-2-naphthalenyl]- (9CI) (CA INDEX NAME)



RN 330802-68-1 CAPLUS

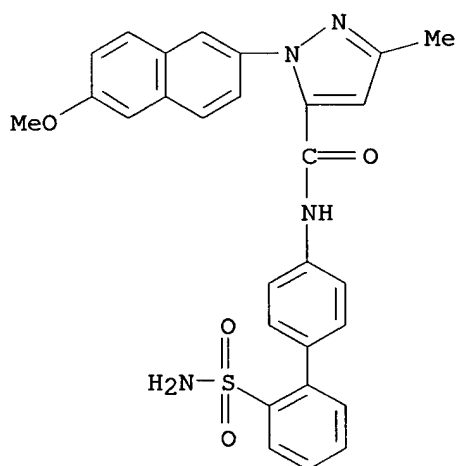
CN 1H-Pyrazole-5-carboxamide, N-[4-(aminoiminomethyl)-2-fluorophenyl]-3-methyl-1-[3-(methylsulfonyl)-2-naphthalenyl]- (9CI) (CA INDEX NAME)





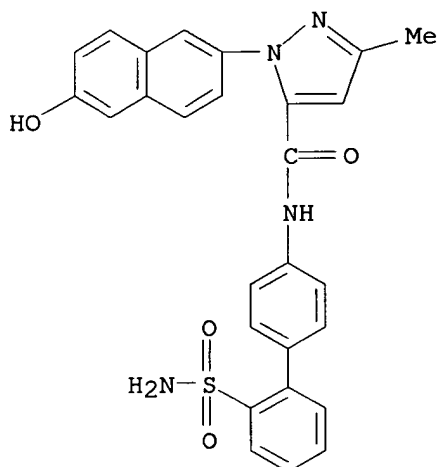
RN 330802-69-2 CAPLUS

CN 1H-Pyrazole-5-carboxamide, N-[2'-(aminosulfonyl)[1,1'-biphenyl]-4-yl]-1-(6-methoxy-2-naphthalenyl)-3-methyl- (9CI) (CA INDEX NAME)



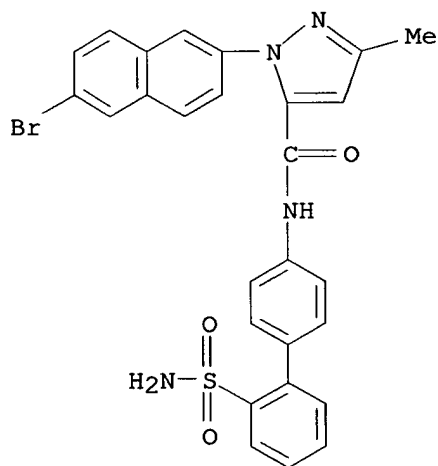
RN 330802-70-5 CAPLUS

CN 1H-Pyrazole-5-carboxamide, N-[2'-(aminosulfonyl)[1,1'-biphenyl]-4-yl]-1-(6-hydroxy-2-naphthalenyl)-3-methyl- (9CI) (CA INDEX NAME)



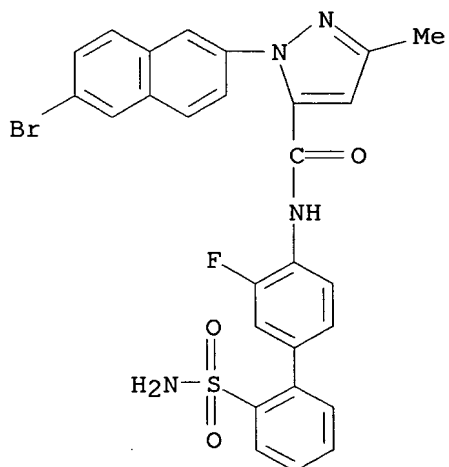
RN 330802-71-6 CAPLUS

CN 1H-Pyrazole-5-carboxamide, N-[2'-(aminosulfonyl)[1,1'-biphenyl]-4-yl]-1-(6-bromo-2-naphthalenyl)-3-methyl- (9CI) (CA INDEX NAME)



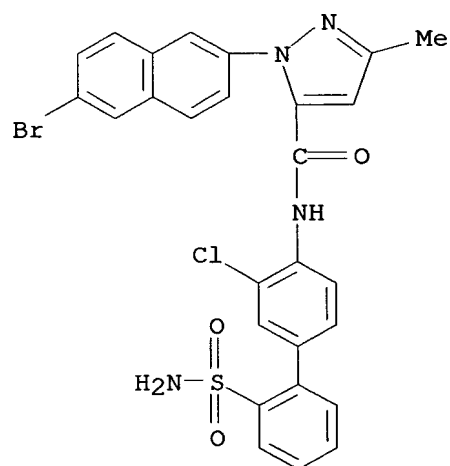
RN 330802-72-7 CAPLUS

CN 1H-Pyrazole-5-carboxamide, N-[2'-(aminosulfonyl)-3-fluoro[1,1'-biphenyl]-4-yl]-1-(6-bromo-2-naphthalenyl)-3-methyl- (9CI) (CA INDEX NAME)



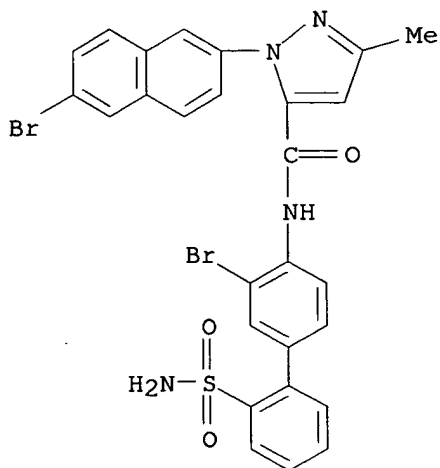
RN 330802-73-8 CAPLUS

CN 1H-Pyrazole-5-carboxamide, N-[2'-(aminosulfonyl)-3-chloro[1,1'-biphenyl]-4-yl]-1-(6-bromo-2-naphthalenyl)-3-methyl- (9CI) (CA INDEX NAME)



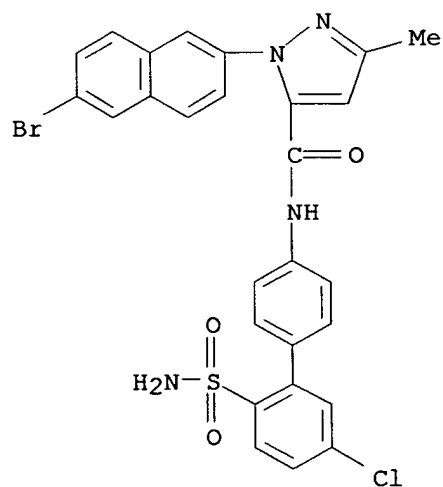
RN 330802-74-9 CAPLUS

CN 1H-Pyrazole-5-carboxamide, N-[2'-(aminosulfonyl)-3-bromo[1,1'-biphenyl]-4-yl]-1-(6-bromo-2-naphthalenyl)-3-methyl- (9CI) (CA INDEX NAME)



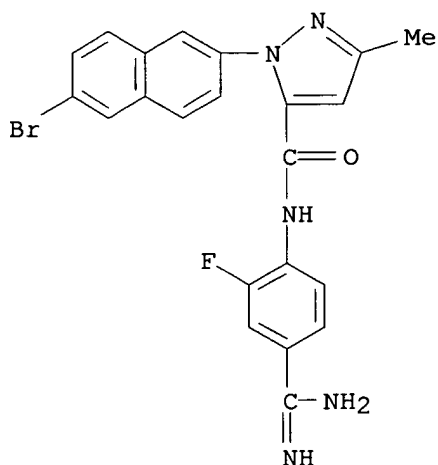
RN 330802-75-0 CAPLUS

CN 1H-Pyrazole-5-carboxamide, N-[2'-(aminosulfonyl)-5'-chloro[1,1'-biphenyl]-4-yl]-1-(6-bromo-2-naphthalenyl)-3-methyl- (9CI) (CA INDEX NAME)



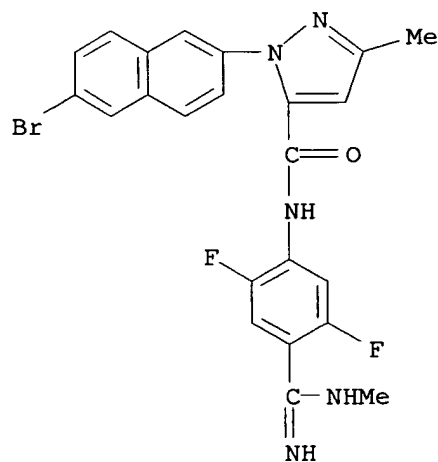
RN 330802-98-7 CAPLUS

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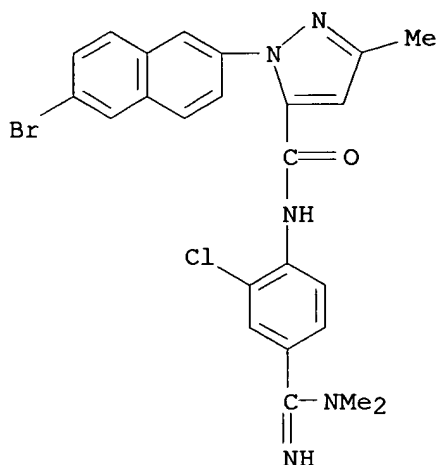
RN 330802-99-8 CAPLUS

CN 1H-Pyrazole-5-carboxamide, 1-(6-bromo-2-naphthalenyl)-N-[2,5-difluoro-4-[imino(methylamino)methyl]phenyl]-3-methyl- (9CI) (CA INDEX NAME)



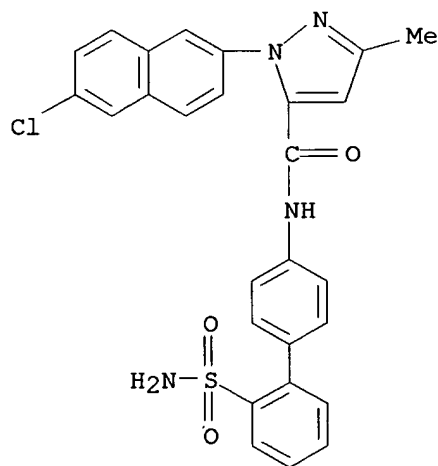
RN 330803-00-4 CAPLUS

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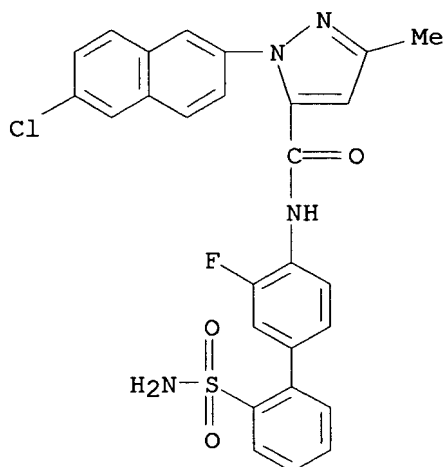
RN 330803-01-5 CAPLUS

CN 1H-Pyrazole-5-carboxamide, N-[2'-(aminosulfonyl)[1,1'-biphenyl]-4-yl]-1-(6-chloro-2-naphthalenyl)-3-methyl- (9CI) (CA INDEX NAME)



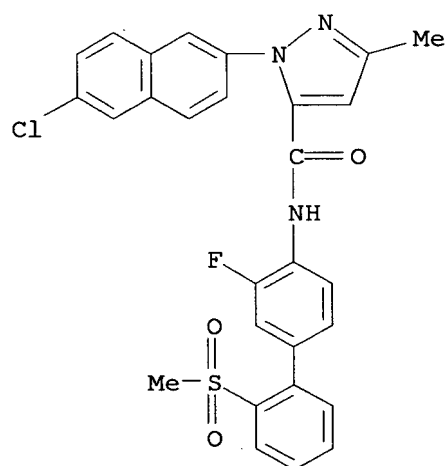
RN 330803-02-6 CAPLUS

CN 1H-Pyrazole-5-carboxamide, N-[2'-(aminosulfonyl)-3-fluoro[1,1'-biphenyl]-4-yl]-1-(6-chloro-2-naphthalenyl)-3-methyl- (9CI) (CA INDEX NAME)



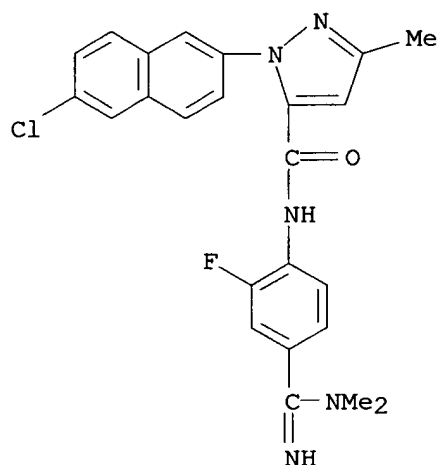
RN 330803-03-7 CAPLUS

CN 1H-Pyrazole-5-carboxamide, 1-(6-chloro-2-naphthalenyl)-N-[3-fluoro-2'-(methylsulfonyl)[1,1'-biphenyl]-4-yl]-3-methyl- (9CI) (CA INDEX NAME)



RN 330803-08-2 CAPLUS

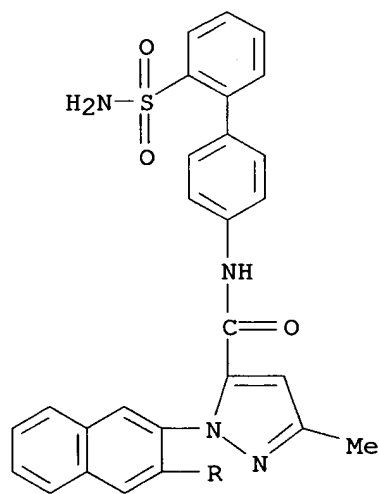
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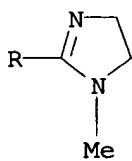
RN 330803-09-3 CAPLUS

CN 1H-Pyrazole-5-carboxamide, N-[2'-(aminosulfonyl)[1,1'-biphenyl]-4-yl]-1-[3-(4,5-dihydro-1-methyl-1H-imidazol-2-yl)-2-naphthalenyl]-3-methyl- (9CI)  
(CA INDEX NAME)

PAGE 1-A



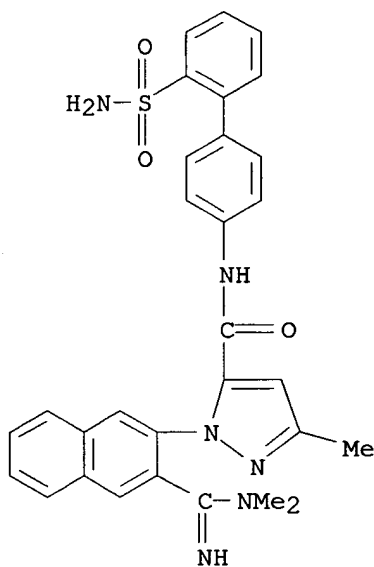
PAGE 2-A





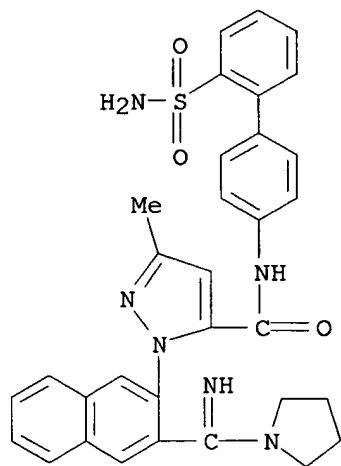
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CN 1H-Pyrazole-5-carboxamide, N-[2'-(aminosulfonyl)[1,1'-biphenyl]-4-yl]-1-[3-  
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NAME)



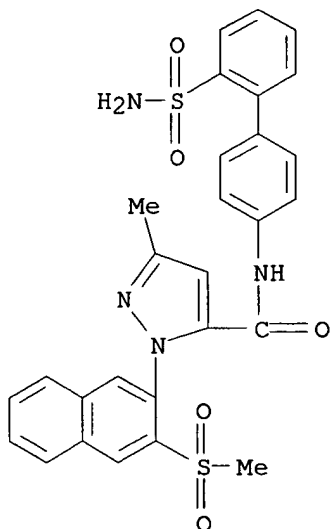
RN 330803-11-7 CAPLUS

CN 1H-Pyrazole-5-carboxamide, N-[2'-(aminosulfonyl)[1,1'-biphenyl]-4-yl]-1-[3-  
(imino-1-pyrrolidinylmethyl)-2-naphthalenyl]-3-methyl- (9CI) (CA INDEX  
NAME)



RN 330803-39-9 CAPLUS

CN 1H-Pyrazole-5-carboxamide, N-[2'-(aminosulfonyl)[1,1'-biphenyl]-4-yl]-3-  
methyl-1-[3-(methylsulfonyl)-2-naphthalenyl]- (9CI) (CA INDEX NAME)



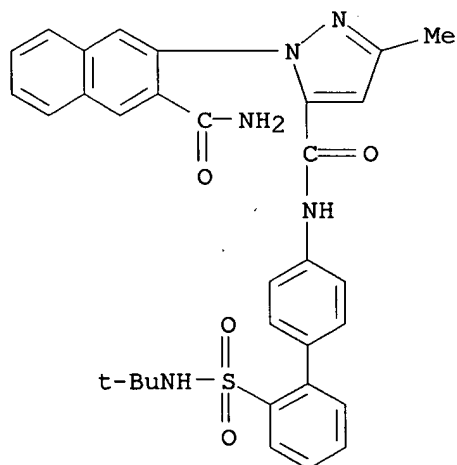
IT **330803-99-1**

RL: RCT (Reactant); RACT (Reactant or reagent)

(preparation of 1-naphthyl-3-methyl-1H-pyrazole-5-carboxamides as inhibitors of factor Xa)

RN 330803-99-1 CAPLUS

CN 1H-Pyrazole-5-carboxamide, 1-[3-(aminocarbonyl)-2-naphthalenyl]-N-[2'-[[[(1,1-dimethylethyl)amino]sulfonyl][1,1'-biphenyl]-4-yl]-3-methyl- (9CI)  
(CA INDEX NAME)



IT **330803-42-4P 330803-47-9P 330803-57-1P**

**330803-59-3P 330803-64-0P 330803-65-1P**

**330803-67-3P 330803-70-8P 330803-72-0P**

**330803-77-5P 330803-79-7P 330803-82-2P**

**330803-87-7P**

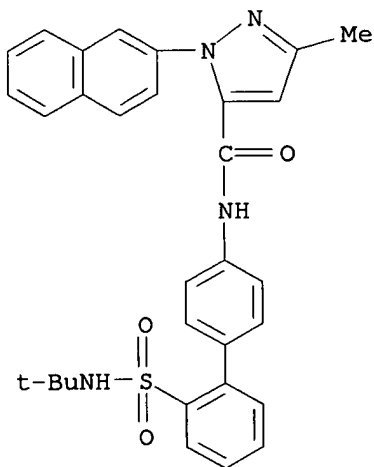
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of 1-naphthyl-3-methyl-1H-pyrazole-5-carboxamides as inhibitors

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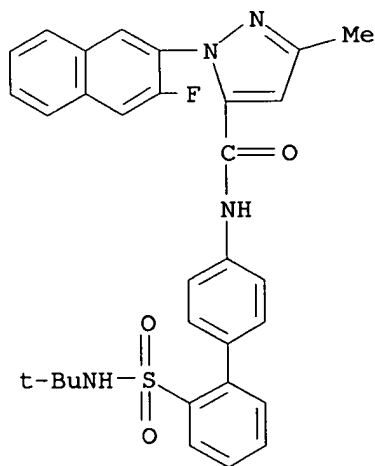
RN 330803-42-4 CAPLUS

CN 1H-Pyrazole-5-carboxamide, N-[2'-[[ (1,1-dimethylethyl) amino] sulfonyl] [1,1'-biphenyl]-4-yl]-3-methyl-1-(2-naphthalenyl)- (9CI) (CA INDEX NAME)



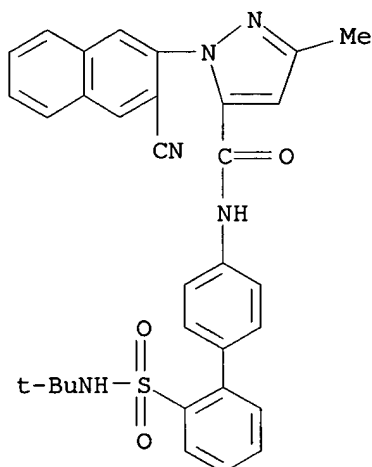
RN 330803-47-9 CAPLUS

CN 1H-Pyrazole-5-carboxamide, N-[2'-[[ (1,1-dimethylethyl) amino] sulfonyl] [1,1'-biphenyl]-4-yl]-1-(3-fluoro-2-naphthalenyl)-3-methyl- (9CI) (CA INDEX NAME)



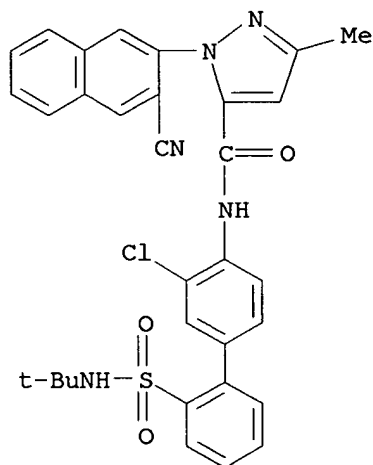
RN 330803-57-1 CAPLUS

CN 1H-Pyrazole-5-carboxamide, 1-(3-cyano-2-naphthalenyl)-N-[2'-[[ (1,1-dimethylethyl) amino] sulfonyl] [1,1'-biphenyl]-4-yl]-3-methyl- (9CI) (CA INDEX NAME)



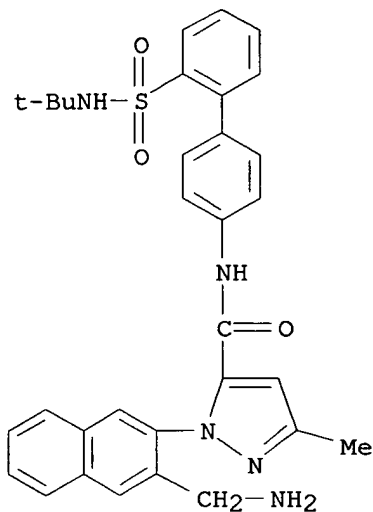
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CN 1H-Pyrazole-5-carboxamide, N-[3-chloro-2'-[[[(1,1-dimethylethyl)amino]sulfonyl][1,1'-biphenyl]-4-yl]-1-(3-cyano-2-naphthalenyl)-3-methyl- (9CI) (CA INDEX NAME)



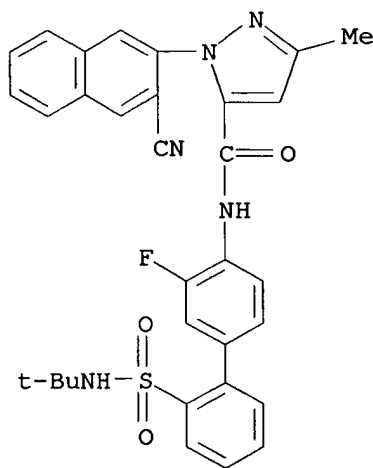
RN 330803-64-0 CAPLUS

CN 1H-Pyrazole-5-carboxamide, 1-[3-(aminomethyl)-2-naphthalenyl]-N-[2'-[[[(1,1-dimethylethyl)amino]sulfonyl][1,1'-biphenyl]-4-yl]-3-methyl- (9CI) (CA INDEX NAME)



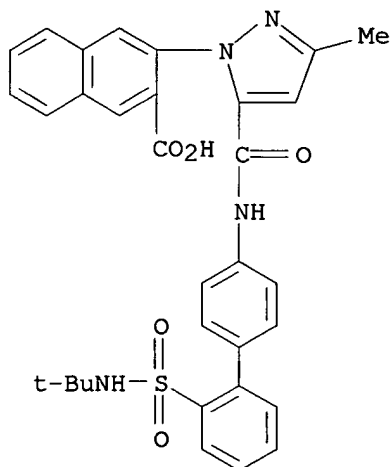
RN 330803-65-1 CAPLUS

CN 1H-Pyrazole-5-carboxamide, 1-(3-cyano-2-naphthalenyl)-N-[2'-[[[(1,1-dimethylethyl)amino]sulfonyl]-3-fluoro[1,1'-biphenyl]-4-yl]-3-methyl- (9CI) (CA INDEX NAME)



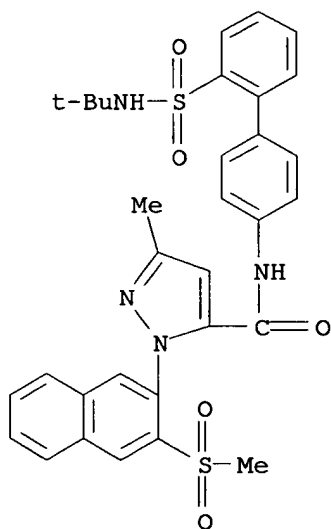
RN 330803-67-3 CAPLUS

CN 2-Naphthalenecarboxylic acid, 3-[5-[[[2'-[[[(1,1-dimethylethyl)amino]sulfonyl][1,1'-biphenyl]-4-yl]amino]carbonyl]-3-methyl-1H-pyrazol-1-yl]- (9CI) (CA INDEX NAME)



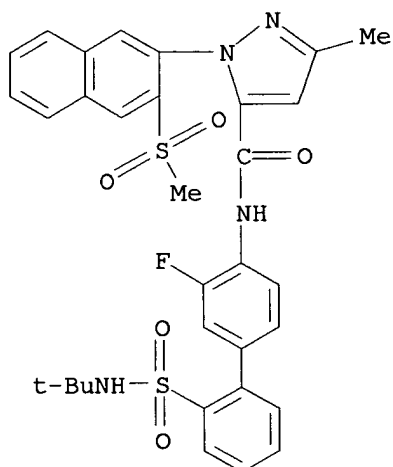
RN 330803-70-8 CAPLUS

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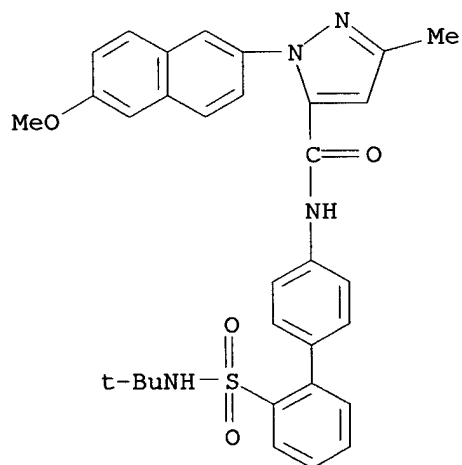
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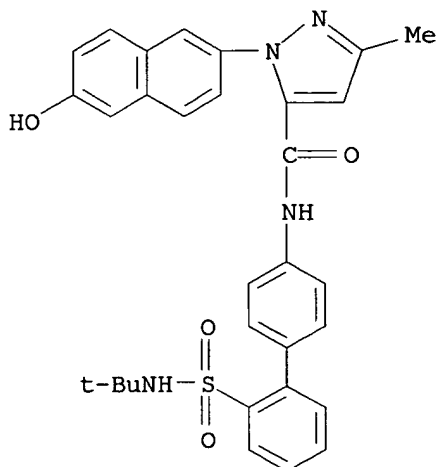
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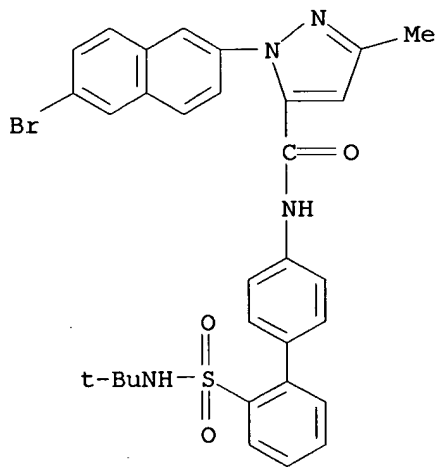
RN 330803-79-7 CAPLUS

CN 1H-Pyrazole-5-carboxamide, N-[2'-[[[(1,1-dimethylethyl)amino]sulfonyl][1,1'-biphenyl]-4-yl]-1-(6-hydroxy-2-naphthalenyl)-3-methyl- (9CI) (CA INDEX NAME)



RN 330803-82-2 CAPLUS

CN 1H-Pyrazole-5-carboxamide, 1-(6-bromo-2-naphthalenyl)-N-[2'-[[[1,1-dimethylethyl]amino]sulfonyl][1,1'-biphenyl]-4-yl]-3-methyl- (9CI) (CA INDEX NAME)

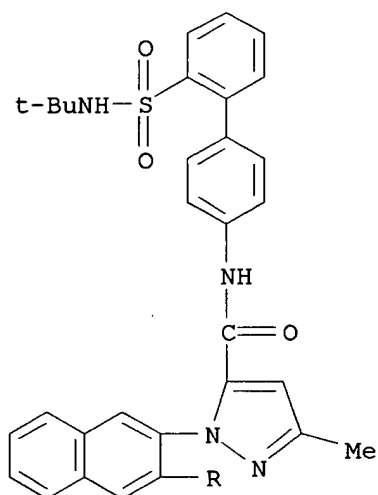


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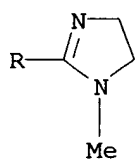
CN 1H-Pyrazole-5-carboxamide, 1-[3-(4,5-dihydro-1-methyl-1H-imidazol-2-yl)-2-naphthalenyl]-N-[2'-[[[1,1-dimethylethyl]amino]sulfonyl][1,1'-biphenyl]-4-yl]-3-methyl- (9CI) (CA INDEX NAME)



PAGE 1-A



PAGE 2-A



L4 ANSWER 8 OF 8 CAPLUS COPYRIGHT 2006 ACS on STN

AN 1999:9833 CAPLUS

DN 130:66494

TI Preparation of novel guanidine mimics as factor Xa inhibitors

IN Lam, Patrick Y.; Clark, Charles G.; Dominguez, Celia; Fevig, John Matthew;  
Han, Qi; Li, Renhua; Pinto, Donald Joseph-Phillip; Pruitt, James Russell;  
Quan, Mimi Lifan

PA The Du Pont Merck Pharmaceutical Company, USA

SO PCT Int. Appl., 268 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9857951	A1	19981223	WO 1998-US12680	19980618
	W: AU, BR, CA, CN, CZ, EE, HU, IL, JP, KR, LT, LV, MX, NO, NZ, PL, RO, SG, SI, SK, UA, VN, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
	ZA 9805247	A	19991217	ZA 1998-5247	19980617
	CA 2291442	AA	19981223	CA 1998-2291442	19980618
	AU 9879768	A1	19990104	AU 1998-79768	19980618
	AU 756755	B2	20030123		
	EP 991638	A1	20000412	EP 1998-930361	19980618
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	BR 9810137	A	20000808	BR 1998-10137	19980618
	EE 9900583	A	20000815	EE 1999-583	19980618
	EE 4153	B1	20031015		
	JP 2002505686	T2	20020219	JP 1999-504785	19980618
	NZ 502370	A	20021025	NZ 1998-502370	19980618
	AT 302198	E	20050915	AT 1998-930361	19980618
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	TW 544453	B	20030801	TW 1998-87109910	19980819
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	MX 9911908	A	20000531	MX 1999-11908	19991216
	LV 12496	B	20010120	LV 1999-178	19991216
	LT 4705	B	20000925	LT 1999-147	19991217
PRAI	US 1997-878884	A	19970619		
	WO 1998-US12680	W	19980618		

OS MARPAT 130:66494

AB The title compds. [I; rings D-E represent guanidine mimics; ring D = CH<sub>2</sub>N:CH, CH<sub>2</sub>CH<sub>2</sub>N:CH, a 5-6 membered aromatic system containing 0-2 heteroatoms selected from the group N, O, and S; ring D is substituted with 0-2 R (substituents), provided that when ring D is unsubstituted, it contains at least one heteroatom; ring E contains 0-2 N atom and is substituted by 0-1 R; R = halo, OH, Cl-3 alkoxy, etc.; M = (un)substituted pyrazole, imidazole, tetrazole, etc.], inhibitors of factor Xa which are useful in treating and preventing a thromboembolic disorder, were prepared and formulated. Thus, a multi-step synthesis of the title compound II, starting with 7-aminoisoquinoline, was described. A number of compds. I were found to exhibit a K<sub>i</sub> of ≤ 15 μM against factor Xa.

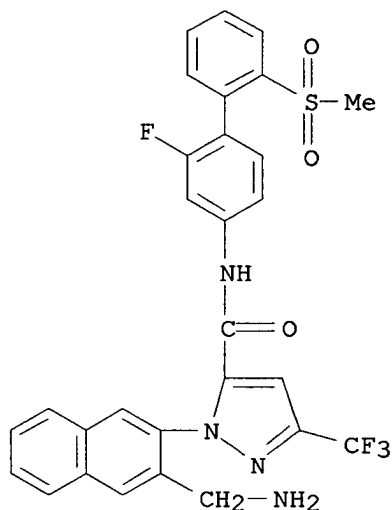
IT 218299-04-8P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);

BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (preparation of novel guanidine mimics as factor Xa inhibitors)

RN 218299-04-8 CAPLUS

CN 1H-Pyrazole-5-carboxamide, 1-[3-(aminomethyl)-2-naphthalenyl]-N-[2-fluoro-2'-(methylsulfonyl)[1,1'-biphenyl]-4-yl]-3-(trifluoromethyl)- (9CI) (CA INDEX NAME)

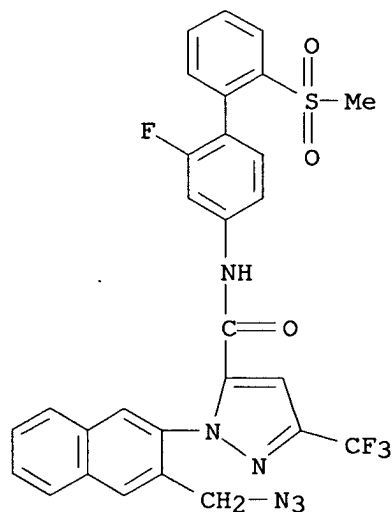


IT **218302-16-0P**

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
 (Reactant or reagent)  
 (preparation of novel guanidine mimics as factor Xa inhibitors)

RN 218302-16-0 CAPLUS

CN 1H-Pyrazole-5-carboxamide, 1-[3-(azidomethyl)-2-naphthalenyl]-N-[2-fluoro-2'-(methylsulfonyl)[1,1'-biphenyl]-4-yl]-3-(trifluoromethyl)- (9CI) (CA INDEX NAME)



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COST IN U.S. DOLLARS

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TOTAL

ENTRY

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FULL ESTIMATED COST

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DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

SINCE FILE

TOTAL

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